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|              |  |  |
|--------------|--|--|
| NEWS 1       |  | Web Page URLs for STN Seminar Schedule - N. America                                      |
| NEWS 2       |  | "Ask CAS" for self-help around the clock   |
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| NEWS 4       | AUG 28   | ADISCTI Reloaded and Enhanced  |
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| NEWS 7       | SEP 21   | CA/CAplus fields enhanced with simultaneous left and right truncation                    |
| NEWS 8       | SEP 25   | CA(SM)/CAplus(SM) display of CA Lexicon enhanced   |
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| NEWS 10      | SEP 25   | CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine                           |
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| NEWS 12      | OCT 19   | LOGOFF HOLD duration extended to 120 minutes   |
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| NEWS 15      | OCT 23   | CAS Registry Number crossover limit increased to 300,000 in multiple databases           |
| NEWS 16      | OCT 23   | The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded |
| NEWS 17      | OCT 30   | CHEMLIST enhanced with new search and display field                                      |
| NEWS 18      | NOV 03   | JAPIO enhanced with IPC 8 features and functionality                                     |
| NEWS 19      | NOV 10   | CA/CAplus F-Term thesaurus enhanced  |
| NEWS 20      | NOV 10   | STN Express with Discover! free maintenance release Version 8.01c now available          |
| NEWS 21      | NOV 13   | CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role       |
| NEWS 22      | NOV 20   | CAS Registry Number crossover limit increased to 300,000 in additional databases         |
| NEWS 23      | NOV 20   | CA/CAplus to MARPAT accession number crossover limit increased to 50,000                 |
| NEWS 24      | DEC 01   | CAS REGISTRY updated with new ambiguity codes  |
| NEWS 25      | DEC 11   | CAS REGISTRY chemical nomenclature enhanced  |
| NEWS 26      | DEC 14   | WPIDS/WPINDEX/WPIX manual codes updated  |
| NEWS 27      | DEC 14   | GBFULL and FRFULL enhanced with IPC 8 features and functionality                         |
|              |  |  |
| NEWS EXPRESS | NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006. |  |
|              |  |  |
| NEWS HOURS   | STN Operating Hours Plus Help Desk Availability  |  |
| NEWS LOGIN   | Welcome Banner and News Items  |  |
| NEWS IPC8    | For general information regarding STN implementation of IPC 8  |  |
| NEWS X25     | X.25 communication option no longer available  |  |

Enter NEWS followed by the item number or name to see news on that

specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:28:56 ON 18 DEC 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:29:05 ON 18 DEC 2006

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6

DICTIONARY FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

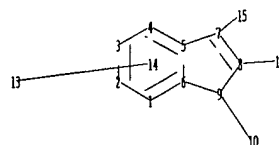
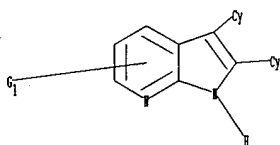
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10524626a.str



```

chain nodes :
10 13 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
7-15 8-16 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-15 8-9 8-16
exact bonds :
9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

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G1:H,O,X,Ak,CN

Match level :

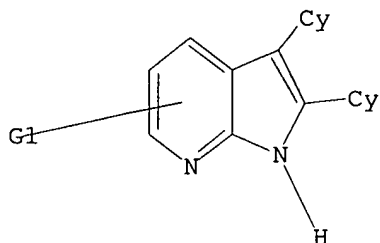
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
13:CLASS 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 H,O,X,Ak,CN

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:29:28 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6296 TO ITERATE

31.8% PROCESSED 2000 ITERATIONS

5 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 121163 TO 130677

PROJECTED ANSWERS: 76 TO 552

L2 5 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 11:29:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 127092 TO ITERATE

100.0% PROCESSED 127092 ITERATIONS

302 ANSWERS

SEARCH TIME: 00.00.02

L3 302 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 11:29:39 ON 18 DEC 2006

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FILE COVERS 1907 - 18 Dec 2006 VOL 145 ISS 26

FILE LAST UPDATED: 17 Dec 2006 (20061217/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.  
They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 13 full

L4 36 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:699841 CAPLUS

DOCUMENT NUMBER: 145:140139

TITLE: Kinase-directed, activity-based probes

INVENTOR(S): Boyce, James P.; Brown, Michael E.; Fitzner, Jeffrey  
N.; Kowski, Thomas

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2006076463          | A2   | 20060720 | WO 2006-US1038  | 20060112   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |            |
| US 2006211074          | A1   | 20060921 | US 2006-331413  | 20060112   |
| PRIORITY APPLN. INFO.: |  |          | US 2005-643609P | P 20050112 |

AB Various embodiments of the present invention are directed to kinase-directed, activity-based probes ("KABPs") that tightly bind to, and label, kinases. Each KABP includes a binding group that is recognized and bound by one or more kinases, a reactive group that tightly, and generally irreversibly, binds to the kinase, a tag group that labels the kinase, or that serves a chemical handle for subsequent procedures and processes, and a linker group that links the tag group to one or more of the reactive group and the binding group. Addnl. embodiments of the present invention are directed to methods for identifying kinases within, and isolating kinases from, living cells by use of one or more KABPs. A kinase-directed, activity-based probe comprises a substituted acrylyl moiety having the structure R3-,R2-C=C-CO,-R1 (I; R1 = substituted anilinoquinazoline, competitive kinase inhibitor, candidate therapeutic drug; R2 = H, halo, (substituted)alkyl; R3 = MeO, glycolylhydroxy bisubstituted Ph linked through an amide bond to a 2-[2-(2-aminoethoxy)ethoxy]ethylamine, in turn linked through an amide bond to a fluorophore tag group, N-alkylated 2-[2-(2-aminoethoxy)ethoxy]ethylamine linked through an amide bond to a fluorophore tag group; R1 and R3 may be interchanged). Representative probes include I (R1 = N4-(3-chloro-4-fluorophenyl)-4,6-quinazolinediamine; R2 = H; R3 = glycolylhydroxy bisubstituted Ph linked through an amide

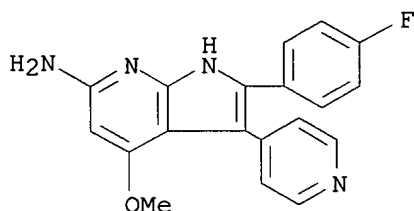
bond to a 2-[2-(2-aminoethoxy)ethoxy]ethylamine, in turn linked through an amide bond to Bodipy-FL) and I (R1 = N4-(3-chloro-4-fluorophenyl)-4,6-quinazolinediamine; R2 = H; R3 = N-Et 2-[2-(2-aminoethoxy)ethoxy]ethylamine linked through an amide bond to Bodipy-FL).

IT 215306-39-1

RL: NUU (Other use, unclassified); USES (Uses)  
(small-organic- mol. competitive inhibitor, binding moiety is;  
kinase-directed, activity-based probes)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:625359 CAPLUS

DOCUMENT NUMBER: 145:240941

TITLE: Identification of thieno[3,2-b]pyrroles as allosteric inhibitors of hepatitis C virus NS5B polymerase

AUTHOR(S): Ontoria, Jesus M.; Martin Hernando, Jose I.; Malancona, Savina; Attenui, Barbara; Stansfield, Ian; Conte, Immacolata; Ercolani, Caterina; Habermann, Joerg; Ponzi, Simona; Di Filippo, Marcello; Koch, Uwe; Rowley, Michael; Narjes, Frank

CORPORATE SOURCE: Department of Medicinal Chemistry, IRBM-MRL Rome, Pomezia (Rome), 00040, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(15), 4026-4030

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Thieno[3,2-b]pyrroles are a novel class of allosteric inhibitors of HCV NS5B RNA-dependent RNA polymerase which show potent affinity for the NS5B enzyme. Introduction of a polar substituent in the position N1 led to a compound that efficiently blocks subgenomic HCV RNA replication in HUH-7 cells with an EC50 of 2.9  $\mu$ M.

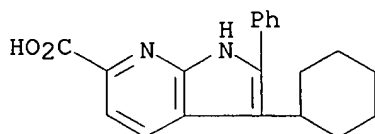
IT 905947-27-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thienopyrroles as allosteric inhibitors of hepatitis C virus NS5B polymerase)

RN 905947-27-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-6-carboxylic acid, 3-cyclohexyl-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1324669 CAPLUS

DOCUMENT NUMBER: 144:171145

TITLE: Synthesis of pyridino[3',2':4,5]pyrrolo[3,2-g]pyrrolo[3,4-e]indolizine-1,3-dione and pyrrolo[3,2-c]pyrazole skeletons

AUTHOR(S): Anizon, Fabrice; Pfeiffer, Bruno; Prudhomme, Michelle

CORPORATE SOURCE: Laboratoire SEESIB, UMR 6504 du CNRS, Universite

Blaise Pascal, Aubiere, 63177, Fr.

SOURCE: Tetrahedron Letters (2006), 47(4), 433-436

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A 3-step synthesis of an isogranulatimide analog, in which the imidazole moiety is replaced by a pyrrole unit and the indole heterocycle is replaced by a 7-azaindole moiety is described. Moreover, a novel synthetic pathway to the pyrrolo[3,2-c]pyrazole skeleton is reported.

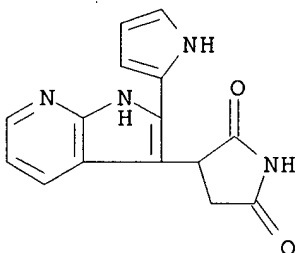
IT 681180-48-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridinopyrrolopyrroloindolizinedione and pyrrolopyrazole skeletons)

RN 681180-48-3 CAPLUS

CN 2,5-Pyrrolidinedione, 3-[2-(1H-pyrrol-2-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1103779 CAPLUS

DOCUMENT NUMBER: 143:387011

TITLE: Preparation of azaindoles as inhibitors of JAK and other protein kinases

INVENTOR(S): Salituro, Francesco; Farmer, Luc; Bethiel, Randy; Harrington, Edmund; Green, Jeremy; Court, John; Come, Jon; Lauffer, David; Aronov, Alex; Binch, Hayley; Boyall, Dean; Charrier, Jean-Damien; Everitt, Simon; Frayssé, Damien; Mortimore, Michael; Pierard, Françoise; Robinson, Daniel

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA; et al.

SOURCE: PCT Int. Appl., 432 pp.

CODEN: PIXXD2

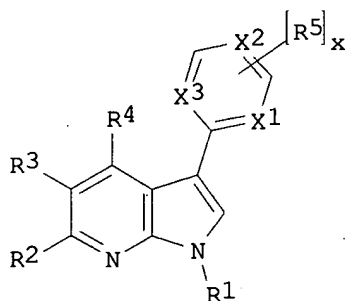
DOCUMENT TYPE: Patent

LANGUAGE: English

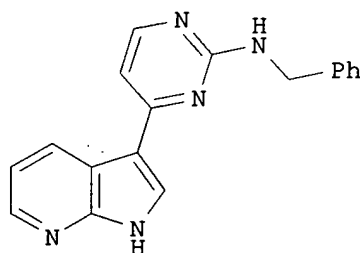
FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

| PATENT NO.  | KIND              | DATE     | APPLICATION NO. | DATE       |
|---|-------------------|----------|-----------------|------------|
| WO 2005095400   | A1                | 20051013 | WO 2005-US10846 | 20050330   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |                   |          |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |                   |          |                 |            |
| AU 2005228904   | A1                | 20051013 | AU 2005-228904  | 20050330   |
| CA 2560454  | A1                | 20051013 | CA 2005-2560454 | 20050330   |
| EP 1730146  | A1                | 20061213 | EP 2005-756052  | 20050330   |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU   |                   |          |                 |            |
| NO 2006004852   | A                 | 20061024 | NO 2006-4852    | 20061024   |
| PRIORITY APPLN. INFO.:  |                   |          | US 2004-557503P | P 20040330 |
|   |                   |          | US 2004-625599P | P 20041105 |
|   |                   |          | WO 2005-US10846 | W 20050330 |
| OTHER SOURCE(S):  | MARPAT 143:387011 |          |                 |            |
| GI  |                   |          |                 |            |



I



II

AB The title compds. I [R1 = TR', Si(R')<sub>3</sub>; R2-R4 = halo, CN, NO<sub>2</sub>, etc.; X1-X3 = N, CH (wherein the hydrogen atom of CH is optionally replaced by R5); x = 1-4; R5 = halo, CN, NO<sub>2</sub>, etc.; T = a bond, alkylidene, etc.; R' = H, alkyl, (hetero)cyclyl, etc.; with provisos] which are inhibitors of protein kinases, were prepared E.g., a multi-step synthesis of II, starting with 7-azaindole, was given. The compds. I were tested against JAK2, JAK3, ROCK and Aurora kinases (data given). The invention also provides pharmaceutical compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

IT 663884-05-7P 664990-53-8P 866542-79-2P

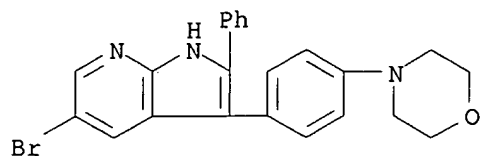
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azaindoles as inhibitors of JAK and other protein kinases)

RN 663884-05-7 CAPLUS

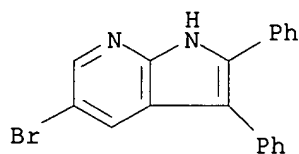
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-(4-morpholinyl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)





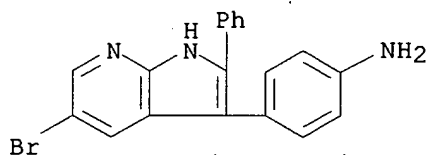
RN 664990-53-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-diphenyl- (9CI) (CA INDEX NAME)



RN 866542-79-2 CAPLUS

CN Benzenamine, 4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:823557 CAPLUS

DOCUMENT NUMBER: 143:235399

TITLE: Compositions and methods for treating contracture

INVENTOR(S): Avelar, Rui; Liggins, Richard T.; Toleikis, Philip M.;

Loss, Troy A. E.; Gravett, David M.; Maiti, Arpita

PATENT ASSIGNEE(S): Angiotech International A. G., Switz.

SOURCE: PCT Int. Appl., 234 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2005074913 | A2   | 20050818 | WO 2005-US3800  | 20050131 |
| WO 2005074913 | A3   | 20060119 |                 |          |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM |          |                 |          |
| RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| AU 2005210668 | A1   | 20050818 | AU 2005-210668  | 20050131 |

|               |    |          |                 |          |
|---------------|----|----------|-----------------|----------|
| CA 2536096    | A1 | 20050818 | CA 2005-2536096 | 20050131 |
| US 2005186261 | A1 | 20050825 | US 2005-48628   | 20050131 |
| EP 1708694    | A2 | 20061011 | EP 2005-722794  | 20050131 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

PRIORITY APPLN. INFO.: US 2004-540660P P 20040130  
WO 2005-US3800 W 20050131

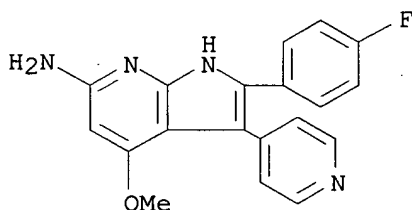
AB A method for treating contracture is provided that includes administering to a patient in need thereof a composition that includes a therapeutic agent effective in treating contracture. Compns., devices, and kits for use in treating contracture are also described. A micellar carrier comprised of methoxy-PEG-poly lactide diblock copolymer and containing paclitaxel was prepared

IT 215306-39-1, RWJ-68354

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(compns. and methods for treating contracture)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:380689 CAPLUS

DOCUMENT NUMBER: 143:78104

TITLE: The Aminopalladation-Reductive Elimination Process as a Tool for the Solution-Phase Synthesis of 2,3-Disubstituted Azaindole Libraries

AUTHOR(S): Cacchi, Sandro; Fabrizi, Giancarlo; Parisi, Luca M.

CORPORATE SOURCE: Dipartimento di Studi di Chimica e Tecnologia delle Sostanze Biologicamente Attive, Universita degli Studi La Sapienza, Rome, 00185, Italy

SOURCE: Journal of Combinatorial Chemistry (2005), 7(4), 510-512

CODEN: JCCHFF; ISSN: 1520-4766

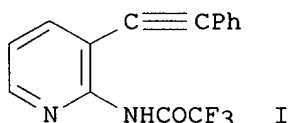
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

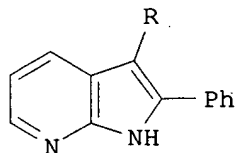
LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:78104

GI



I



II

AB 2,3-Disubstituted 4-azaindole and 7-azaindole libraries were prepared by reaction of alkynes, e.g. I, containing neutral and electron-poor substituents with a variety of electron-rich, electron-poor, and almost neutral aryl

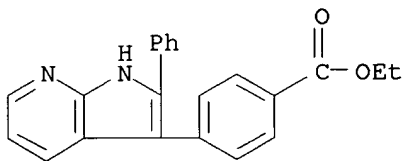
iodides, bromides, and triflates. E.g., reaction of I with RX (RX = 4-EtO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>I, 4-FC<sub>6</sub>H<sub>4</sub>I, etc.) gave 7-azaindoles II. The procedure involves the aminopalladation-reductive elimination of readily available acyclic precursors and allows for the introduction of diversities at the C-2 or the C-3 positions of the free N-H azaindole system, usually in good to excellent yields. The exptl. procedure is simple, and the reaction tolerates many important functional groups both in the alkyne component and in the aryl/heteroaryl halide or vinyl triflate.

IT 855527-37-6P 855527-38-7P 855527-39-8P  
855527-40-1P 855527-41-2P 855527-42-3P  
855527-43-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(aminopalladation-reductive elimination process as a tool for the solution-phase synthesis of 2,3-disubstituted azaindole libraries from (phenylethynyl)(trifluoroacetamido)pyridines and aryl iodides, bromides, and triflates)

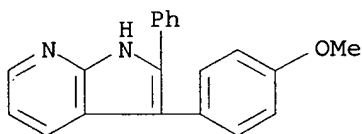
RN 855527-37-6 CAPLUS

CN Benzoic acid, 4-(2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



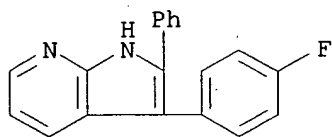
RN 855527-38-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



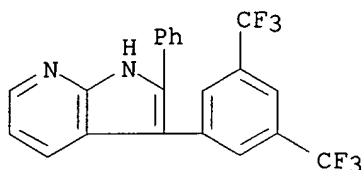
RN 855527-39-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 3-(4-fluorophenyl)-2-phenyl- (9CI) (CA INDEX NAME)

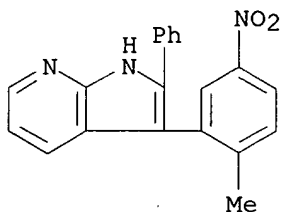


RN 855527-40-1 CAPLUS

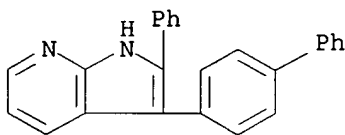
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[3,5-bis(trifluoromethyl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



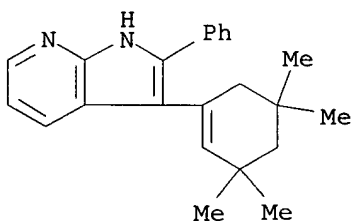
RN 855527-41-2 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 3-(2-methyl-5-nitrophenyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 855527-42-3 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 3-[1,1'-biphenyl]-4-yl-2-phenyl- (9CI) (CA INDEX NAME)



RN 855527-43-4 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 2-phenyl-3-(3,3,5,5-tetramethyl-1-cyclohexen-1-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:908905 CAPLUS  
 DOCUMENT NUMBER: 142:68509  
 TITLE: A 3D Similarity Method for Scaffold Hopping from Known Drugs or Natural Ligands to New Chemotypes  
 AUTHOR(S): Jenkins, Jeremy L.; Glick, Meir; Davies, John W.  
 CORPORATE SOURCE: Lead Discovery Center, Novartis Institutes for BioMedical Research Inc., Cambridge, MA, 02139, USA  
 SOURCE: Journal of Medicinal Chemistry (2004), 47(25),

6144-6159

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB A primary goal of 3D similarity searching is to find compds. with similar bioactivity to a reference ligand but with different chemotypes, i.e., "scaffold hopping". However, an adequate description of chemical structures in 3D conformational space is difficult due to the high-dimensionality of the problem. The authors present an automated method that simplifies flexible 3D chemical descriptions in which clustering techniques traditionally used in data mining are exploited to create "fuzzy" mol. representations called FEPOPS (feature point pharmacophores). The representations can be used for flexible 3D similarity searching given one or more active compds. without a priori knowledge of bioactive conformations or pharmacophores. The authors demonstrate that similarity searching with FEPOPS significantly enriches for actives taken from inhouse high-throughput screening datasets and from MDDR activity classes COX-2, 5-HT3A, and HIV-RT, while also scaffold or ring-system hopping to new chemical frameworks. Further, inhibitors of target proteins (dopamine 2 and retinoic acid receptor) are recalled by FEPOPS by scaffold hopping from their associated endogenous ligands (dopamine and retinoic acid). Importantly, the method excels in comparison to commonly used 2D similarity methods (DAYLIGHT, MACCS, Pipeline Pilot fingerprints) and a com. 3D method (Pharmacophore Distance Triplets) at finding novel scaffold classes given a single query mol.

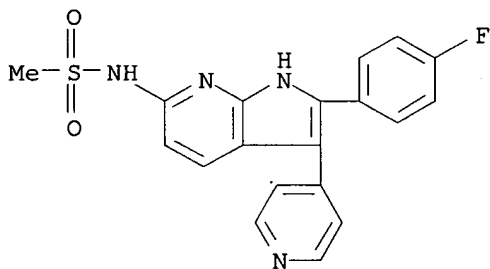
IT 208104-46-5

RL: PAC (Pharmacological activity); BIOL (Biological study)

(3D similarity method for scaffold hopping from known drugs or natural ligands to new chemotypes)

RN 208104-46-5 CAPLUS

CN Methanesulfonamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

73

THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:701815 CAPLUS

DOCUMENT NUMBER: 141:185104

TITLE: Compositions, combinations, and methods for treating cardiovascular conditions and other associated conditions

INVENTOR(S): Rudolph, Amy E.; Rocha, Ricardo; Carretero, Oscar

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 107 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

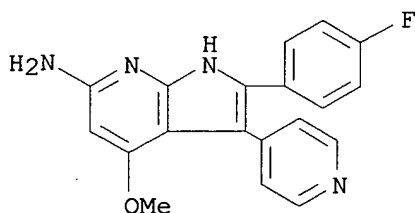
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| US 2004167197          | A1   | 20040826 | US 2004-788220  | 20040226   |
| WO 2004075852          | A2   | 20040910 | WO 2004-US5609  | 20040226   |
| WO 2004075852          | A3   | 20050728 |                 |            |
| W:                     | AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI   |          |                 |            |
| RW:                    | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| WO 2004075857          | A2   | 20040910 | WO 2004-US5799  | 20040226   |
| WO 2004075857          | A3   | 20050818 |                 |            |
| W:                     | AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI   |          |                 |            |
| RW:                    | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| US 2005203072          | A1   | 20050915 | US 2004-787721  | 20040226   |
| PRIORITY APPLN. INFO.: |  |          | US 2003-450529P | P 20030226 |
| AB                     | This invention is directed generally to a method for treating a pathol. condition (particularly a cardiovascular condition (e.g., hypertension or heart failure) or a condition associated with a cardiovascular condition) using a p38-kinase inhibitor (e.g., a p38-kinase-inhibiting substituted pyrazole), and specifically a combination comprising a p38-kinase inhibitor with an angiotensin-converting-enzyme inhibitor (or "ACE inhibitor") for treating a cardiovascular condition. This invention also is directed generally to combinations comprising a p38-kinase inhibitor, and specifically to combinations comprising a p38-kinase inhibitor with an angiotensin-converting-enzyme inhibitor. This invention is further directed generally to pharmaceutical compns. comprising a p38-kinase inhibitor, and more specifically to compns. comprising the above-described combinations. |          |                 |            |
| IT                     | 215306-39-1<br>RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)<br>(compns., combinations, and methods for treating cardiovascular conditions and other associated conditions)  |          |                 |            |
| RN                     | 215306-39-1 CAPLUS   |          |                 |            |
| CN                     | 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)  |          |                 |            |



L4 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:329923 CAPLUS

DOCUMENT NUMBER: 140:357342

TITLE: Preparation of pyrrolo[3,4-c]carbazoles and pyrido[2,3-b]pyrrolo[3,4-e]indoles as antitumor agents for treating leukemia

INVENTOR(S): Prudhomme, Michelle; Hugon, Bernadette; Anizon, Fabrice; Hickman, John; Pierre, Alain; Golsteyn, Roy; Renard, Pierre; Pfeiffer, Bruno

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Fr. Demande, 71 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

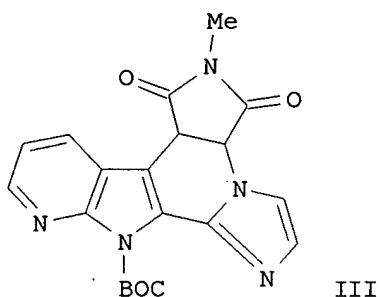
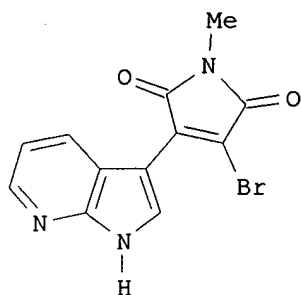
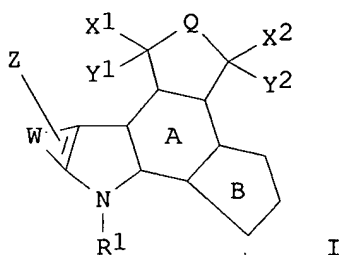
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE       |
|---|------|----------|------------------|------------|
| FR 2845995  | A1   | 20040423 | FR 2002-12846    | 20021016   |
| CA 2502515  | A1   | 20040429 | CA 2003-2502515  | 20031014   |
| WO 2004035582   | A1   | 20040429 | WO 2003-FR3021   | 20031014   |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |            |
| AU 2003285397   | A1   | 20040504 | AU 2003-285397   | 20031014   |
| EP 1554277  | A1   | 20050720 | EP 2003-778389   | 20031014   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                  |            |
| BR 2003015490   | A    | 20050823 | BR 2003-15490    | 20031014   |
| CN 1705667  | A    | 20051207 | CN 2003-80101577 | 20031014   |
| JP 2006507269   | T    | 20060302 | JP 2004-544379   | 20031014   |
| NO 2005002338   | A    | 20050512 | NO 2005-2338     | 20050512   |
| PRIORITY APPLN. INFO.:  |      |          | FR 2002-12846    | A 20021016 |
|   |      |          | WO 2003-FR3021   | W 20031014 |

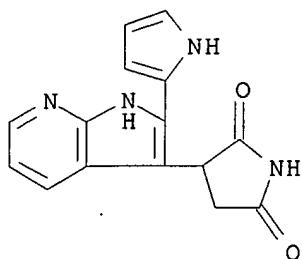
OTHER SOURCE(S): MARPAT 140:357342

GI



- AB Title compds. I [wherein A = (un)saturated 6-membered ring; Z = U-V; U = a simple bond or (un)substituted alkylene; V = H, halo, CN, NO<sub>2</sub>, azido, aryl, aryl/alkyl, OH and derivs., aryloxy, formyl, CO<sub>2</sub>H and derivs., aminocarbonyl, NH<sub>2</sub> and derivs., etc.; CWC = Ph, pyridinyl; B = 5-membered heterocyclcyl rings, i.e., pyrrole, imidazole; X<sub>1</sub>, X<sub>2</sub> = independently H, OH and derivs., alkyl/thio; Y<sub>1</sub>, Y<sub>2</sub> = independently H, or X<sub>1</sub>CY<sub>1</sub>, X<sub>2</sub>CY<sub>2</sub> = independently thio/carbonyl; R<sub>1</sub> = H, (un)substituted alkyl; Q = O, NR<sub>2</sub>; R<sub>2</sub> = aryl, cyclo/cycloalkyl/aryl/alkyl, OH and derivs., NH<sub>2</sub> and derivs., CHO and derivs., (un)substituted alkylene, etc.; with provisos; their enantiomers, diastereomers, their salts of addition with a pharmaceutically acceptable acid or base] were prepared as antitumor agents. For example, reacting bromide II (preparation given) with imidazole in the presence of EtMgBr in THF, followed by BOC-protection and ring closure by irradiation with a halogen lamp in MeCN gave the imidazo deriv III (m.p. = 270°). I displayed a good cytotoxicity against L1210 leukemia murine cell lines in vitro (no data).
- IT 681180-48-3P, 3-[2-(1H-Pyrrol-2-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-2,5-pyrrolidinedione  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of pyrrolo[3,4-c]carbazoles and pyrido[2,3-b]pyrrolo[3,4-e]indoles as antitumor agents for treating leukemia)
- RN 681180-48-3 CAPLUS
- CN 2,5-Pyrrolidinedione, 3-[2-(1H-pyrrol-2-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-(9CI) (CA INDEX NAME)





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:182368 CAPLUS

DOCUMENT NUMBER: 140:229401

TITLE: Three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands

INVENTOR(S): Come, Jon H.; Becker, Frank; Kley, Nikolai A.; Reichel, Christoph

PATENT ASSIGNEE(S): Gpc Biotech Inc., USA; Gpc Biotech AG

SOURCE: U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. Ser. No. 91,177.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE        |
|------------------------|------|----------|-----------------|-------------|
| US 2004043388          | A1   | 20040304 | US 2002-234985  | 20020903    |
| US 7135550             | B2   | 20061114 |                 |             |
| US 2003165873          | A1   | 20030904 | US 2002-91177   | 20020304    |
| US 2004266854          | A1   | 20041230 | US 2004-820453  | 20040407    |
| PRIORITY APPLN. INFO.: |      |          | US 2001-272932P | P 20010302  |
|                        |      |          | US 2001-278233P | P 20010323  |
|                        |      |          | US 2001-329437P | P 20011015  |
|                        |      |          | US 2002-91177   | A2 20020304 |
|                        |      |          | US 2001-336962P | P 20011203  |
|                        |      |          | WO 2002-US6677  | A2 20020304 |
|                        |      |          | US 2002-234985  | A2 20020903 |
|                        |      |          | WO 2002-US33052 | A2 20021015 |
|                        |      |          | US 2003-460921P | P 20030407  |
|                        |      |          | US 2003-531872P | P 20031223  |

AB The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety linked by a polyethylene glycol moiety to dexamethasone, is described.

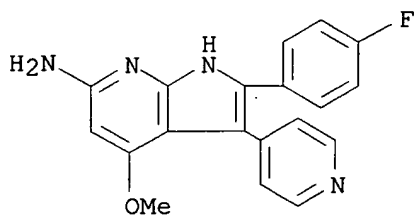
IT 215306-39-1D, conjugates

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162688 CAPLUS

DOCUMENT NUMBER: 140:217628

TITLE: Preparation of substituted pyrrolopyridines as Itk kinase inhibitors

INVENTOR(S): Aadal Nielsen, Peter; Brimert, Thomas; Kristoffersson, Anna; Linnanen, Tero; Sjöe, Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

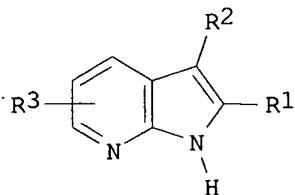
DOCUMENT TYPE: Patent

LANGUAGE: English

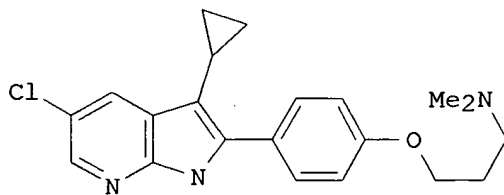
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE              | APPLICATION NO. | DATE       |
|------------------------|--|-------------------|-----------------|------------|
| WO 2004016610          | A1   | 20040226          | WO 2003-SE1275  | 20030813   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |                   |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |                   |                 |            |
| AU 2003253532          | A1   | 20040303          | AU 2003-253532  | 20030813   |
| EP 1539758             | A1   | 20050615          | EP 2003-788212  | 20030813   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |                   |                 |            |
| JP 2006500363          | T  | 20060105          | JP 2004-529000  | 20030813   |
| US 2005215582          | A1   | 20050929          | US 2005-524361  | 20050210   |
| PRIORITY APPLN. INFO.: |  |                   | SE 2002-2463    | A 20020814 |
|                        |  |                   | WO 2003-SE1275  | W 20030813 |
| OTHER SOURCE(S):       |  | MARPAT 140:217628 |                 |            |
| GI                     |  |                   |                 |            |



I



II

AB The title compds. [I; R1 = (un)substituted Ph or 5-6 membered aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S and N; R2 =

(un)substituted (un)saturated 3-7 membered ring optionally including 1 or 2 heteroatoms selected from O, N and SOn (n = 0-2); R3 = H, halo, alkyl, alkoxy, CN] and their salts, useful in the treatment or prophylaxis of human diseases or conditions in which inhibition of Itk kinase activity is beneficial such as asthma and allergic rhinitis, were prepared E.g., a 3-step synthesis of II, starting from 5-chloro-3-iodopyridin-2-amine and 2-methyl-4-trimethylsilyl-1-buten-3-yne, was given. The exemplified compds. I showed IC50 of < 25  $\mu$ M against Itk kinase. The pharmaceutical composition comprising the compound I is claimed.

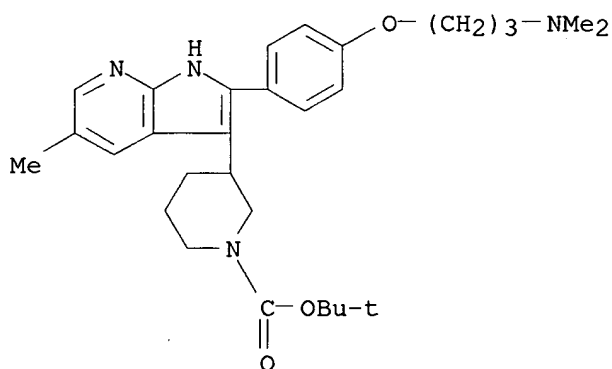
IT 664361-84-6P 664361-85-7P 664361-89-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

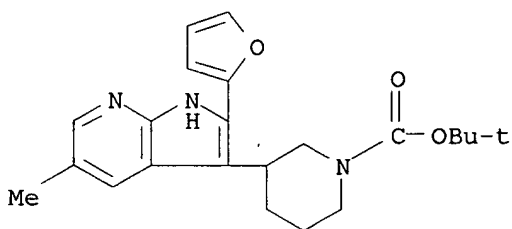
RN 664361-84-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



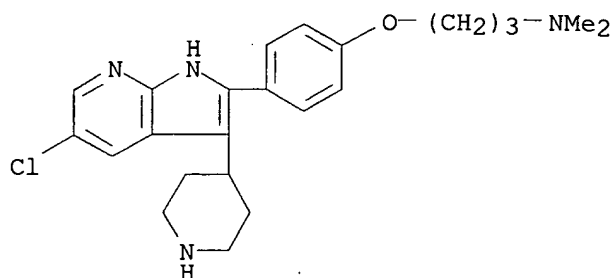
RN 664361-85-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[2-(2-furanyl)-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 664361-89-1 CAPLUS

CN 1-Propanamine, 3-[4-[5-chloro-3-(4-piperidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



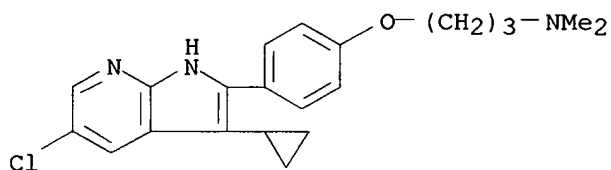
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 664361-93-7P 664361-94-8P 664361-95-9P  
 664361-96-0P 664361-97-1P 664361-98-2P  
 664361-99-3P 664362-00-9P 664362-01-0P  
 664362-02-1P 664362-03-2P 664362-04-3P  
 664362-05-4P 664362-06-5P 664362-07-6P  
 664362-08-7P 664362-09-8P 664362-22-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

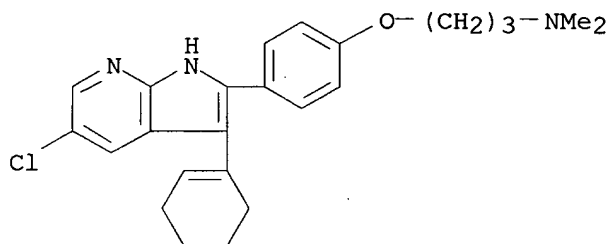
RN 664361-81-3 CAPLUS

CN 1-Propanamine, 3-[4-(5-chloro-3-cyclopropyl-1H-pyrrolo[2,3-b]pyridin-2-yl)phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 664361-82-4 CAPLUS

CN 1-Propanamine, 3-[4-[5-chloro-3-(1-cyclohexen-1-yl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



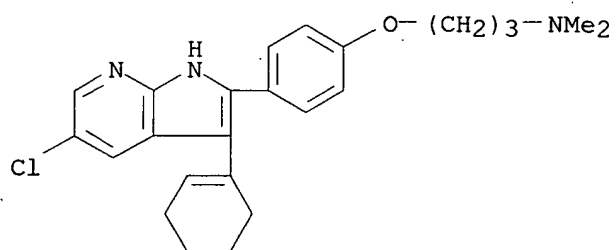
RN 664361-83-5 CAPLUS

CN 1-Propanamine, 3-[4-[5-chloro-3-(1-cyclohexen-1-yl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664361-82-4

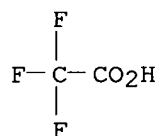
CMF C24 H28 Cl N3 O



CM 2

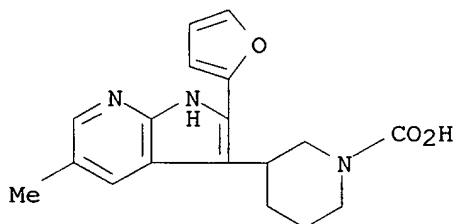
CRN 76-05-1

CMF C2 H F3 O2



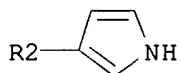
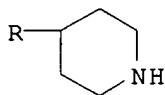
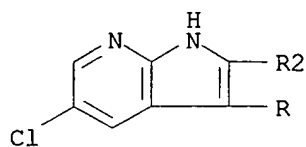
RN 664361-86-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[2-(2-furanyl)-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



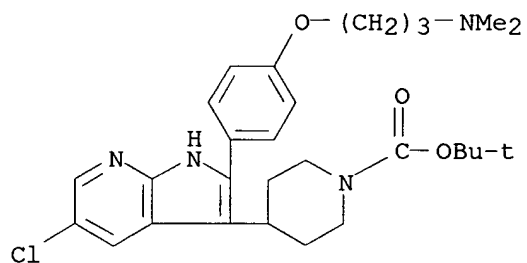
RN 664361-87-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-3-(4-piperidinyl)-2-(1H-pyrrol-3-yl)- (9CI) (CA INDEX NAME)



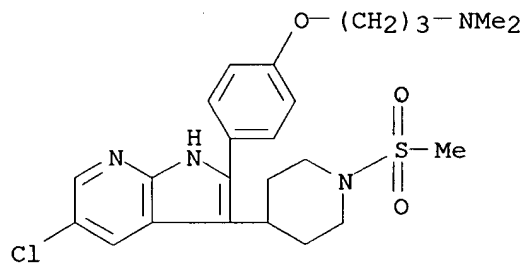
RN 664361-88-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[5-chloro-2-[4-[3-(dimethylamino)propoxy]phenyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



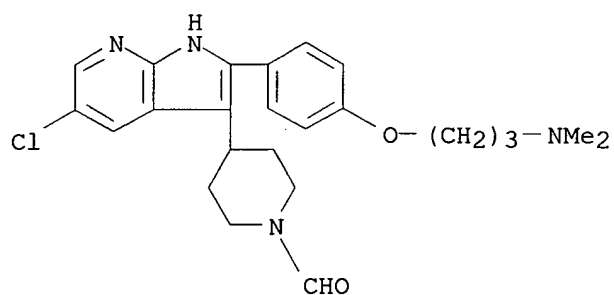
RN 664361-90-4 CAPLUS

CN Piperidine, 4-[5-chloro-2-[4-[3-(dimethylamino)propoxy]phenyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



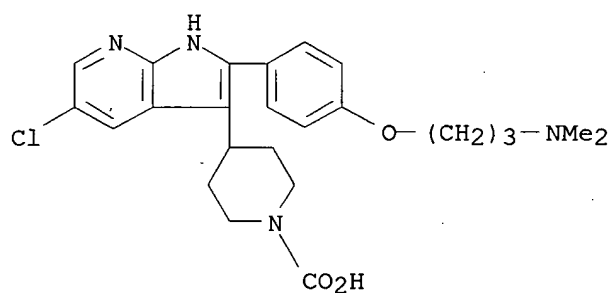
RN 664361-91-5 CAPLUS

CN 1-Piperidinecarboxaldehyde, 4-[5-chloro-2-[4-[3-(dimethylamino)propoxy]phenyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



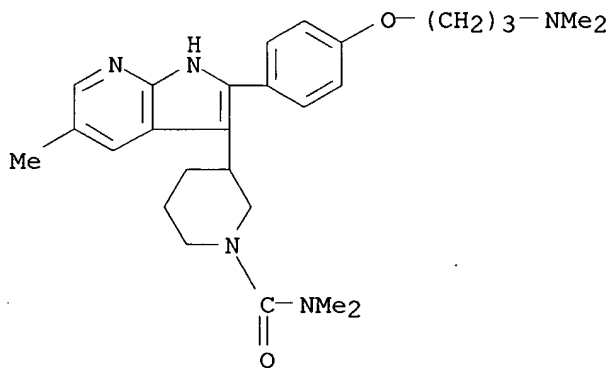
RN 664361-92-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[5-chloro-2-[4-[3-(dimethylamino)propoxy]phenyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



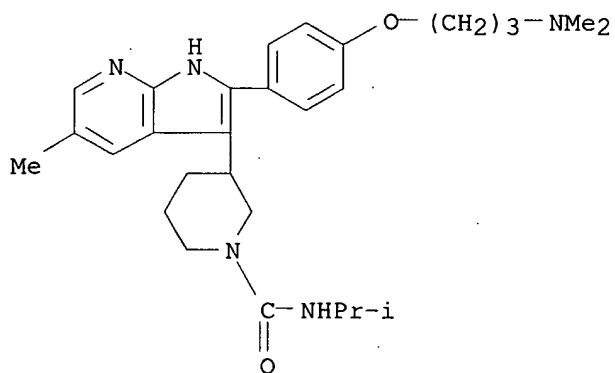
RN 664361-93-7 CAPLUS

CN 1-Piperidinecarboxamide, 3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



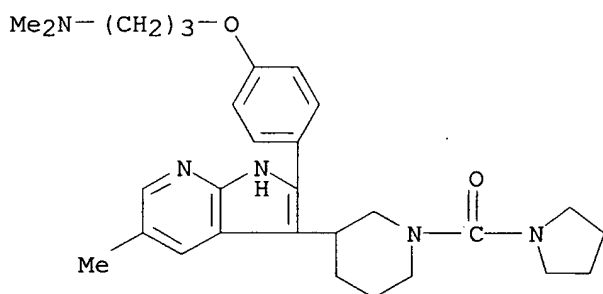
RN 664361-94-8 CAPLUS

CN 1-Piperidinecarboxamide, 3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



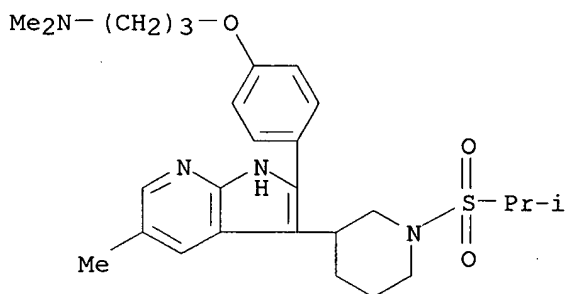
RN 664361-95-9 CAPLUS

CN Piperidine, 3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 664361-96-0 CAPLUS

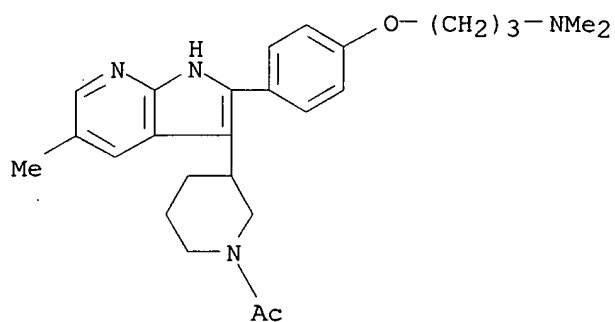
CN Piperidine, 3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 664361-97-1 CAPLUS

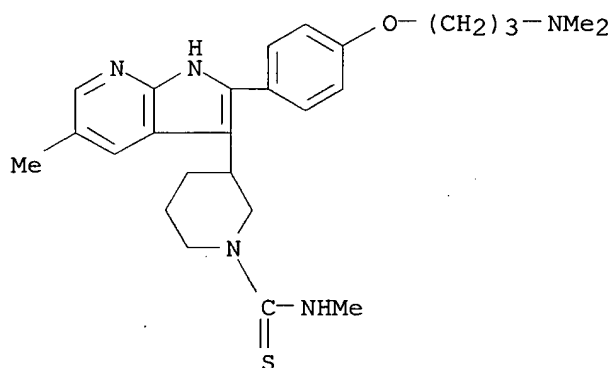
CN Piperidine, 1-acetyl-3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)





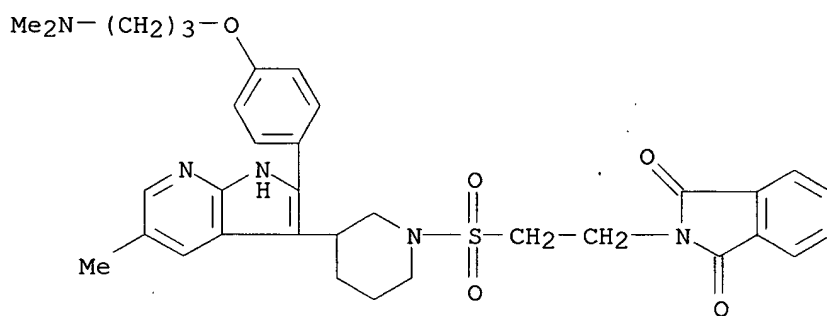
RN 664361-98-2 CAPLUS

CN 1-Piperidinecarbothioamide, 3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-N-methyl- (9CI) (CA INDEX NAME)



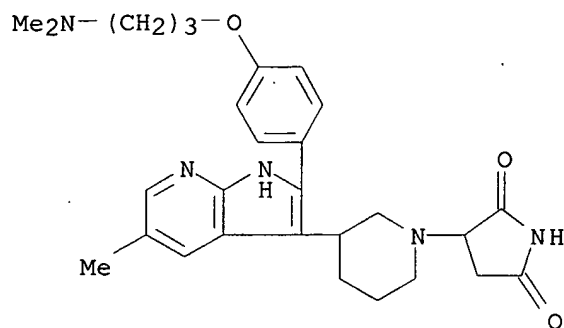
RN 664361-99-3 CAPLUS

CN Piperidine, 1-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]sulfonyl]-3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



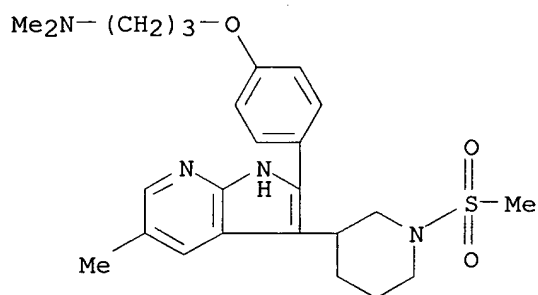
RN 664362-00-9 CAPLUS

CN 2,5-Pyrrolidinedione, 3-[3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



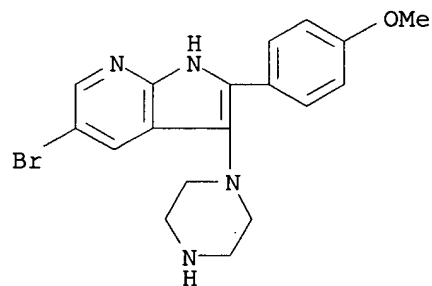
RN 664362-01-0 CAPLUS

CN Piperidine, 3-[2-[4-[3-(dimethylamino)propoxy]phenyl]-5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 664362-02-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(4-methoxyphenyl)-3-(1-piperazinyl)- (9CI) (CA INDEX NAME)



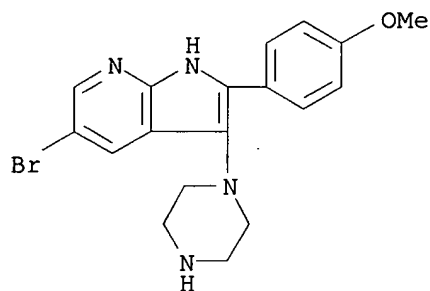
RN 664362-03-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(4-methoxyphenyl)-3-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664362-02-1

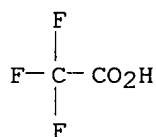
CMF C18 H19 Br N4 O



CM 2

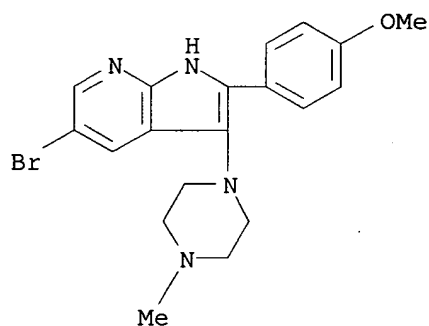
CRN 76-05-1

CMF C2 H F3 O2



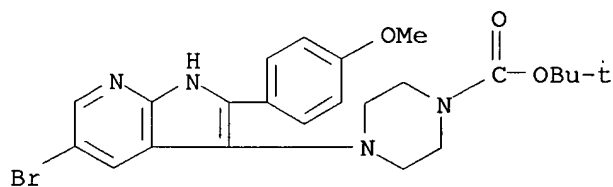
RN 664362-04-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(4-methoxyphenyl)-3-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 664362-05-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-bromo-2-(4-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



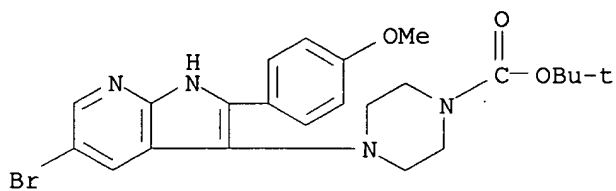
RN 664362-06-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-bromo-2-(4-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664362-05-4

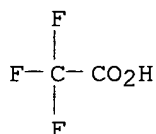
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CM 2

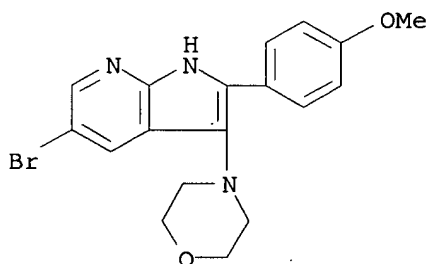
CRN 76-05-1

CMF C2 H F3 O2



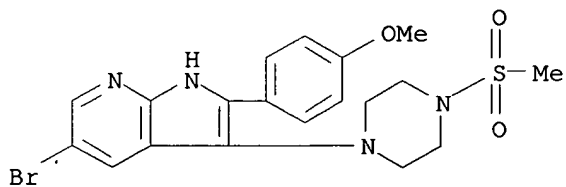
RN 664362-07-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(4-methoxyphenyl)-3-(4-morpholinyl)-  
(9CI) (CA INDEX NAME)



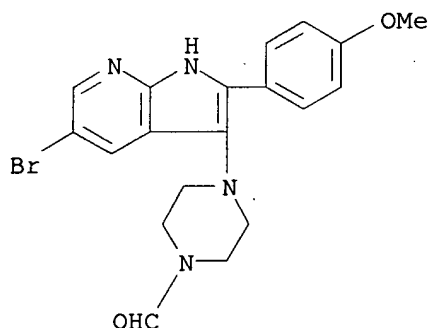
RN 664362-08-7 CAPLUS

CN Piperazine, 1-[5-bromo-2-(4-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-  
4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



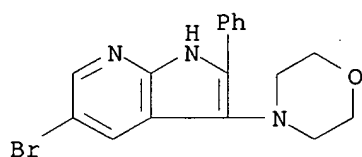
RN 664362-09-8 CAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[5-bromo-2-(4-methoxyphenyl)-1H-pyrrolo[2,3-  
b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



RN 664362-22-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-(4-morpholinyl)-2-phenyl- (9CI) (CA INDEX NAME)



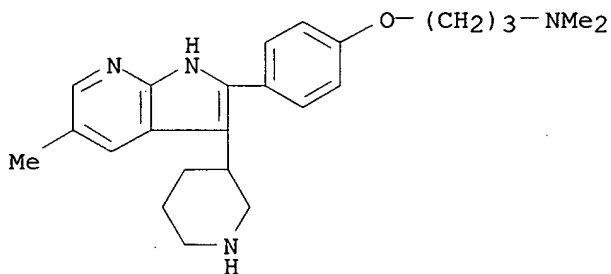
IT 664362-18-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

RN 664362-18-9 CAPLUS

CN 1-Propanamine, N,N-dimethyl-3-[4-[5-methyl-3-(3-piperidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162687 CAPLUS

DOCUMENT NUMBER: 140:217627

TITLE: Preparation of substituted pyrrolopyridines as Itk kinase inhibitors

INVENTOR(S): Aadal Nielsen, Peter; Brimert, Thomas; Kristoffersson, Anna; Linnanen, Tero; Sjöe, Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

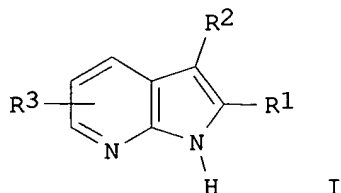
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2004016609          | A1   | 20040226 | WO 2003-SE1272  | 20030813   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| AU 2003248588          | A1   | 20040303 | AU 2003-248588  | 20030813   |
| EP 1539757             | A1   | 20050615 | EP 2003-788209  | 20030813   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |            |
| JP 2006500362          | T  | 20060105 | JP 2004-528997  | 20030813   |
| US 2005261331          | A1   | 20051124 | US 2005-524626  | 20050210   |
| PRIORITY APPLN. INFO.: |  |          | SE 2002-2463    | A 20020814 |
|                        |  |          | WO 2003-SE1272  | W 20030813 |
| OTHER SOURCE(S):       | MARPAT 140:217627  |          |                 |            |
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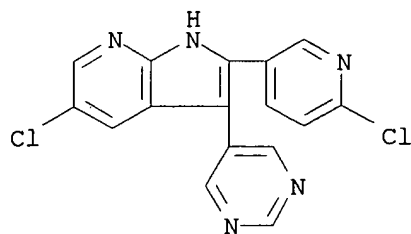


AB The title compds. [I; R1 = (un)substituted Ph, 5-6 membered aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S and N; R2 = (un)substituted Ph, 5-6 membered aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S and N; R3 = H, halo, alkyl, alkoxy, CN] and their salts, useful for treating or reducing the risk of a human disease or condition in which inhibition of Itk kinase activity is beneficial (such as asthma and allergic rhinitis), were prepared Thus, reacting 2-(4-methoxyphenyl)-1-phenylethanone with 5-bromo-2-hydrazinopyridine at 230° afforded 58% 5-bromo-3-(4-methoxyphenyl)-2-phenyl-1H-pyrrolo[2,3-b]pyridine. The exemplified compds. I showed IC50 of < 25 μM against Itk kinase. The pharmaceutical composition comprising the compound I is claimed.

IT 664991-25-7P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

RN 664991-25-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-2-(6-chloro-3-pyridinyl)-3-(5-pyrimidinyl)- (9CI) (CA INDEX NAME)



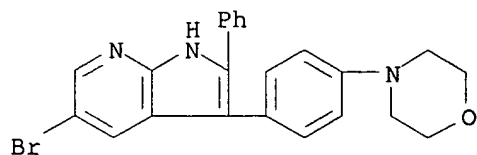
IT 663884-05-7P 663884-06-8P 663884-07-9P  
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 664990-56-1P 664990-57-2P 664990-58-3P  
 664990-59-4P 664990-60-7P 664990-61-8P  
 664990-62-9P 664990-63-0P 664990-64-1P  
 664990-65-2P 664990-66-3P 664990-67-4P  
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 664990-72-1P 664990-73-2P 664990-74-3P  
 664990-75-4P 664990-76-5P 664990-77-6P  
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 664991-54-2P 664991-91-7P 664991-92-8P  
 664991-93-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

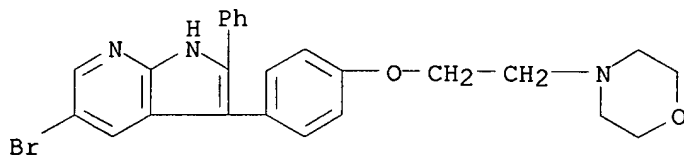
RN 663884-05-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-(4-morpholinyl)phenyl]-2-phenyl-  
 (9CI) (CA INDEX NAME)



RN 663884-06-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



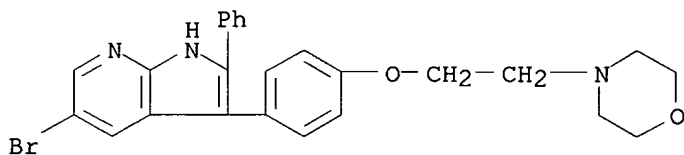
RN 663884-07-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 663884-06-8

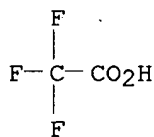
CMF C25 H24 Br N3 O2



CM 2

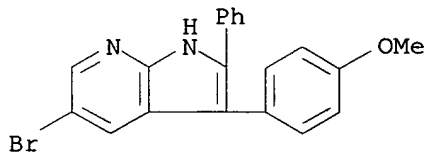
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-45-8 CAPLUS

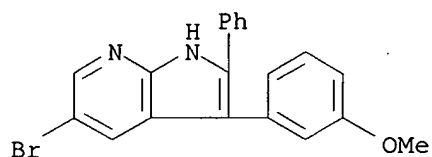
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 664990-46-9 CAPLUS

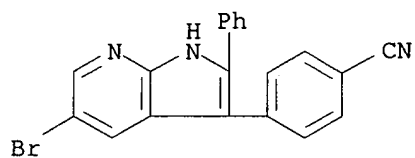
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-(3-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)





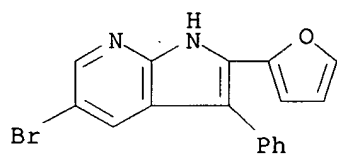
RN 664990-47-0 CAPLUS

CN Benzonitrile, 4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI)  
(CA INDEX NAME)



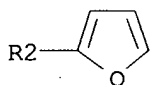
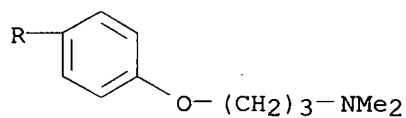
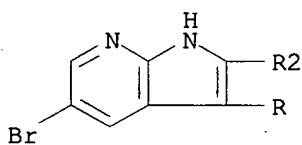
RN 664990-48-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(2-furanyl)-3-phenyl- (9CI) (CA  
INDEX NAME)



RN 664990-50-5 CAPLUS

CN 1-Propanamine, 3-[4-[5-bromo-2-(2-furanyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



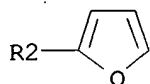
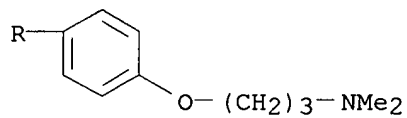
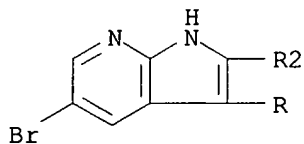
RN 664990-51-6 CAPLUS

CN 1-Propanamine, 3-[4-[5-bromo-2-(2-furanyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]phenoxy]-N,N-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-50-5

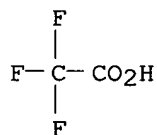
CMF C22 H22 Br N3 O2



CM 2

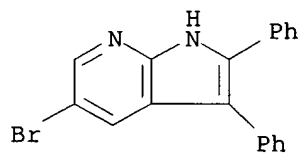
CRN 76-05-1

CMF C2 H F3 O2



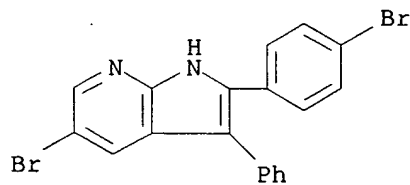
RN 664990-53-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-diphenyl- (9CI) (CA INDEX NAME)



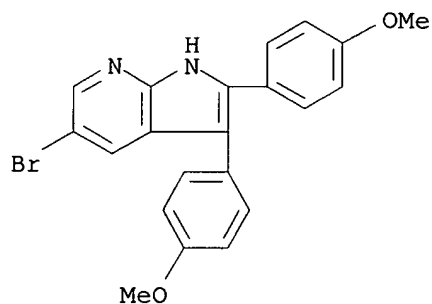
RN 664990-54-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(4-bromophenyl)-3-phenyl- (9CI) (CA INDEX NAME)



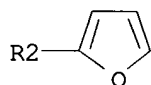
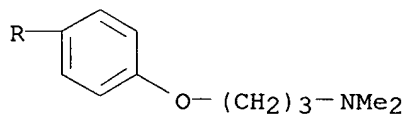
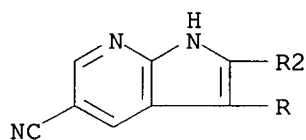
RN 664990-55-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 664990-56-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-[3-(dimethylamino)propoxy]phenyl]-2-(2-furanyl)- (9CI) (CA INDEX NAME)



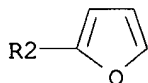
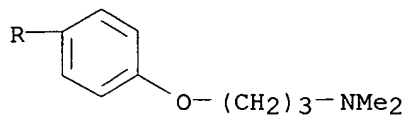
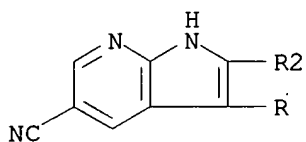
RN 664990-57-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-[3-(dimethylamino)propoxy]phenyl]-2-(2-furanyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-56-1

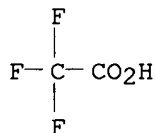
CMF C23 H22 N4 O2



CM 2

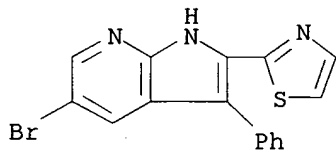
CRN 76-05-1

CMF C2 H F3 O2



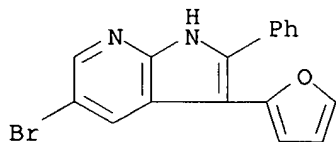
RN 664990-58-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-phenyl-2-(2-thiazolyl)- (9CI) (CA INDEX NAME)



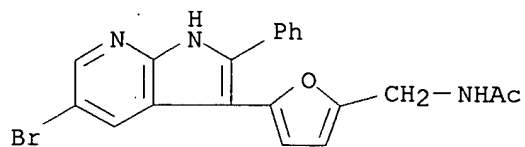
RN 664990-59-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-(2-furanyl)-2-phenyl- (9CI) (CA INDEX NAME)



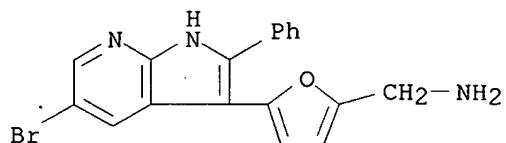
RN 664990-60-7 CAPLUS

CN Acetamide, N-[[5-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-furanyl]methyl]- (9CI) (CA INDEX NAME)



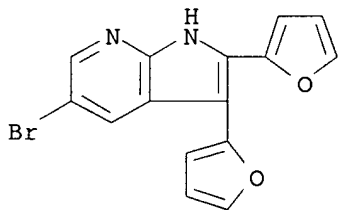
RN 664990-61-8 CAPLUS

CN 2-Furanmethanamine, 5-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



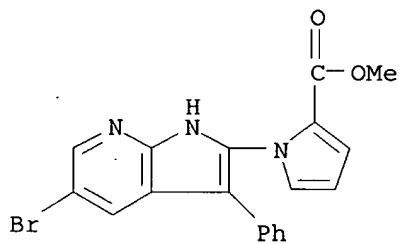
RN 664990-62-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-di-2-furanyl- (9CI) (CA INDEX NAME)



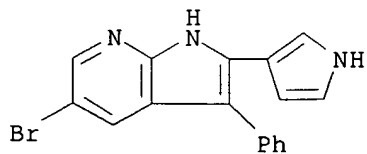
RN 664990-63-0 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-(5-bromo-3-phenyl-1H-pyrrolo[2,3-b]pyridin-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



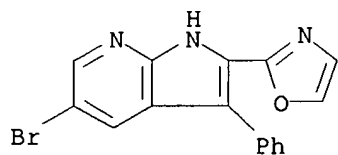
RN 664990-64-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-phenyl-2-(1H-pyrrol-3-yl)- (9CI) (CA INDEX NAME)



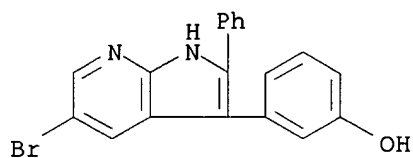
RN 664990-65-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(2-oxazolyl)-3-phenyl- (9CI) (CA INDEX NAME)



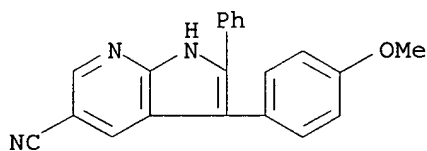
RN 664990-66-3 CAPLUS

CN Phenol, 3-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



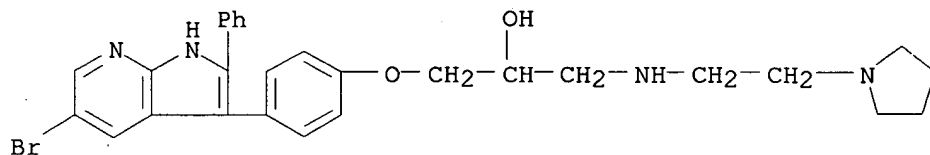
RN 664990-67-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 664990-68-5 CAPLUS

CN 2-Propanol, 1-[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]-3-[[2-(1-pyrrolidinyl)ethyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

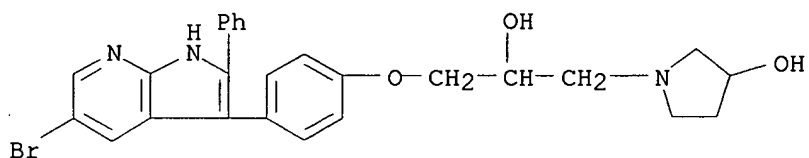
RN 664990-70-9 CAPLUS

CN 1-Pyrrolidineethanol, α-[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]methyl]-3-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-69-6

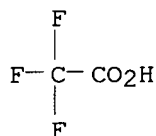
CMF C26 H26 Br N3 O3



CM 2

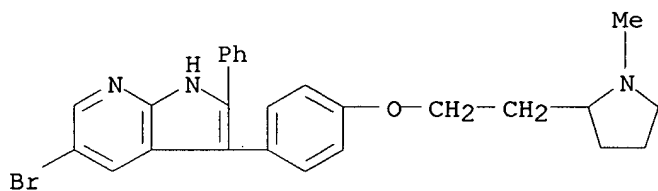
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-71-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-[2-(1-methyl-2-pyrrolidinyl)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



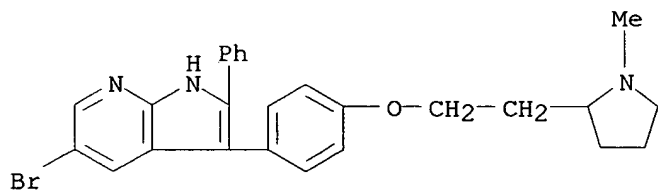
RN 664990-72-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-[2-(1-methyl-2-pyrrolidinyl)ethoxy]phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-71-0

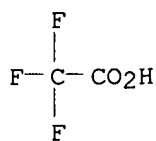
CMF C26 H26 Br N3 O



CM 2

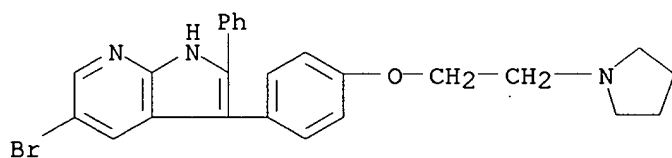
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-73-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-phenyl-3-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



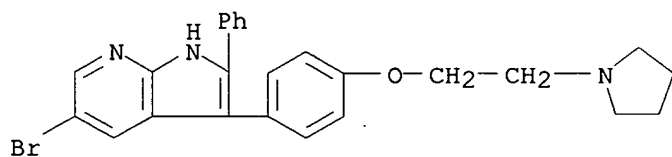
RN 664990-74-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-phenyl-3-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-73-2

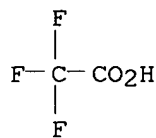
CMF C25 H24 Br N3 O



CM 2

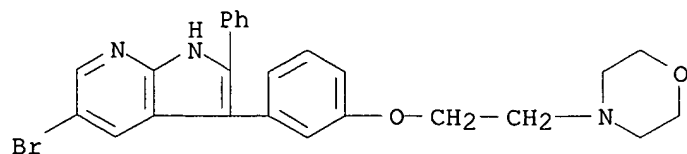
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-75-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[3-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

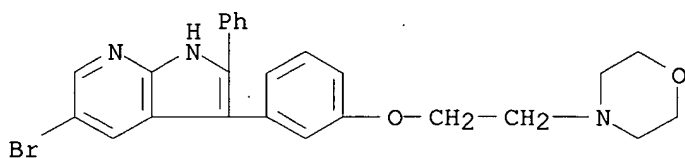




RN 664990-76-5 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[3-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

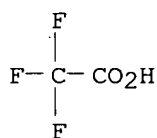
CM 1

CRN 664990-75-4  
CMF C25 H24 Br N3 O2

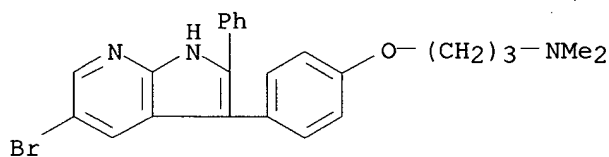


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



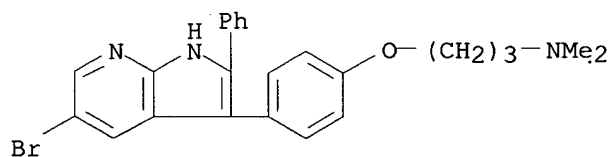
RN 664990-77-6 CAPLUS  
CN 1-Propanamine, 3-[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 664990-78-7 CAPLUS  
CN 1-Propanamine, 3-[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]-N,N-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

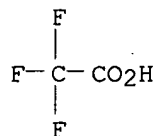
CM 1

CRN 664990-77-6  
CMF C24 H24 Br N3 O

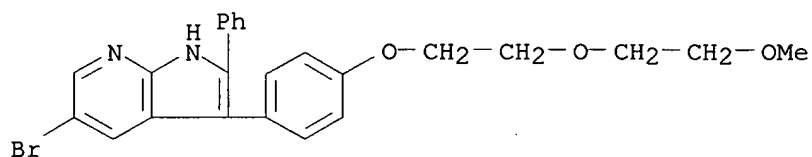


CM 2

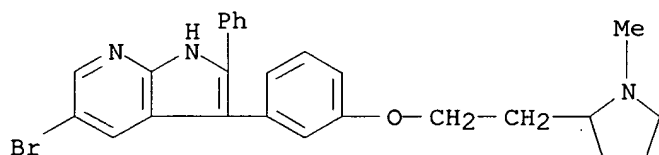
CRN 76-05-1  
CMF C2 H F3 O2



RN 664990-79-8 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-[2-(2-methoxyethoxy)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



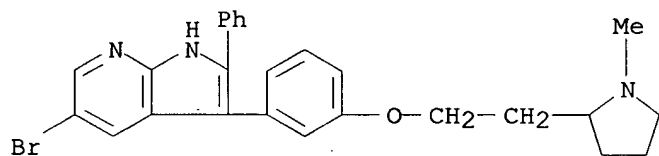
RN 664990-80-1 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[3-[2-(1-methyl-2-pyrrolidinyl)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 664990-81-2 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[3-[2-(1-methyl-2-pyrrolidinyl)ethoxy]phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

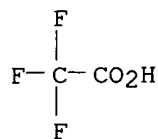
CM 1

CRN 664990-80-1  
CMF C26 H26 Br N3 O



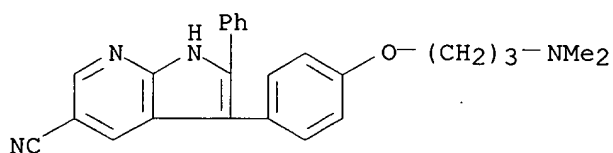
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 664990-82-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-[3-(dimethylamino)propoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



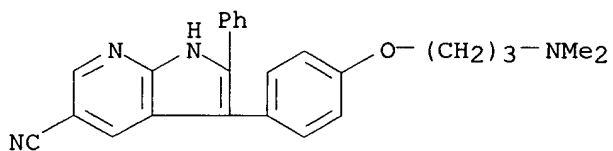
RN 664990-83-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-[3-(dimethylamino)propoxy]phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-82-3

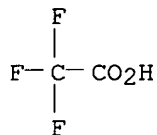
CMF C25 H24 N4 O



CM 2

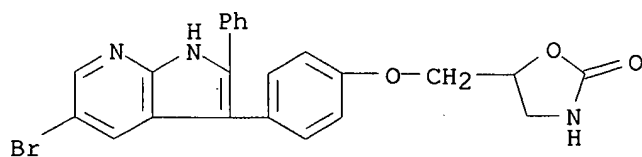
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-84-5 CAPLUS

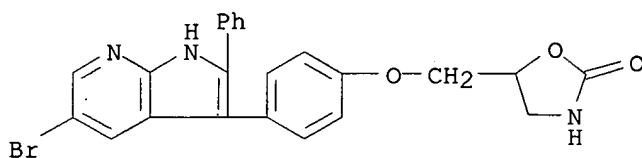
CN 2-Oxazolidinone, 5-[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 664990-85-6 CAPLUS  
 CN 2-Oxazolidinone, 5-[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

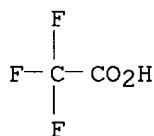
CM 1

CRN 664990-84-5  
 CMF C23 H18 Br N3 O3

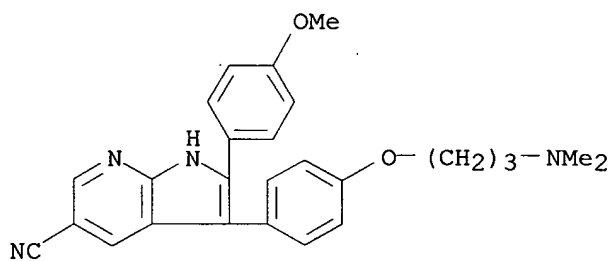


CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



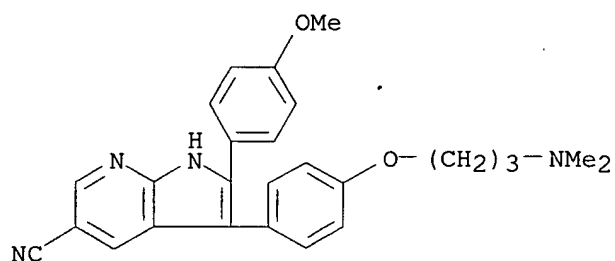
RN 664990-86-7 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-[3-(dimethylamino)propoxy]phenyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 664990-87-8 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-[3-(dimethylamino)propoxy]phenyl]-2-(4-methoxyphenyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

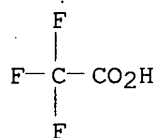
CRN 664990-86-7  
 CMF C26 H26 N4 O2



CM 2

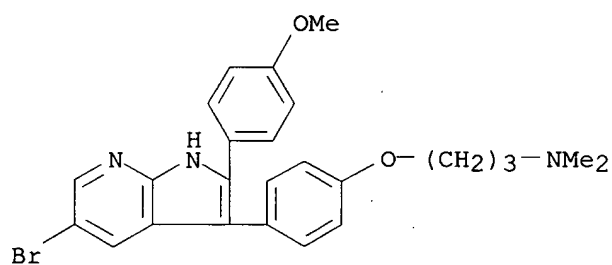
CRN 76-05-1

CMF C2 H F3 O2



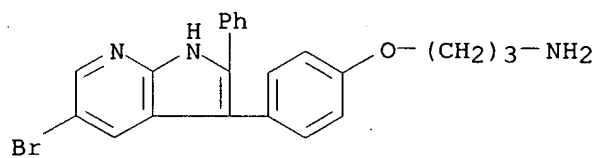
RN 664990-88-9 CAPLUS

CN 1-Propanamine, 3-[4-[5-bromo-2-(4-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 664990-89-0 CAPLUS

CN 1-Propanamine, 3-[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]- (9CI) (CA INDEX NAME)



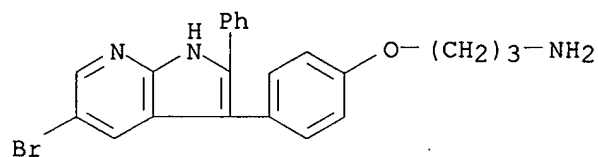
RN 664990-90-3 CAPLUS

CN 1-Propanamine, 3-[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-89-0

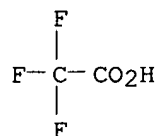
CMF C22 H20 Br N3 O



CM 2

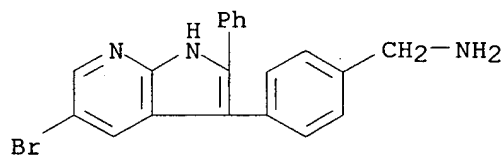
CRN 76-05-1

CMF C2 H F3 O2



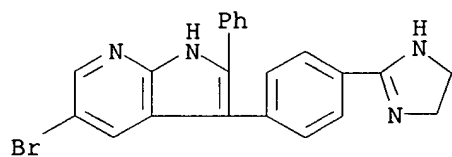
RN 664990-91-4 CAPLUS

CN Benzenemethanamine, 4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-  
(9CI) (CA INDEX NAME)



RN 664990-92-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



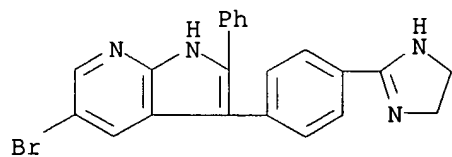
RN 664990-93-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-92-5

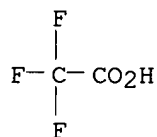
CMF C22 H17 Br N4



CM 2

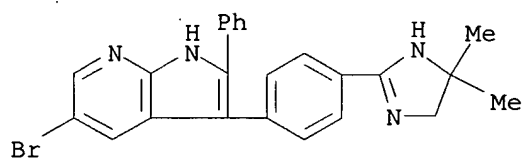
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-94-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-(4,5-dihydro-4,4-dimethyl-1H-imidazol-2-yl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



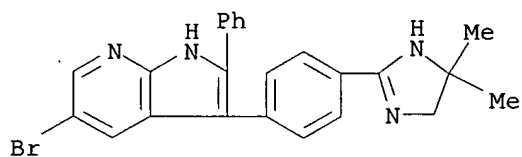
RN 664990-95-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-(4,5-dihydro-4,4-dimethyl-1H-imidazol-2-yl)phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-94-7

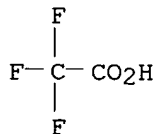
CMF C24 H21 Br N4



CM 2

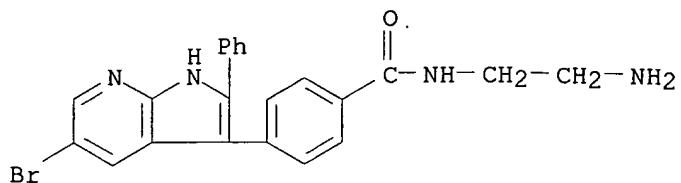
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-96-9 CAPLUS

CN Benzamide, N-(2-aminoethyl)-4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



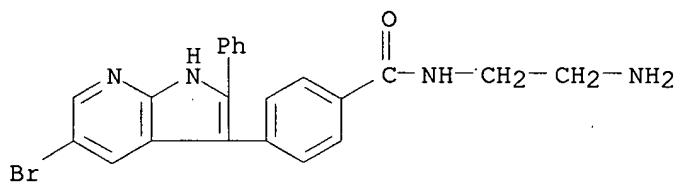
RN 664990-97-0 CAPLUS

CN Benzamide, N-(2-aminoethyl)-4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664990-96-9

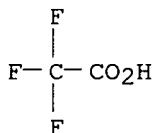
CMF C22 H19 Br N4 O



CM 2

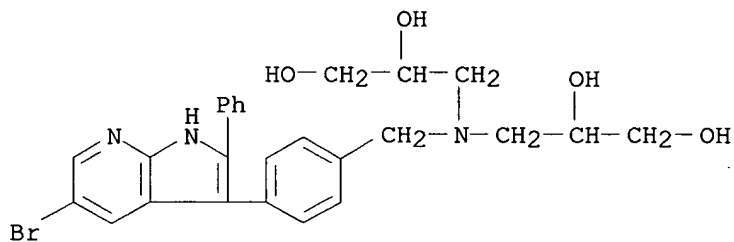
CRN 76-05-1

CMF C2 H F3 O2



RN 664990-98-1 CAPLUS

CN 1,2-Propanediol, 3,3'-[[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenyl]methyl]imino]bis- (9CI) (CA INDEX NAME)



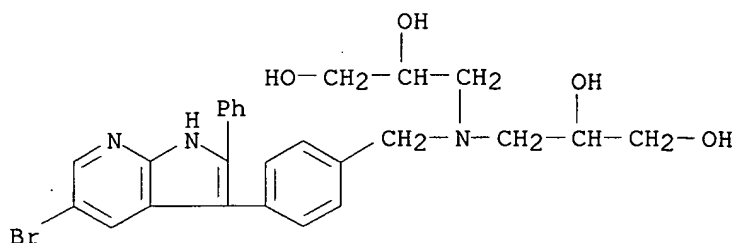
RN 664990-99-2 CAPLUS

CN 1,2-Propanediol, 3,3'-[[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenyl]methyl]imino]bis-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

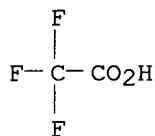


CRN 664990-98-1  
CMF C26 H28 Br N3 O4

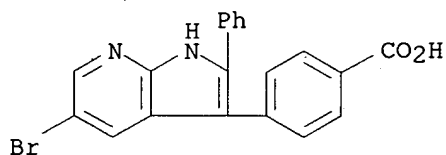


CM 2

CRN 76-05-1  
CMF C2 H F3 O2

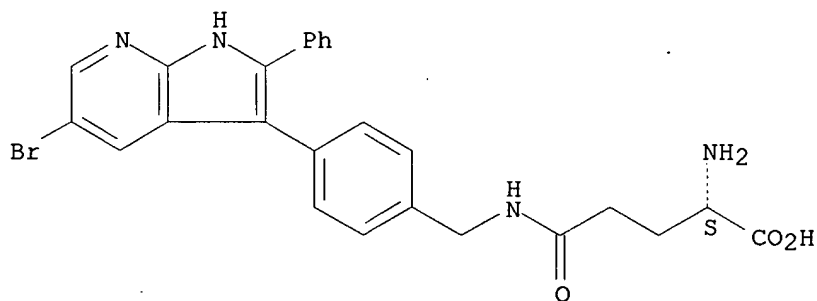


RN 664991-00-8 CAPLUS  
CN Benzoic acid, 4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI)  
(CA INDEX NAME)



RN 664991-01-9 CAPLUS  
CN L-Glutamine, N-[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



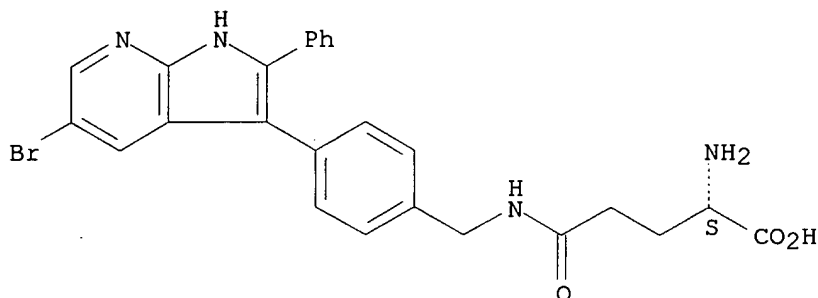
RN 664991-02-0 CAPLUS  
CN L-Glutamine, N-[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-01-9

CMF C25 H23 Br N4 O3

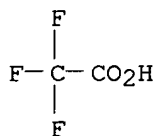
Absolute stereochemistry.



CM 2

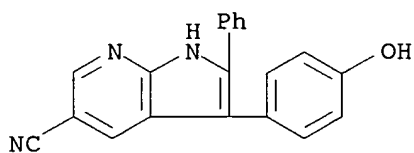
CRN 76-05-1

CMF C2 H F3 O2



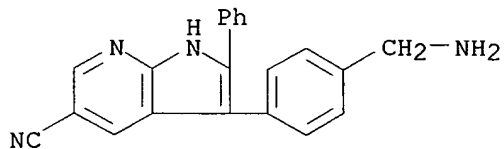
RN 664991-03-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-(4-hydroxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 664991-04-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-(aminomethyl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



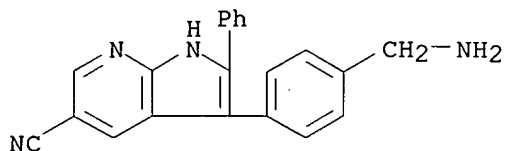
RN 664991-05-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-(aminomethyl)phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-04-2

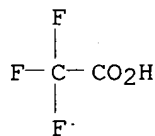
CMF C21 H16 N4



CM 2

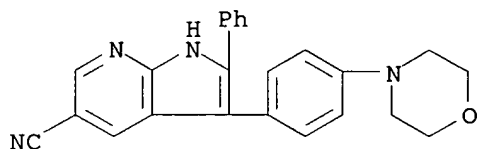
CRN 76-05-1

CMF C2 H F3 O2



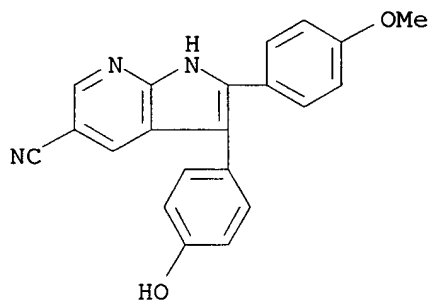
RN 664991-06-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-[4-(4-morpholinyl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



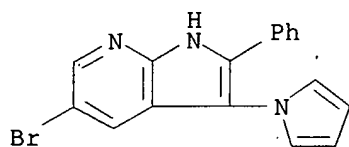
RN 664991-07-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 3-(4-hydroxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



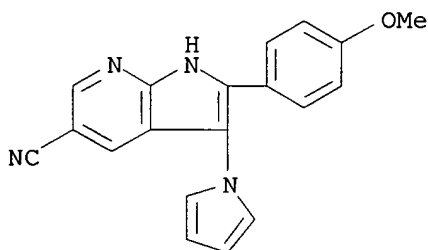
RN 664991-08-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-phenyl-3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



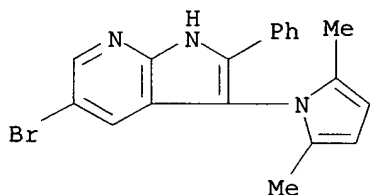
RN 664991-09-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 2-(4-methoxyphenyl)-3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



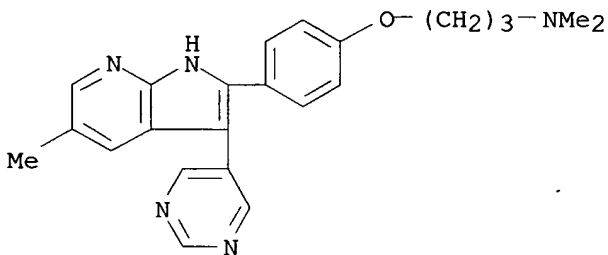
RN 664991-10-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-(2,5-dimethyl-1H-pyrrol-1-yl)-2-phenyl- (9CI) (CA INDEX NAME)



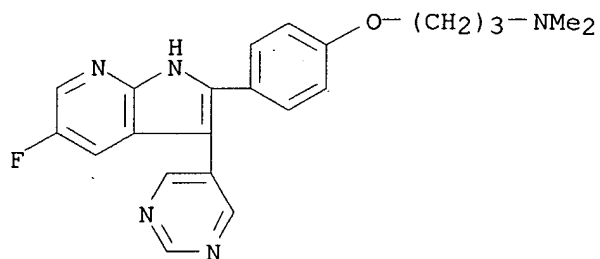
RN 664991-11-1 CAPLUS

CN 1-Propanamine, N,N-dimethyl-3-[4-[5-methyl-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



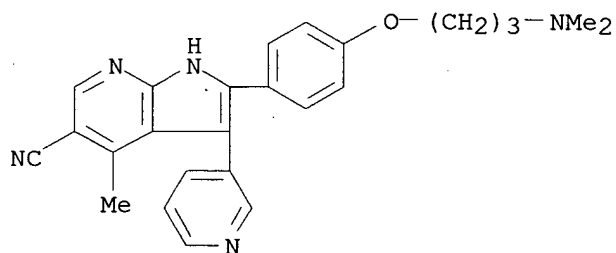
RN 664991-12-2 CAPLUS

CN 1-Propanamine, 3-[4-[5-fluoro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



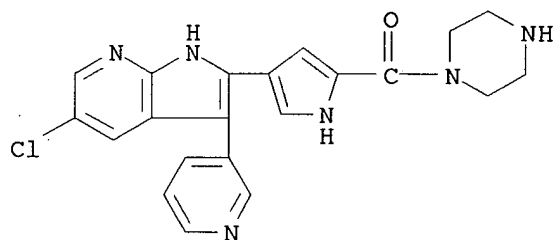
RN 664991-13-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 2-[4-[3-(dimethylamino)propoxy]phenyl]-4-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 664991-14-4 CAPLUS

CN Piperazine, 1-[[4-[5-chloro-3-(3-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-pyrrol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



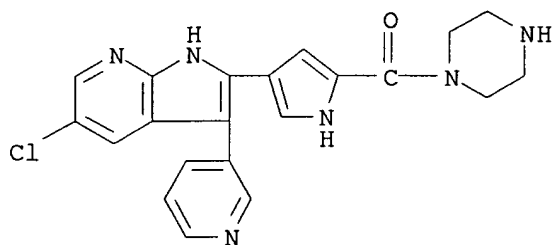
RN 664991-15-5 CAPLUS

CN Piperazine, 1-[[4-[5-chloro-3-(3-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-pyrrol-2-yl]carbonyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-14-4

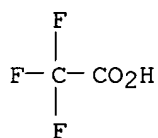
CMF C21 H19 Cl N6 O



CM 2

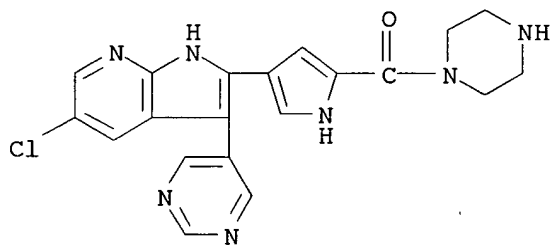
CRN 76-05-1

CMF C2 H F3 O2



RN 664991-16-6 CAPLUS

CN Piperazine, 1-[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-pyrrol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



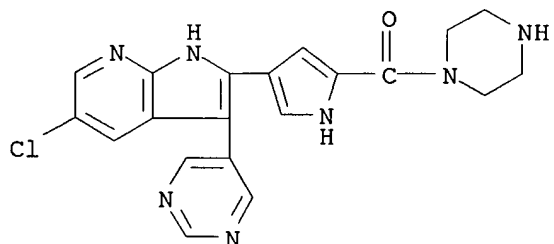
RN 664991-17-7 CAPLUS

CN Piperazine, 1-[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-pyrrol-2-yl]carbonyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-16-6

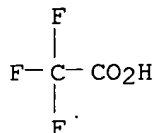
CMF C20 H18 Cl N7 O



CM 2

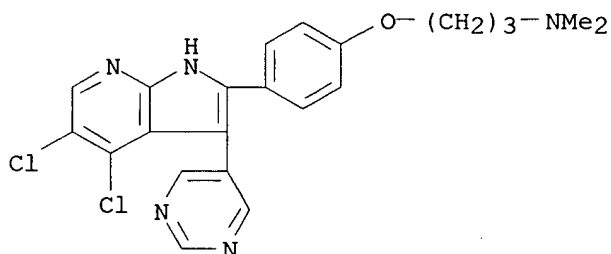
CRN 76-05-1

CMF C2 H F3 O2



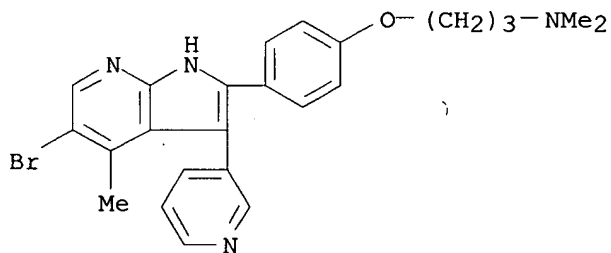
RN 664991-18-8 CAPLUS

CN 1-Propanamine, 3-[4-[4,5-dichloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



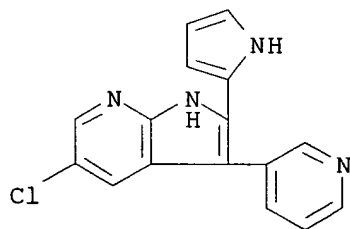
RN 664991-19-9 CAPLUS

CN 1-Propanamine, 3-[4-[5-bromo-4-methyl-3-(3-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



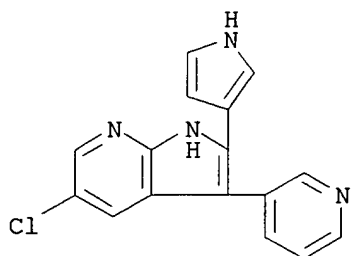
RN 664991-20-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-3-(3-pyridinyl)-2-(1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)



RN 664991-21-3 CAPLUS

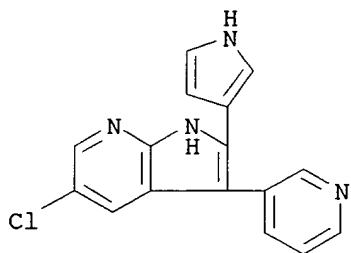
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-3-(3-pyridinyl)-2-(1H-pyrrol-3-yl)-  
(9CI) (CA INDEX NAME)



RN 664991-22-4 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-3-(3-pyridinyl)-2-(1H-pyrrol-3-yl)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

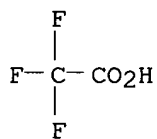
CM 1

CRN 664991-21-3  
CMF C16 H11 Cl N4



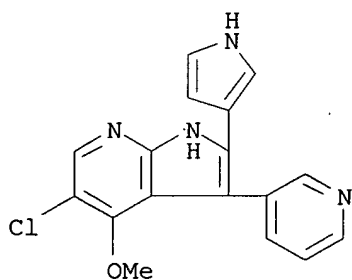
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 664991-23-5 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-4-methoxy-3-(3-pyridinyl)-2-(1H-pyrrol-  
3-yl)- (9CI) (CA INDEX NAME)





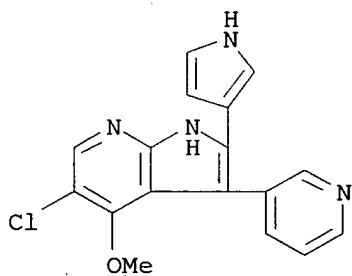
RN 664991-24-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-4-methoxy-3-(3-pyridinyl)-2-(1H-pyrrol-3-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-23-5

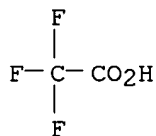
CMF C17 H13 Cl N4 O



CM 2

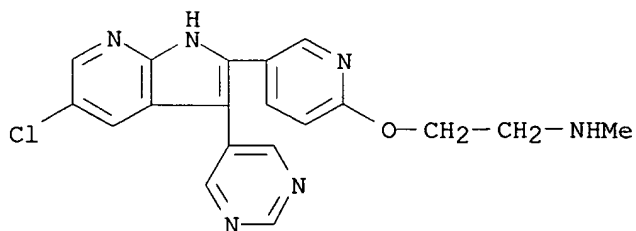
CRN 76-05-1

CMF C2 H F3 O2



RN 664991-26-8 CAPLUS

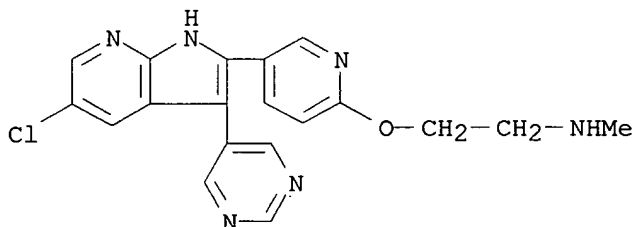
CN Ethanamine, 2-[[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 664991-27-9 CAPLUS  
 CN Ethanamine, 2-[[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]oxy]-N-methyl-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

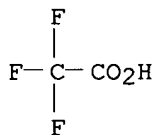
CM 1

CRN 664991-26-8  
 CMF C19 H17 Cl N6 O

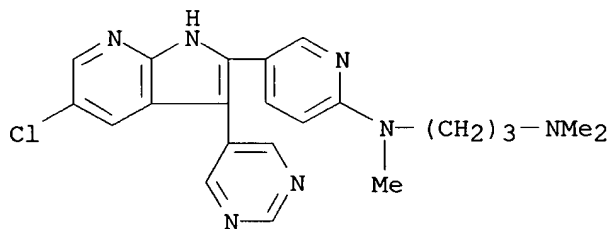


CM 2

CRN 76-05-1  
 CMF C2 H F3 O2

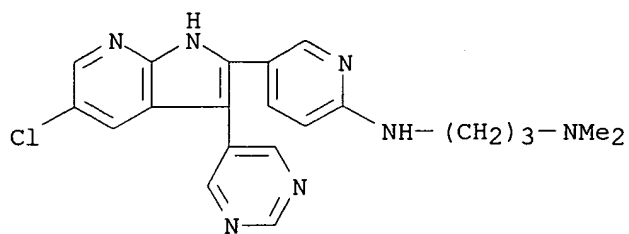


RN 664991-28-0 CAPLUS  
 CN 1,3-Propanediamine, N'-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]-N,N',N'-trimethyl-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 664991-29-1 CAPLUS  
 CN 1,3-Propanediamine, N'-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



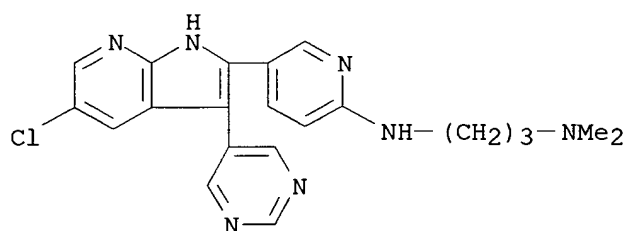
RN 664991-30-4 CAPLUS

CN 1,3-Propanediamine, N'-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]-N,N-dimethyl-, tris(trifluoroacetate) (9CI)  
(CA INDEX NAME)

CM 1

CRN 664991-29-1

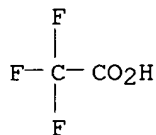
CMF C21 H22 Cl N7



CM 2

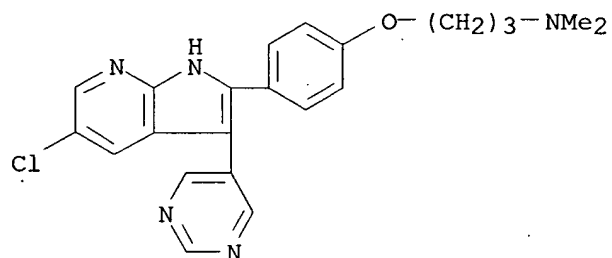
CRN 76-05-1

CMF C2 H F3 O2



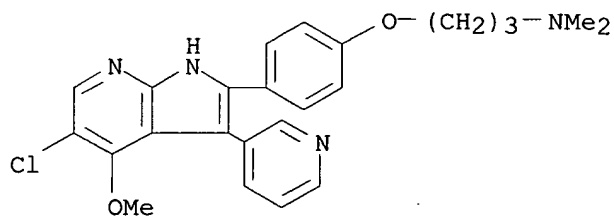
RN 664991-31-5 CAPLUS

CN 1-Propanamine, 3-[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



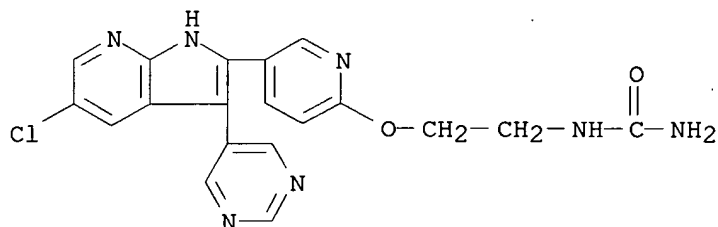
RN 664991-32-6 CAPLUS

CN 1-Propanamine, 3-[4-[5-chloro-4-methoxy-3-(3-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 664991-33-7 CAPLUS

CN Urea, [2-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



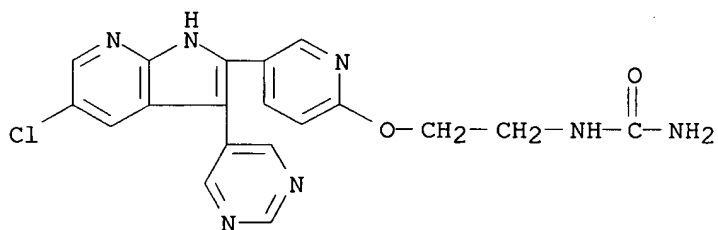
RN 664991-34-8 CAPLUS

CN Urea, [2-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]oxy]ethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-33-7

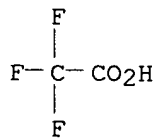
CMF C19 H16 Cl N7 O2



CM 2

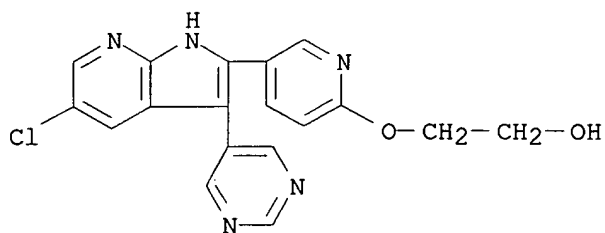
CRN 76-05-1

CMF C2 H F3 O2



RN 664991-35-9 CAPLUS

CN Ethanol, 2-[[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]oxy]- (9CI) (CA INDEX NAME)



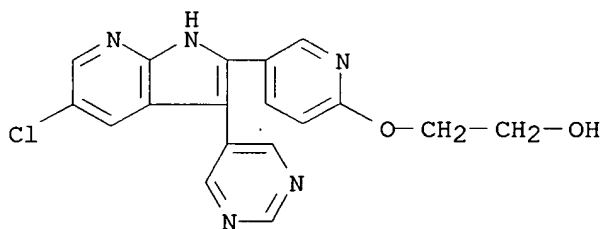
RN 664991-36-0 CAPLUS

CN Ethanol, 2-[[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]oxy]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-35-9

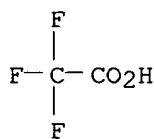
CMF C18 H14 Cl N5 O2



CM 2

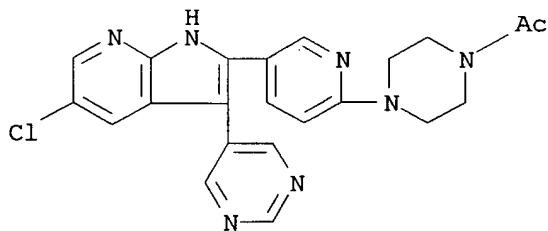
CRN 76-05-1

CMF C2 H F3 O2



RN 664991-37-1 CAPLUS

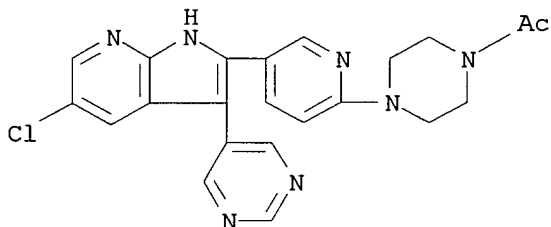
CN Piperazine, 1-acetyl-4-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 664991-38-2 CAPLUS  
CN Piperazine, 1-acetyl-4-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

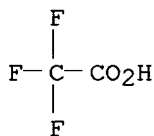
CM 1

CRN 664991-37-1  
CMF C22 H20 Cl N7 O

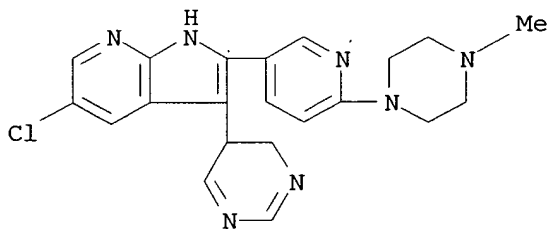


CM 2

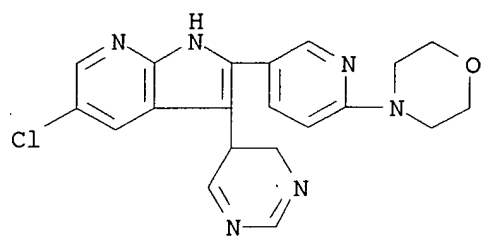
CRN 76-05-1  
CMF C2 H F3 O2



RN 664991-39-3 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-3-(4,5-dihydro-5-pyrimidinyl)-2-[6-(4-methyl-1-piperazinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

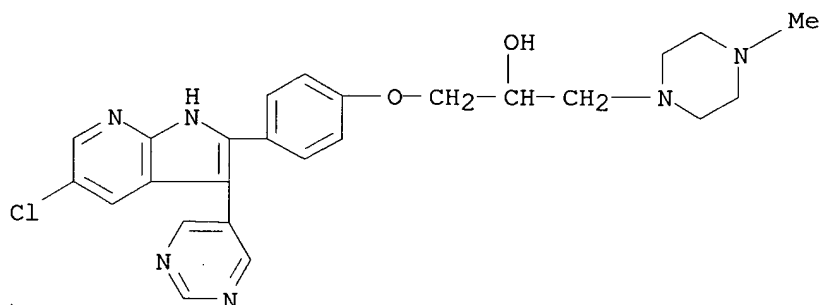


RN 664991-40-6 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-3-(4,5-dihydro-5-pyrimidinyl)-2-[6-(4-morpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 664991-41-7 CAPLUS

CN 1-Piperazineethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]-4-methyl- (9CI) (CA INDEX NAME)



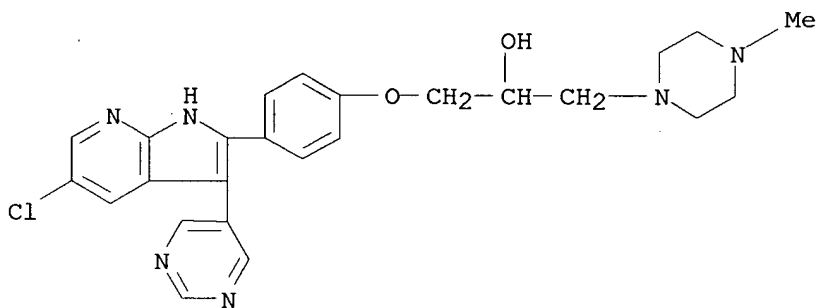
RN 664991-42-8 CAPLUS

CN 1-Piperazineethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]-4-methyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-41-7

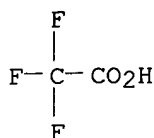
CMF C25 H27 Cl N6 O2



CM 2

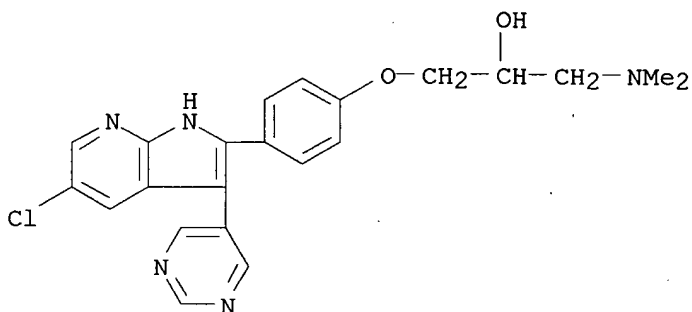
CRN 76-05-1

CMF C2 H F3 O2



RN 664991-43-9 CAPLUS

CN 2-Propanol, 1-[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)



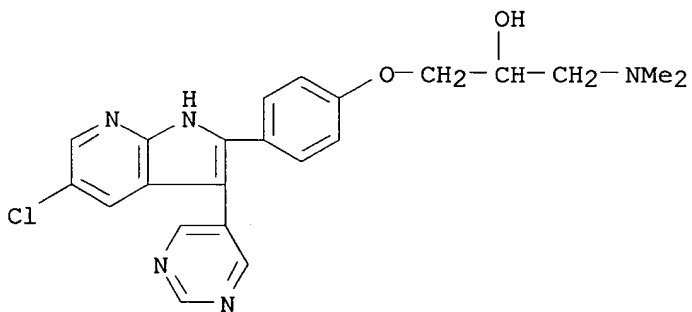
RN 664991-44-0 CAPLUS

CN 2-Propanol, 1-[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-3-(dimethylamino)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-43-9

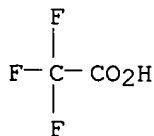
CMF C22 H22 Cl N5 O2



CM 2

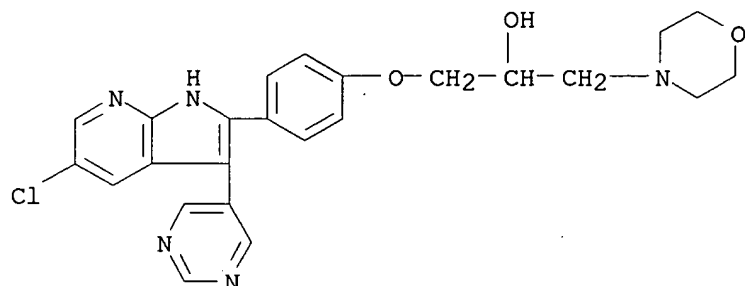
CRN 76-05-1

CMF C2 H F3 O2





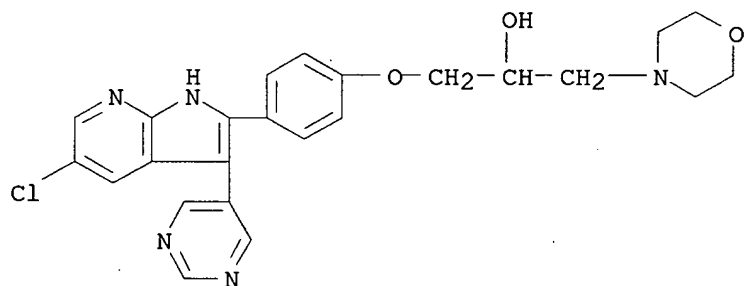
RN 664991-45-1 CAPLUS  
 CN 4-Morpholineethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 664991-46-2 CAPLUS  
 CN 4-Morpholineethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

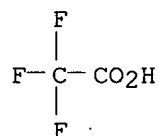
CM 1

CRN 664991-45-1  
 CMF C24 H24 Cl N5 O3

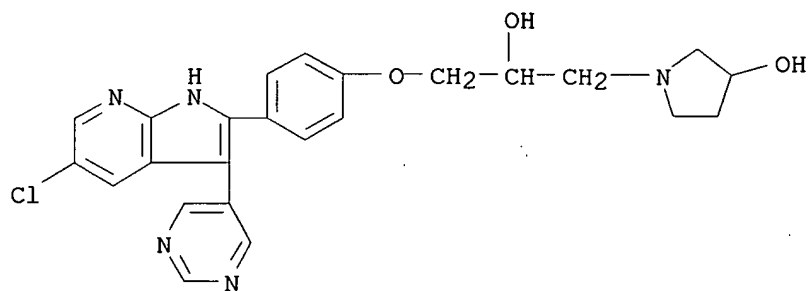


CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



RN 664991-47-3 CAPLUS  
 CN 1-Pyrrolidineethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]-3-hydroxy- (9CI) (CA INDEX NAME)



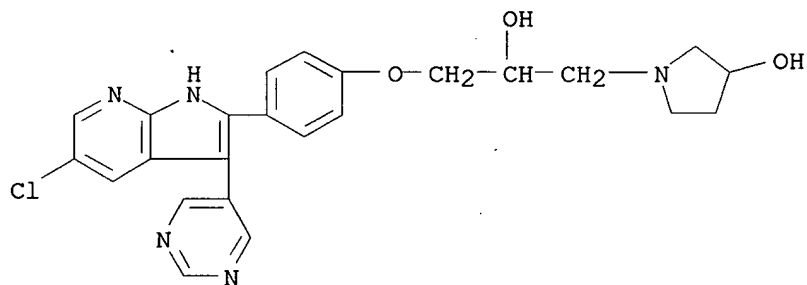
RN 664991-48-4 CAPLUS

CN 1-Pyrrolidineethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]-3-hydroxy-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-47-3

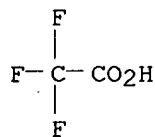
CMF C24 H24 Cl N5 O3



CM 2

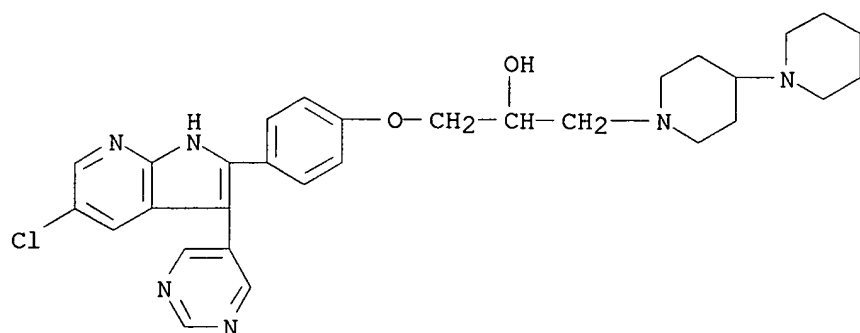
CRN 76-05-1

CMF C2 H F3 O2



RN 664991-49-5 CAPLUS

CN [1,4'-Bipiperidine]-1'-ethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



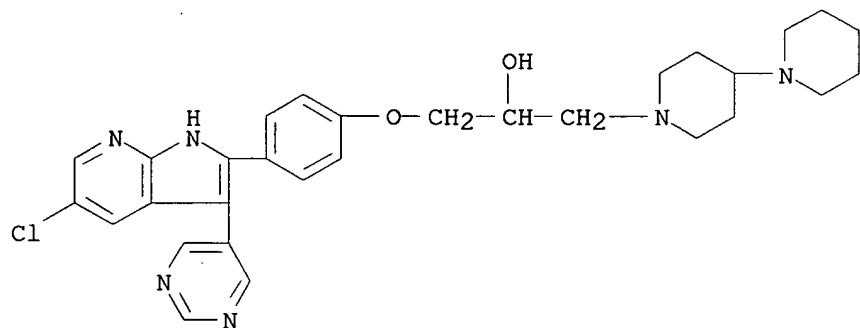
RN 664991-50-8 CAPLUS

CN [1,4'-Bipiperidine]-1'-ethanol,  $\alpha$ -[[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]methyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664991-49-5

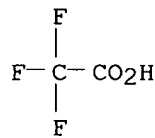
CMF C30 H35 Cl N6 O2



CM 2

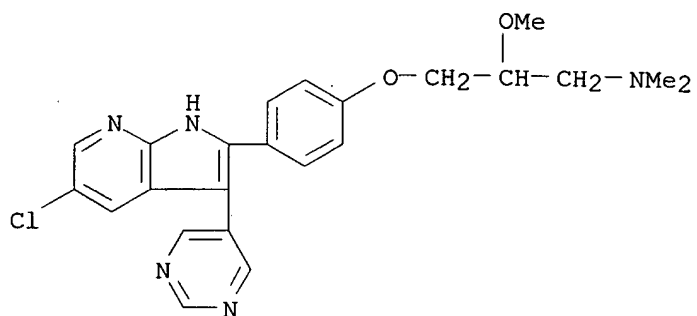
CRN 76-05-1

CMF C2 H F3 O2



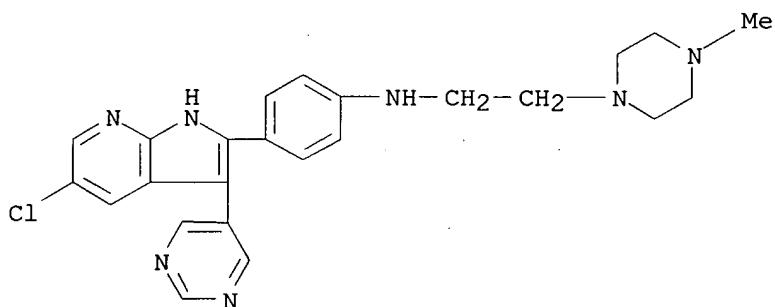
RN 664991-51-9 CAPLUS

CN 1-Propanamine, 3-[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenoxy]-2-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)



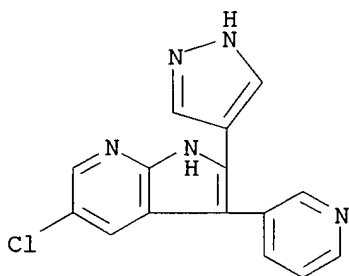
RN 664991-52-0 CAPLUS

CN 1-Piperazineethanamine, N-[4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



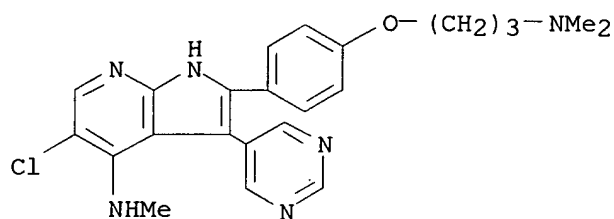
RN 664991-53-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-2-(1H-pyrazol-4-yl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



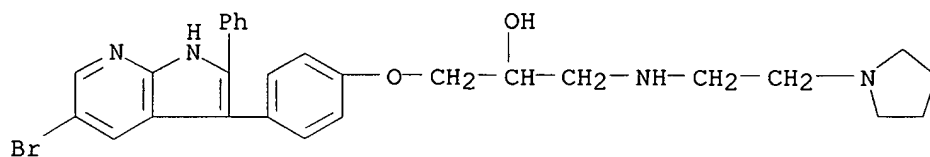
RN 664991-54-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-4-amine, 5-chloro-2-[4-[3-(dimethylamino)propoxy]phenyl]-N-methyl-3-(5-pyrimidinyl)- (9CI) (CA INDEX NAME)



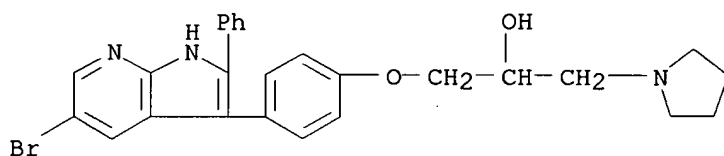
RN 664991-91-7 CAPLUS

CN 2-Propanol, 1-[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]-3-[[2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



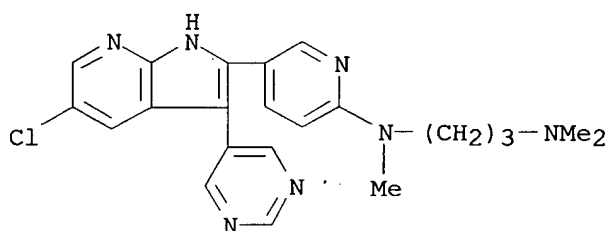
RN 664991-92-8 CAPLUS

CN 1-Pyrrolidineethanol, alpha-[[4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 664991-93-9 CAPLUS

CN 1,3-Propanediamine, N-[5-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-2-pyridinyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)



IT 663884-08-0P, 4-(5-Bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)phenol 664991-67-7P 664991-87-1P

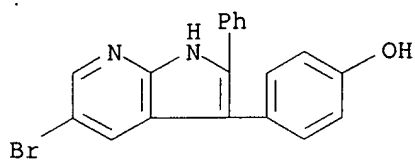
664991-88-2P 664991-89-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

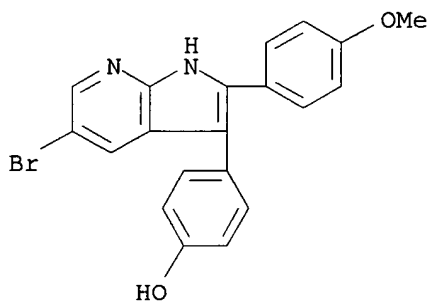
RN 663884-08-0 CAPLUS

CN Phenol, 4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



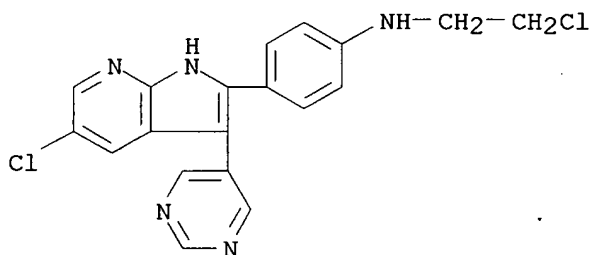
RN 664991-67-7 CAPLUS

CN Phenol, 4-[5-bromo-2-(4-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-  
(9CI) (CA INDEX NAME)



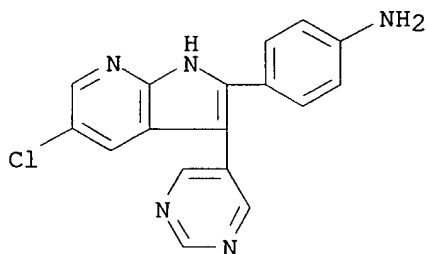
RN 664991-87-1 CAPLUS

CN Benzenamine, N-(2-chloroethyl)-4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



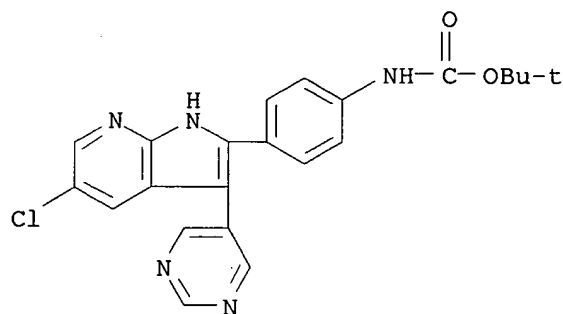
RN 664991-88-2 CAPLUS

CN Benzenamine, 4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-  
(9CI) (CA INDEX NAME)



RN 664991-89-3 CAPLUS

CN Carbamic acid, [4-[5-chloro-3-(5-pyrimidinyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162597 CAPLUS

DOCUMENT NUMBER: 140:210763

TITLE: Use of inducible T cell kinase (ITK) inhibitors for the treatment of mast cell-driven or basophil-driven diseases

INVENTOR(S): Ericsson, Per-Olof; Kristensson, Karin; Sideras, Paschalis; Sjo, Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2004016270   | A1   | 20040226 | WO 2003-SE1274  | 20030813   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| AU 2003251271   | A1   | 20040303 | AU 2003-251271  | 20030813   |
| PRIORITY APPLN. INFO.:  |      |          | SE 2002-2464    | A 20020814 |
|   |      |          | WO 2003-SE1274  | W 20030813 |

AB The invention relates to the treatment of mast cell-driven or basophil-driven conditions or diseases by inhibiting the activity of inducible T cell kinase (ITK). Particular conditions or diseases that may be treated include asthma, rhinitis, and chronic obstructive pulmonary disease. Preparation of e.g. 5-bromo-3-(4-morpholin-4-ylphenyl)-2-phenyl-1H-pyrrolo[2,3-b]pyridine is described.

IT 663884-05-7P 663884-07-9P

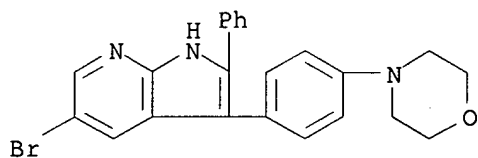
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inducible T cell kinase (ITK) inhibitors for the treatment of mast cell-driven or basophil-driven diseases)

RN 663884-05-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-(4-morpholinyl)phenyl]-2-phenyl-

(9CI) (CA INDEX NAME)



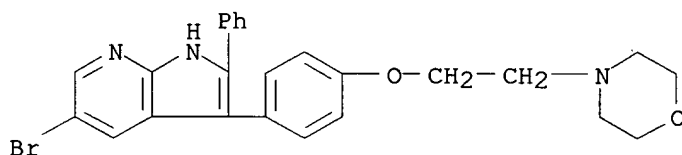
RN 663884-07-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 663884-06-8

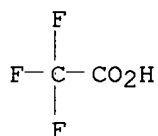
CMF C25 H24 Br N3 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



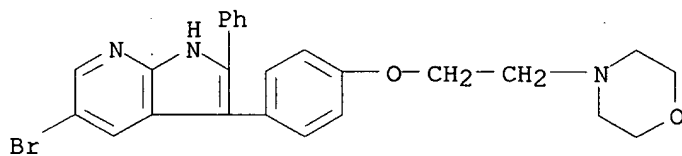
IT 663884-06-8 663884-08-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(inducible T cell kinase (ITK) inhibitors for the treatment of mast cell-driven or basophil-driven diseases)

RN 663884-06-8 CAPLUS

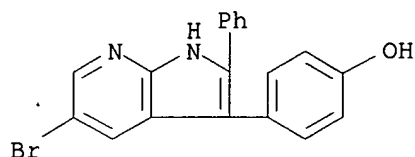
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 663884-08-0 CAPLUS

CN Phenol, 4-(5-bromo-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:99280 CAPLUS

DOCUMENT NUMBER: 140:339244

TITLE: Benzimidazolone p38 inhibitors

AUTHOR(S): Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.; Barberia, John T.; Carty, Thomas J.; Cortina, Santo R.; Csiki, Csilla; Dipesa, Alan J.; Elliott, Nancy C.; Gabel, Christopher A.; Jordan, Crystal K.; Labasi, Jeff M.; Martin, William H.; Peese, Kevin M.; Stock, Ingrid A.; Svensson, Linne; Sweeney, Francis J.; Yu, Chul H.

CORPORATE SOURCE: Pfizer Global Research and Development, Groton Laboratories, Groton, CT, 06340, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(4), 919-923  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:339244

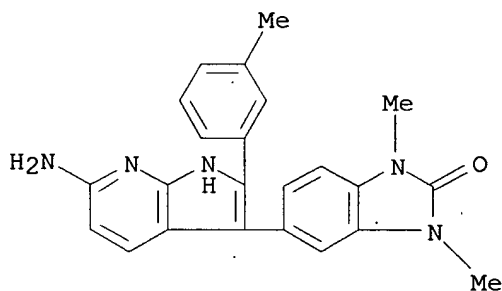
AB The synthesis and in vitro p38 $\alpha$  activity of a novel series of benzimidazolone inhibitors is described. The p38 $\alpha$  SAR is consistent with a mode of binding wherein the benzimidazolone carbonyl serves as the H-bond acceptor to Met109 of p38 $\alpha$  in a manner analogous to the pyridine nitrogen of prototypical pyridylimidazole p38 inhibitors. Potent p38 $\alpha$  activity comparable to that of several previously reported p38 inhibitors is observed for this novel chemotype.

IT 680189-37-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis and in vitro p38 structure activity of benzimidazolone inhibitors)

RN 680189-37-1 CAPLUS

CN 2H-Benzimidazol-2-one, 5-[6-amino-2-(3-methylphenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1,3-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

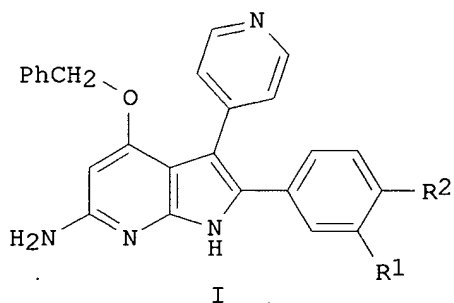


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:841207 CAPLUS  
 DOCUMENT NUMBER: 139:337961  
 TITLE: Preparation of pyrrolopyridines, their radioactive iodine-substituted derivatives, and their use as imaging agents and antitumor agents targeting p38MAPK  
 INVENTOR(S): Omomo, Yoshio; Hirata, Masahiko; Yao, Ryuma  
 PATENT ASSIGNEE(S): Daiichi Radioisotope Laboratories, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND              | DATE     | APPLICATION NO. | DATE     |
|------------------------|-------------------|----------|-----------------|----------|
| JP 2003306489          | A                 | 20031028 | JP 2002-111907  | 20020415 |
| PRIORITY APPLN. INFO.: |                   |          | JP 2002-111907  | 20020415 |
| OTHER SOURCE(S):       | MARPAT 139:337961 |          |                 |          |
| GI                     |                   |          |                 |          |

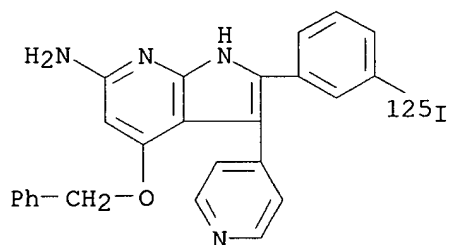


AB Radioactive pyrrolopyridines I (R1 or R2 = radioactive iodine; the other = H) are prepared by treatment of I (R1 or R2 = iodine, trialkyltin, trialkylsilyl; the other = H) with alkali metal radioactive iodide. Thus, m-iodo-N-methoxy-N-methylbenzamide was treated with 4-(tert-Butyldimethylsilyloxy)pyridine in the presence of LDA, then the crude product was refluxed with 4-benzyloxy-2,6-diaminopyridine and H2SO4 in ethylene glycol di-Me ether for 6 h to give I (R1 = iodine, R2 = H), which inhibited p38MAPK with IC50 value of 4.8 nM. In B 16 melanoma-bearing mice, 1.79% I (R1 = 125I, R2 = H) accumulated in the tumor 1 h after i.v. administration.

IT 616204-18-3P  
 RL: BSU (Biological study, unclassified); DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of radioactive I-substituted pyrrolopyridines for imaging agents and antitumor agents targeting p38MAPK)

RN 616204-18-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-[3-(iodo-125I)phenyl]-4-(phenylmethoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

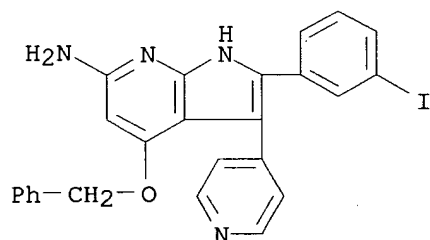


IT 616204-17-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of radioactive I-substituted pyrrolopyridines for imaging agents and antitumor agents targeting p38MAPK)

RN 616204-17-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(3-iodophenyl)-4-(phenylmethoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



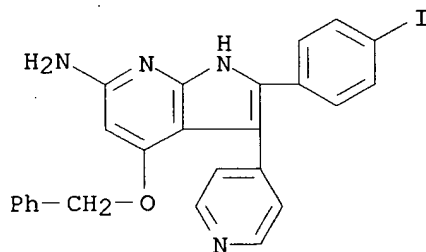
IT 616204-19-4P 616204-20-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of radioactive I-substituted pyrrolopyridines for imaging agents and antitumor agents targeting p38MAPK)

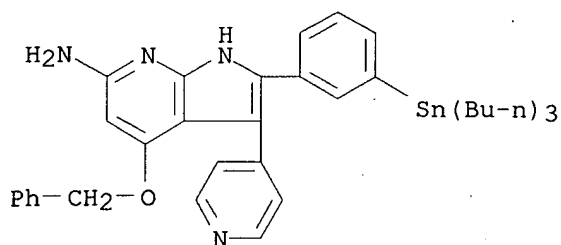
RN 616204-19-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-iodophenyl)-4-(phenylmethoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 616204-20-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 4-(phenylmethoxy)-3-(4-pyridinyl)-2-[3-(tributylstannyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:91269 CAPLUS

DOCUMENT NUMBER: 139:62596

TITLE: Imidazopyrimidines, potent inhibitors of p38 MAP kinase

AUTHOR(S): Rupert, Kenneth C.; Henry, James R.; Dodd, John H.; Wadsworth, Scott A.; Cavender, Druie E.; Olini, Gilbert C.; Fahmy, Bohumila; Siekierka, John J.

CORPORATE SOURCE: L.L.C., Drug Discovery, Johnson & Johnson Pharmaceutical Research and Development, Raritan, NJ, 08869, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(3), 347-350

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:62596

AB The MAP kinase p38 is implicated in the release of the pro-inflammatory cytokines TNF- $\alpha$  and IL-1 $\beta$ . Inhibition of cytokine release may be a useful treatment for inflammatory conditions such as rheumatoid arthritis and Crohn's disease. A novel series of imidazopyrimidines have been discovered that potently inhibit p38 and suppress the production of TNF- $\alpha$  in vivo.

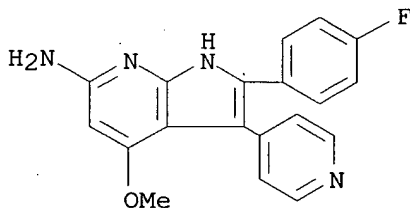
IT 215306-39-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(imidazopyrimidines as potent inhibitors of p38 MAP kinase)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:5957 CAPLUS

DOCUMENT NUMBER: 138:55984

TITLE: Preparation of azaindoles as protein kinase inhibitors

INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine

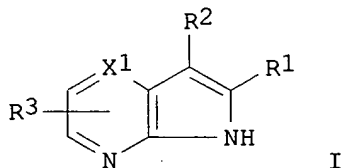
Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael; Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve; Bezard, Daniel; Carrez, Chantal

PATENT ASSIGNEE(S): Aventis Pharma Limited, UK  
SOURCE: PCT Int. Appl., 373 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| WO 2003000688   | A1   | 20030103 | WO 2002-GB2799  | 20020620    |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                 |             |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |             |
| CA 2451678  | A1   | 20030103 | CA 2002-2451678 | 20020620    |
| EP 1397360  | A1   | 20040317 | EP 2002-730531  | 20020620    |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |             |
| EE 200400015  | A    | 20040415 | EE 2004-15      | 20020620    |
| BR 2002010507   | A    | 20040615 | BR 2002-10507   | 20020620    |
| SI 21462  | A    | 20041031 | SI 2002-20015   | 20020620    |
| JP 2004534826   | T    | 20041118 | JP 2003-507091  | 20020620    |
| HU 200400247  | A2   | 20050128 | HU 2004-247     | 20020620    |
| CN 1665809  | A    | 20050907 | CN 2002-812476  | 20020620    |
| NZ 529205   | A    | 20060428 | NZ 2002-529205  | 20020620    |
| US 2004053931   | A1   | 20040318 | US 2002-177804  | 20020621    |
| US 6897207  | B2   | 20050524 |                 |             |
| ZA 2003009648   | A    | 20050311 | ZA 2003-9648    | 20031211    |
| BG 108481   | A    | 20050531 | BG 2003-108481  | 20031219    |
| US 2005267304   | A1   | 20051201 | US 2004-995103  | 20041123    |
| PRIORITY APPLN. INFO.:  |      |          | GB 2001-15109   | A 20010621  |
|   |      |          | US 2001-300257P | P 20010622  |
|   |      |          | WO 2002-GB2799  | W 20020620  |
|   |      |          | US 2002-177804  | A1 20020621 |

OTHER SOURCE(S): MARPAT 138:55984  
GI



AB The invention is directed to physiol. active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compns. containing such compds.; and their

prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases, especially Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example preps. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by  $\geq 1$  groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and  $\geq 1$  halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and  $\geq 1$  hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and  $\geq 1$  hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by  $\geq 1$  aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by  $\geq 1$  aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.

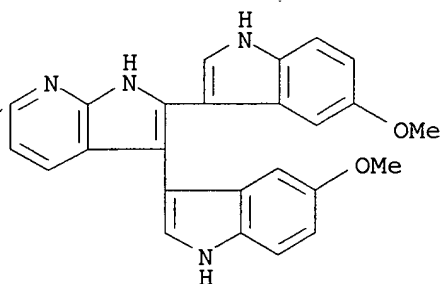
IT 479551-40-1P, 2,3-Bis(5-Methoxyindol-3-yl)-1H-pyrrolo[2,3-b]pyridine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azaindoles as protein kinase inhibitors with therapeutic uses)

RN 479551-40-1 CAPLUS

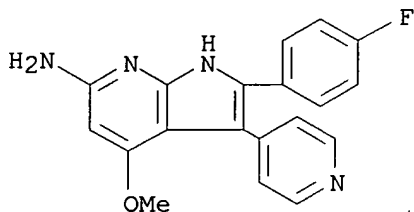
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-bis(5-methoxy-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:594816 CAPLUS  
 DOCUMENT NUMBER: 137:135120  
 TITLE: Use of CSBP/p38 inhibitors for the treatment of inflammation-enhanced cough  
 INVENTOR(S): Griswold, Don E.; Underwood, David C.  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND  | DATE     | APPLICATION NO. | DATE       |
|---|---|----------|-----------------|------------|
| WO 2002060869   | A2  | 20020808 | WO 2001-US50629 | 20011019   |
| WO 2002060869   | A3  | 20030103 |                 |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |   |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |   |          |                 |            |
| AU 2002248269   | A1  | 20020812 | AU 2002-248269  | 20011019   |
| EP 1337255  | A2  | 20030827 | EP 2001-997150  | 20011019   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |   |          |                 |            |
| JP 2004530648   | T   | 20041007 | JP 2002-561020  | 20011019   |
| US 2004097473   | A1  | 20040520 | US 2003-399579  | 20030418   |
| PRIORITY APPLN. INFO.:  |   |          |                 |            |
|   |   |          | US 2000-241564P | P 20001019 |
|   |   |          | WO 2001-US50629 | W 20011019 |
| AB  | The invention discloses the use of a CSBP/p38 inhibitor for the treatment and prophylaxis of inflammation-enhanced cough in a mammal in need thereof.   |          |                 |            |
| IT  | 215306-39-1, RWJ 68354<br>RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)<br>(CSBP/p38 inhibitors for treatment of inflammation-enhanced cough) |          |                 |            |
| RN  | 215306-39-1 CAPLUS  |          |                 |            |
| CN  | 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)   |          |                 |            |



L4 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:314904 CAPLUS

DOCUMENT NUMBER: 136:319434

TITLE: Use of p38 inhibitors for the treatment of smoke inhalation

INVENTOR(S): Griswold, Don E.; Underwood, David C.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2002032862   | A2   | 20020425 | WO 2001-US50429 | 20011019   |
| WO 2002032862   | A3   | 20020822 |                 |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| AU 2002031283   | A5   | 20020429 | AU 2002-31283   | 20011019   |
| EP 1337250  | A2   | 20030827 | EP 2001-987743  | 20011019   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |            |
| JP 2004511542   | T    | 20040415 | JP 2002-536046  | 20011019   |
| US 2004092532   | A1   | 20040513 | US 2003-399580  | 20030418   |
| PRIORITY APPLN. INFO.:  |      |          | US 2000-241568P | P 20001019 |
|   |      |          | WO 2001-US50429 | W 20011019 |

AB The present invention is directed to the novel use of a CSBP/p38 inhibitor for the treatment, including prophylaxis of smoke induced pathol. resulting from acute and chronic inflammation in the lung. In the example provided, the p38 MAP kinase inhibitor trans-1-(4-hydroxycyclohexyl)-4-(4-fluorophenyl)-5-[(2-methoxy)pyrimidin-4-yl]imidazole inhibited airway inflammation caused by tobacco smoke inhalation in mice. The p38 MAP kinase inhibitors are also useful in inflammations caused by other types of smoke and in such inflammations exacerbated by underlying conditions such as asthma and pneumonia.

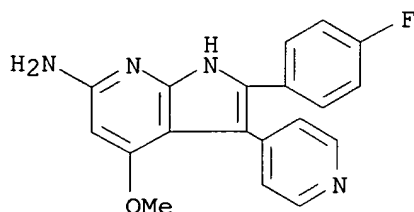
IT 215306-39-1, RWJ 68354

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(use of p38 inhibitors combined with other agents for treatment of airway inflammation from smoke inhalation)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)





L4 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:122786 CAPLUS

DOCUMENT NUMBER: 136:177996

TITLE: 2-Pyridinamine compositions and methods using them as neuroprotectants

INVENTOR(S): Grant, Elfrida R.; Brown, Frank K.; Zivin, Robert Allan; McMillan, Michael; Zhong, Zhong; Benjamin, Daniel

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE        |
|------------------------|--|----------|-----------------|-------------|
| WO 2002011724          | A2   | 20020214 | WO 2001-US41565 | 20010806    |
| WO 2002011724          | A3   | 20020815 |                 |             |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW |          |                 |             |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |             |
| CA 2418912             | A1   | 20020214 | CA 2001-2418912 | 20010806    |
| AU 2001078206          | A5   | 20020218 | AU 2001-78206   | 20010806    |
| US 2002198219          | A1   | 20021226 | US 2001-922658  | 20010806    |
| EP 1317266             | A2   | 20030611 | EP 2001-956179  | 20010806    |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |             |
| BR 2001013148          | A  | 20030708 | BR 2001-13148   | 20010806    |
| JP 2004510707          | T  | 20040408 | JP 2002-517060  | 20010806    |
| NZ 524101              | A  | 20041126 | NZ 2001-524101  | 20010806    |
| CN 1635890             | A  | 20050706 | CN 2001-816691  | 20010806    |
| ZA 2003001861          | A  | 20040707 | ZA 2003-1861    | 20030306    |
| US 2003225085          | A1   | 20031204 | US 2003-402094  | 20030328    |
| PRIORITY APPLN. INFO.: |  |          | US 2000-223795P | P 20000808  |
|                        |  |          | US 2001-922658  | B3 20010806 |
|                        |  |          | WO 2001-US41565 | W 20010806  |

OTHER SOURCE(S): MARPAT 136:177996

AB The invention provides neuroprotective pharmaceutical compns. comprising 2-pyridinamines. The invention also provides methods of using these compns. to prevent ischemic cell death, particularly neuronal cell death, and reduce the likelihood of neuronal cell death in a subject due to a traumatic event. The invention further provides an apparatus for administering the pharmaceutical compns to a subject.

IT 208104-11-4

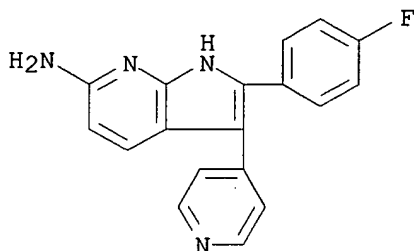
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Usès)

(pyridinamine compds. for neuroprotectants)

RN 208104-11-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-3-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:483654 CAPLUS

DOCUMENT NUMBER: 135:133334

TITLE: Protection against glutamate toxicity through inhibition of the p44/42 mitogen-activated protein kinase pathway in neuronally differentiated P19 cells

AUTHOR(S): Grant, E. R.; Errico, M. A.; Emanuel, S. L.; Benjamin, D.; McMillian, M. K.; Wadsworth, S. A.; Zivin, R. A.; Zhong, Z.

CORPORATE SOURCE: Drug Discovery, R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA

SOURCE: Biochemical Pharmacology (2001), 62(3), 283-296

CODEN: BCPA6; ISSN: 0006-2952

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Excessive levels of the neurotransmitter glutamate trigger excitotoxic processes in neurons that lead to cell death. N-Methyl-D-aspartate (NMDA) receptor over-activation is a key excitotoxic stimulus that leads to increases in intracellular calcium and activation of downstream signaling pathways, including the p44/42 mitogen-activated protein (MAP) kinase pathway. In the present study, the authors have demonstrated that 1,4-diamino-2,3-dicyano-1,4-bis[2-aminophenylthio]butadiene (U0126), a potent and selective inhibitor of the p44/42 MAP kinase signaling pathway, prevents glutamate-induced death in neuronally differentiated P19 cells. In addition, the authors show that differentiated, but not undifferentiated, P19 cells expressed zeta1, epsilon1, and epsilon2 subunits of the NMDA receptor. Differentiated P19 cells exhibited specific NMDA receptor binding and intracellular calcium responses to glutamate that were blocked by the selective NMDA receptor antagonist [5R,10S]-[+]-5-methyl-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5,10-imine (MK-801), but not U0126. Glutamate treatment of differentiated P19 cells triggered a rapid and sustained induction in p42 MAP kinase phosphorylation that was blocked by U0126. Pretreatment of differentiated P19 cells with U0126, but not other classes of protein kinase inhibitors, protected against glutamate-induced cell death. Post-treatment with U0126, even as late as 6 h after glutamate application, also protected against glutamate toxicity. These results suggest that the p44/42 MAP kinase pathway may be a critical downstream signaling pathway in glutamate receptor-activated toxicity.

IT 215306-39-1, 1H-Pyrrolo[2,3-b]pyridin-6-amine,

2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)-

RL: ARG (Analytical reagent use); BPR (Biological process); BSU

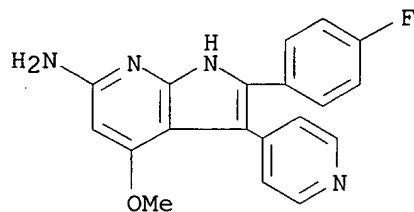
(Biological study, unclassified); ANST (Analytical study); BIOL

(Biological study); PROC (Process); USES (Uses)

(p38 MAPK inhibitor; glutamate toxicity protection through inhibition of the p44/42 mitogen-activated protein kinase pathway in neuronally differentiated P19 cells)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:326267 CAPLUS

DOCUMENT NUMBER: 134:340435

TITLE: Preparation and activation effect of indoles to estrogen receptor

INVENTOR(S): Kato, Susumu; Hayakawa, Kazuhide; Fujii, Akihiko

PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.

CODEN: JKXXAF

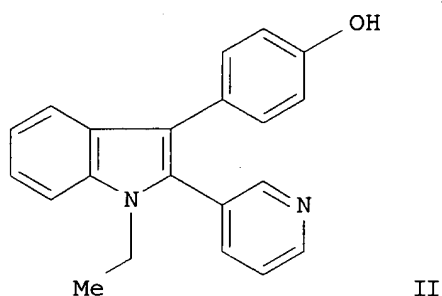
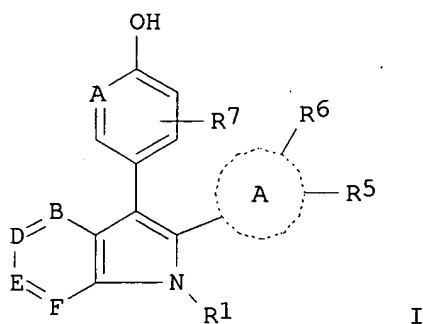
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE       | APPLICATION NO. | DATE     |
|------------------------|--------|------------|-----------------|----------|
| -----                  | ---    | -----      | -----           | -----    |
| JP 2001122855          | A      | 20010508   | JP 1999-305996  | 19991027 |
| PRIORITY APPLN. INFO.: |        |            | JP 1999-305996  | 19991027 |
| OTHER SOURCE(S):       | MARPAT | 134:340435 |                 |          |
| GI                     |        |            |                 |          |



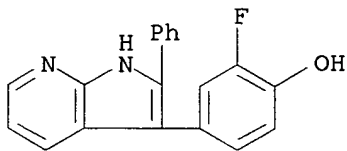
AB Title compds. [I; R1 = H, alkyl; R5, R6 independently = H, halo, OH, alkyl, alkoxy; R7 = H, halo, alkyl; A, B, D, E, F independently = N, CH; Y = benzene] and pharmaceutically acceptable salts, having activation effect for estrogen receptor- $\beta$ , are prepared and are useful as osteoporosis remedy without side effect. Thus, the title compound II was prepared and biol. tested.

IT 338466-14-1P 338468-65-8P 338468-73-8P  
338469-00-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and activation effect of indoles to estrogen receptor)

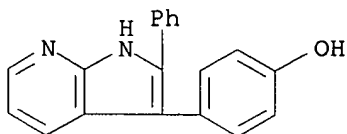
RN 338466-14-1 CAPLUS

CN Phenol, 2-fluoro-4-(2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)

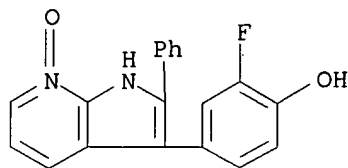


RN 338468-65-8 CAPLUS

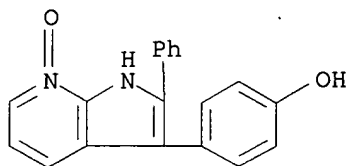
CN Phenol, 4-(2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



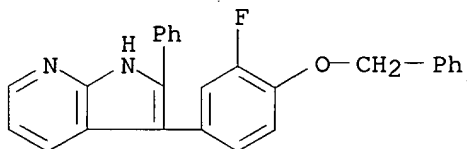
RN 338468-73-8 CAPLUS  
 CN Phenol, 2-fluoro-4-(7-oxido-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI)  
 (CA INDEX NAME)



RN 338469-00-4 CAPLUS  
 CN Phenol, 4-(7-oxido-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)- (9CI) (CA  
 INDEX NAME)



IT 338466-29-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and activation effect of indoles to estrogen receptor)  
 RN 338466-29-8 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 3-[3-fluoro-4-(phenylmethoxy)phenyl]-2-phenyl-  
 (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:208067 CAPLUS  
 DOCUMENT NUMBER: 134:242657  
 TITLE: Use of CSAIDs (cytokine suppressive antiinflammatory  
 drugs) in rhinovirus infection  
 INVENTOR(S): Dillon, Susan B.; Griego, Sandra D.  
 PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA  
 SOURCE: PCT Int. Appl., 30 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2001019322 | A2   | 20010322 | WO 2000-US25386 | 20000915 |
| WO 2001019322 | A3   | 20011004 |                 |          |

W: AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2385722 A1 20010322 CA 2000-2385722 20000915  
AU 2000075845 A 20010417 AU 2000-75845 20000915  
EP 1223924 A2 20020724 EP 2000-965060 20000915

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

TR 200200673 T2 20021223 TR 2002-673 20000915  
JP 2003516314 T 20030513 JP 2001-522960 20000915  
HU 200204333 A2 20030528 HU 2002-4333 20000915  
BR 2000014041 A 20030715 BR 2000-14041 20000915  
ZA 2002002060 A 20030312 ZA 2002-2060 20020313  
NO 2002001301 A 20020516 NO 2002-1301 20020315

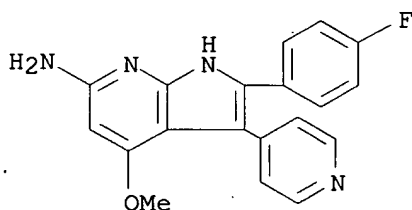
PRIORITY APPLN. INFO.: US 1999-154494P P 19990917  
WO 2000-US25386 W 20000915

AB The present invention is directed to the novel use of a CSBP/p38 kinase inhibitor for the treatment of symptoms of the common cold and the exacerbation of symptoms associated therewith in humans. The effect of a compound trans-1-(4-hydroxycyclohexyl)-4-(4-fluorophenyl)-5-[(2-methoxy)pyrimidin-4-yl]imidazole on the rhinovirus-induced cytokine production by epithelial cells was examined

IT 215306-39-1, RWJ 68354  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(cytokine suppressive antiinflammatory drugs (CSAIDs) for treatment of rhinovirus infection)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:31330 CAPLUS

DOCUMENT NUMBER: 134:95509

TITLE: Method of reducing neuronal injury or apoptosis using a p38 mitogen-activated protein kinase inhibitor

INVENTOR(S): Lipton, Stuart A.

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 34 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND  | DATE     | APPLICATION NO. | DATE     |
|---------------|---|----------|-----------------|----------|
| WO 2001001986 | A1  | 20010111 | WO 2000-US18385 | 20000630 |
| W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, |          |                 |          |

IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
CA 2373883 A1 20010111 CA 2000-2373883 20000630  
EP 1196167 A1 20020417 EP 2000-948576 20000630  
EP 1196167 B1 20060419  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, CY  
JP 2003503456 T 20030128 JP 2001-507478 20000630  
AU 777275 B2 20041007 AU 2000-62052 20000630  
AT 323482 T 20060515 AT 2000-948576 20000630  
ES 2260033 T3 20061101 ES 2000-948576 20000630  
US 2003078274 A1 20030424 US 2002-115578 20020402  
PRIORITY APPLN. INFO.: US 1999-142341P P 19990702  
US 2000-608572 B1 20000630  
WO 2000-US18385 W 20000630

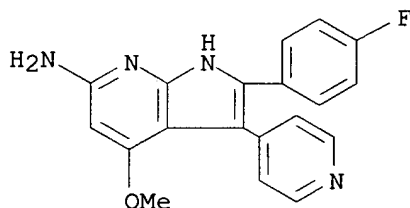
OTHER SOURCE(S): MARPAT 134:95509

AB A method is provided for reducing neuronal injury or apoptosis including administering to a patient in need thereof an effective amount of a p38 mitogen-activated protein kinase (MAPK) inhibitor. Methods of treating an HIV-mediated dementia, glaucoma, or other neurodegenerative disorders are also disclosed.

IT 215306-39-1, RWJ 68354  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(p38 MAPK inhibitor for reducing neuronal injury or apoptosis)

RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:812377 CAPLUS

DOCUMENT NUMBER: 130:177125

TITLE: Potent inhibitors of the MAP kinase p38

AUTHOR(S): Henry, James R.; Rupert, Kenneth C.; Dodd, John H.; Turchi, Ignatius J.; Wadsworth, Scott A.; Cavender, Druie E.; Schafer, Peter H.; Siekierka, John J.

CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(23), 3335-3340

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The MAP kinase p38 plays a key role in the biosynthesis of the

inflammatory cytokines TNF- $\alpha$  and IL-1. We have developed A-novel series of potent p38 inhibitors that could lead to new methods of treatment for inflammatory diseases such as rheumatoid arthritis and inflammatory bowel disease.

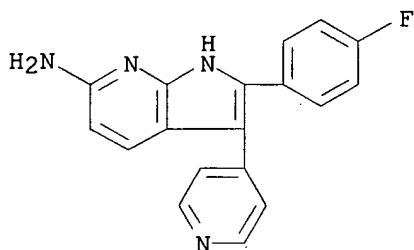
IT 208104-11-4P 208104-47-6P 208104-99-8P  
 215306-29-9P 215306-39-1P 215306-49-3P  
 215306-59-5P 215307-08-7P 215307-16-7P  
 215307-17-8P 215307-18-9P 215307-19-0P  
 215307-20-3P 215307-21-4P 215307-22-5P  
 215307-23-6P 215307-24-7P 215307-25-8P  
 220519-40-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anti-inflammatory MAP kinase p38 inhibitors)

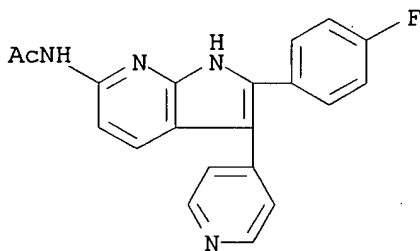
RN 208104-11-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-3-(4-pyridinyl)-  
 (9CI) (CA INDEX NAME)



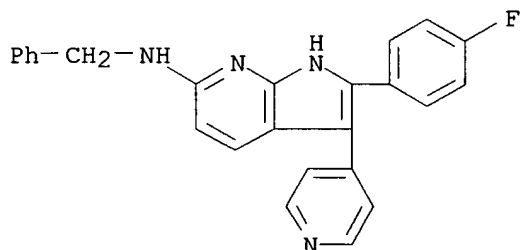
RN 208104-47-6 CAPLUS

CN Acetamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



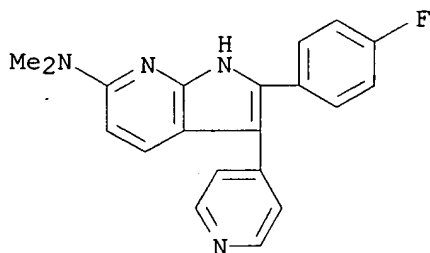
RN 208104-99-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N-(phenylmethyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

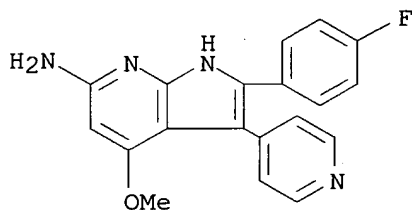




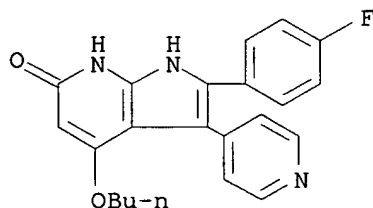
RN 215306-29-9 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N,N-dimethyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



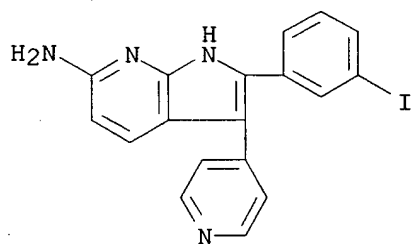
RN 215306-39-1 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



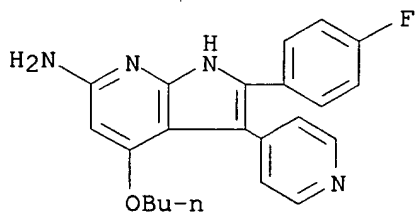
RN 215306-49-3 CAPLUS  
 CN 6H-Pyrrolo[2,3-b]pyridin-6-one, 4-butoxy-2-(4-fluorophenyl)-1,7-dihydro-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 215306-59-5 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(3-iodophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

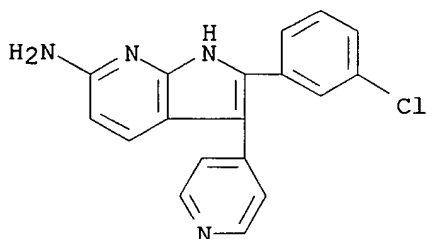


RN 215307-08-7 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 4-butoxy-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



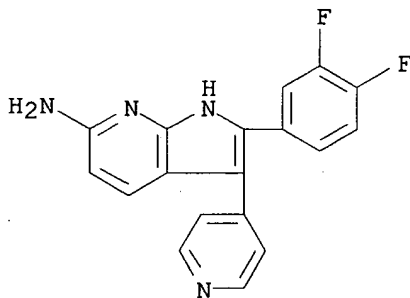
RN 215307-16-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(3-chlorophenyl)-3-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



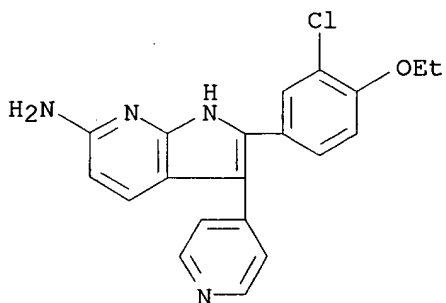
RN 215307-17-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(3,4-difluorophenyl)-3-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



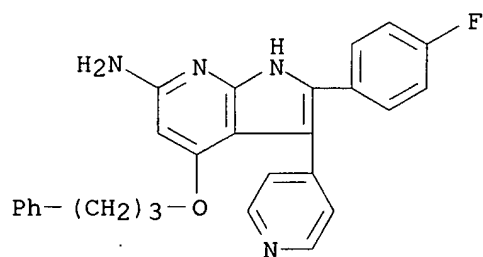
RN 215307-18-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(3-chloro-4-ethoxyphenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



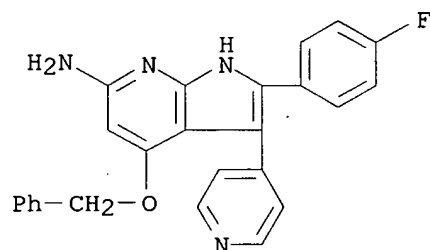
RN 215307-19-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-(3-phenylpropoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



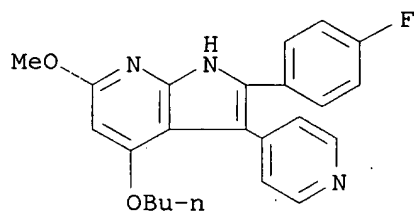
RN 215307-20-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-(phenylmethoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



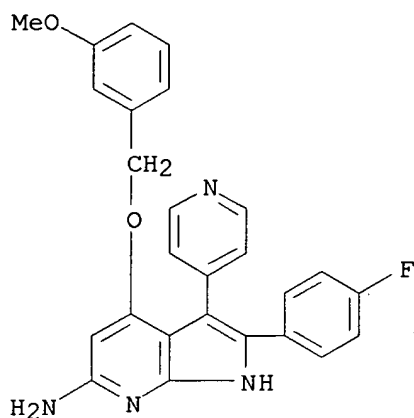
RN 215307-21-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-butoxy-2-(4-fluorophenyl)-6-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



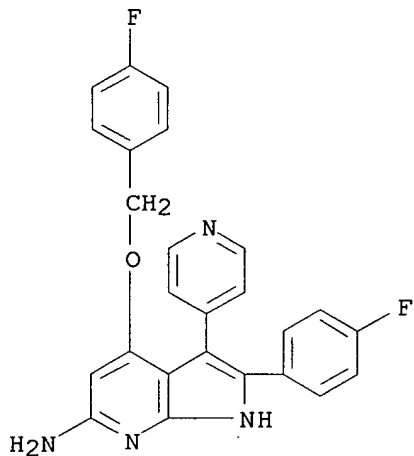
RN 215307-22-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-[(3-methoxyphenyl)methoxy]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



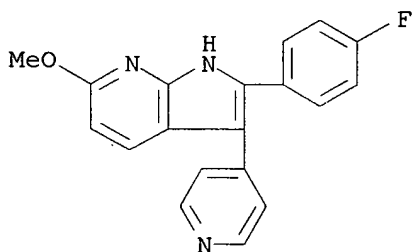
RN 215307-23-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-[(4-fluorophenyl)methoxy]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



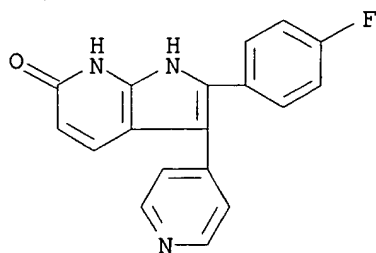
RN 215307-24-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(4-fluorophenyl)-6-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



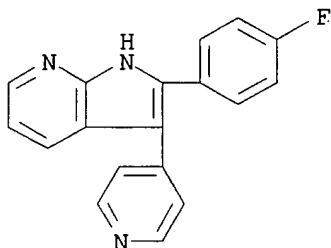
RN 215307-25-8 CAPLUS

CN 6H-Pyrrolo[2,3-b]pyridin-6-one, 2-(4-fluorophenyl)-1,7-dihydro-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 220519-40-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:764924 CAPLUS

DOCUMENT NUMBER: 130:95495

TITLE: Synthesis of RWJ 68354: a potent inhibitor of the MAP kinase p38

AUTHOR(S): Henry, James R.; Dodd, John H.

CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA

SOURCE: Tetrahedron Letters (1998), 39(48), 8763-8764  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

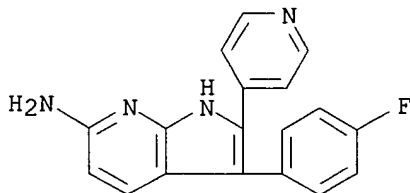
AB The regiospecific synthesis of RWJ 68354, a potent inhibitor of the p38 MAP kinase, via a variation of the Bischler-Mohrlau indole synthesis is reported.

IT 208104-12-5P

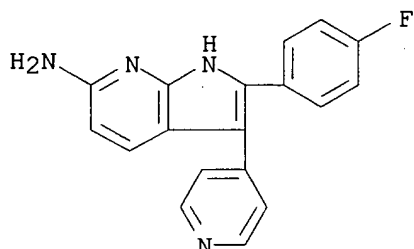
RL: BYP (Byproduct); PREP (Preparation)  
(preparation of RWJ 68354)

RN 208104-12-5 CAPLUS

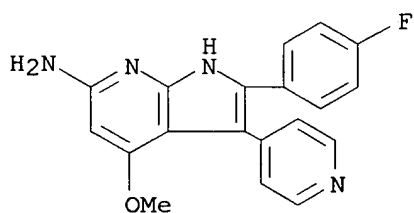
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 3-(4-fluorophenyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



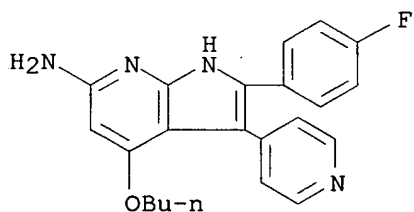
IT 208104-11-4P 215306-39-1P 215307-08-7P  
 219537-20-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of RWJ 68354)  
 RN 208104-11-4 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-3-(4-pyridinyl)-  
 (9CI) (CA INDEX NAME)



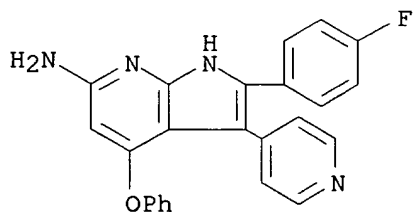
RN 215306-39-1 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 215307-08-7 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 4-butoxy-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



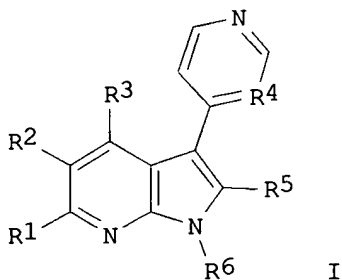
RN 219537-20-9 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-phenoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1998:709078 CAPLUS  
DOCUMENT NUMBER: 129:330657  
TITLE: Preparation of substituted pyrrolopyridines for the treatment of inflammatory diseases  
INVENTOR(S): Dodd, John H.; Henry, James R.; Rupert, Kenneth  
PATENT ASSIGNEE(S): Ortho-McNeil Corporation, Inc., USA  
SOURCE: PCT Int. Appl., 35 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 9847899             | A1   | 19981029 | WO 1998-US7831  | 19980417   |
| W:                     | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |          |                 |            |
| AU 9871329             | A  | 19981113 | AU 1998-71329   | 19980417   |
| ZA 9803452             | A  | 19991025 | ZA 1998-3452    | 19980423   |
| PRIORITY APPLN. INFO.: |  |          | US 1997-44244P  | P 19970424 |
|                        |  |          | WO 1998-US7831  | W 19980417 |
| OTHER SOURCE(S):       | MARPAT 129:330657  |          |                 |            |
| GI                     |  |          |                 |            |



AB The title compds. [I; R1 = NH<sub>2</sub>. (C1-5 alkyl)amino, OH, etc.; R2 = H, halo, phenyl(C1-5 alkyl), substituted Ph; R3 = H, OH, C1-5 alkoxy, etc.; R4 = N, C; R5 = (un)substituted Ph; R6 = H, C1-5 alkyl, di(C1-5 alkyl)amino] which inhibit the production of a number of inflammatory cytokines such as IL-1 $\beta$  and TNF- $\alpha$ , and are useful in the treatment of diseases associated with overprodn. of inflammatory cytokines, were prepared Thus, reaction of 2,6-diaminopyridine with 2{[(1,1-dimethylethyl)dimethylsilyl]oxy}-1-(4-fluorophenyl)-2-(4-pyridyl)ethanone in the presence of concentrate H<sub>2</sub>SO<sub>4</sub> in DME afforded I [R1 = NH<sub>2</sub>; R2 = R3 = H; R4 = C; R5 = 4-FC<sub>6</sub>H<sub>4</sub>; R6 = H] which showed IC<sub>50</sub> of 2.0  $\mu$ M against enzyme p38 and IC<sub>50</sub> of 33 nM against TNF- $\alpha$  production

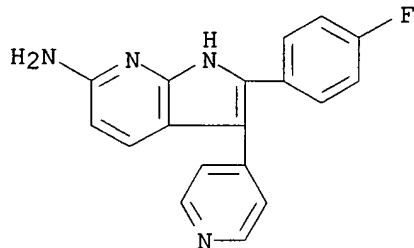
IT 208104-11-4P 215306-39-1P 215307-08-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT  
(Reactant or reagent); USES (Uses)

(preparation of substituted pyrrolopyridines for the treatment of  
inflammatory diseases)

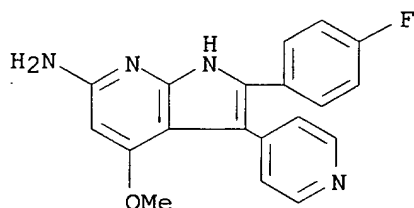
RN 208104-11-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-3-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



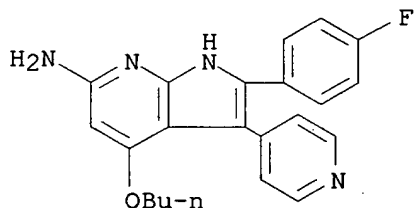
RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-  
pyridinyl)- (9CI) (CA INDEX NAME)



RN 215307-08-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 4-butoxy-2-(4-fluorophenyl)-3-(4-  
pyridinyl)- (9CI) (CA INDEX NAME)



IT 208104-47-6P 208104-99-8P 208105-06-0P

215306-29-9P 215306-36-8P 215306-43-7P

215306-46-0P 215306-49-3P 215306-59-5P

215307-16-7P 215307-17-8P 215307-18-9P

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215307-25-8P 215307-26-9P

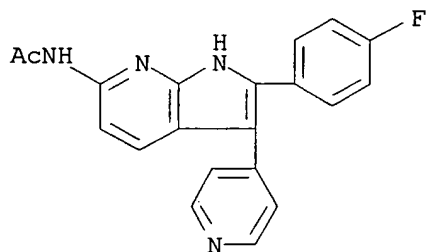
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrrolopyridines for the treatment of  
inflammatory diseases)

RN 208104-47-6 CAPLUS

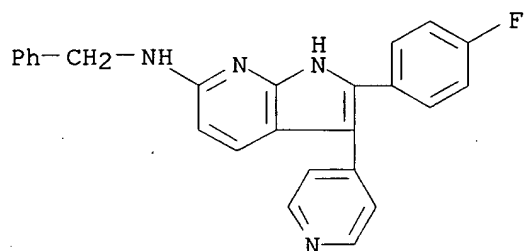
CN Acetamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-  
6-yl]- (9CI) (CA INDEX NAME)





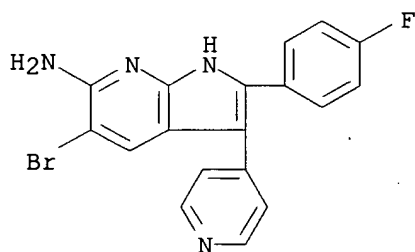
RN 208104-99-8 CAPLUS

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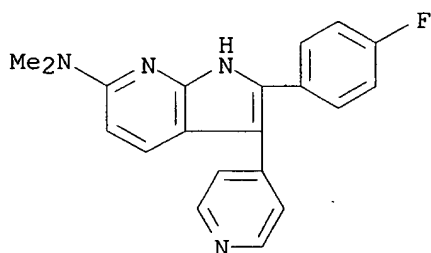
RN 208105-06-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 5-bromo-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 215306-29-9 CAPLUS

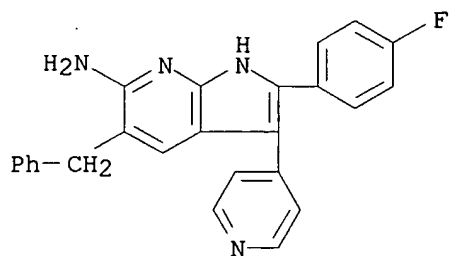
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N,N-dimethyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 215306-36-8 CAPLUS

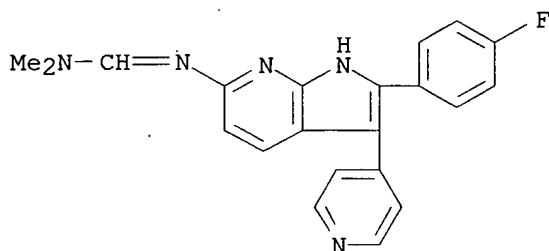
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-5-(phenylmethyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

pyridinyl)- (9CI) (CA INDEX NAME)



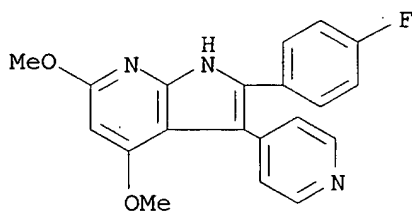
RN 215306-43-7 CAPLUS

CN Methanimidamide, N'-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



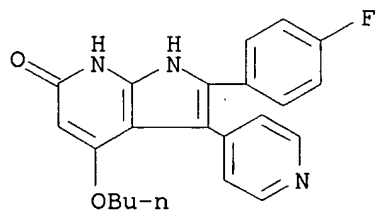
RN 215306-46-0 CAPLUS

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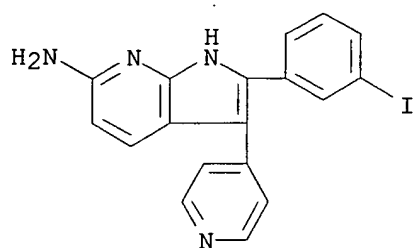
RN 215306-49-3 CAPLUS

CN 6H-Pyrrolo[2,3-b]pyridin-6-one, 4-butoxy-2-(4-fluorophenyl)-1,7-dihydro-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



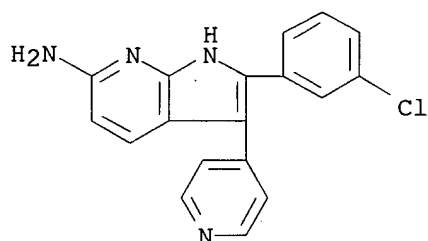
RN 215306-59-5 CAPLUS

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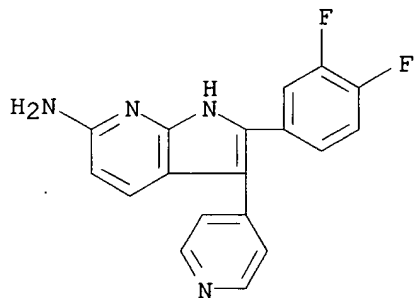
RN 215307-16-7 CAPLUS

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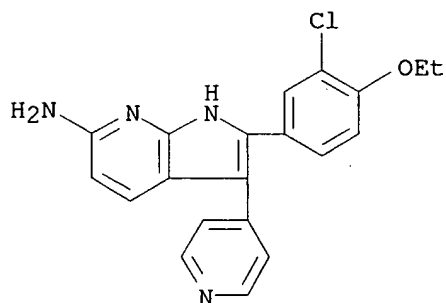
RN 215307-17-8 CAPLUS

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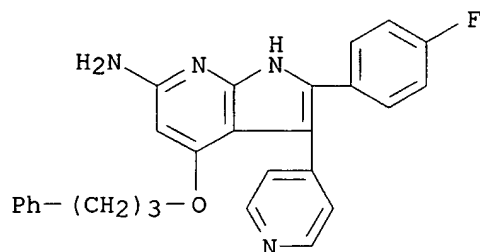


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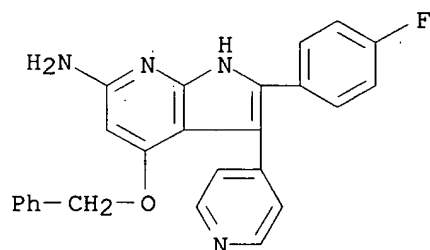
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(9CI) (CA INDEX NAME)



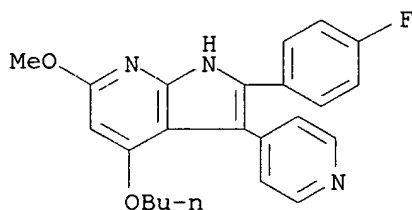
RN 215307-19-0 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-(3-phenylpropoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



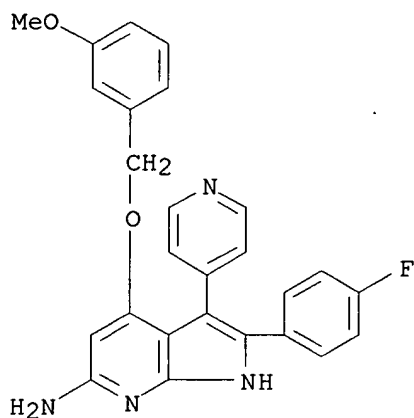
RN 215307-20-3 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-(phenylmethoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 215307-21-4 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-butoxy-2-(4-fluorophenyl)-6-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

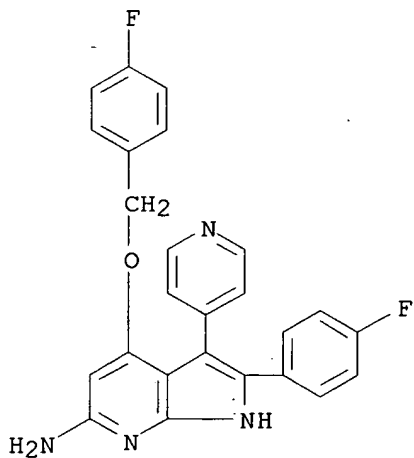


RN 215307-22-5 CAPLUS  
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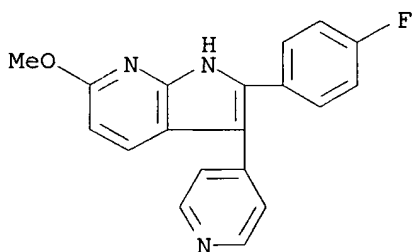
RN 215307-23-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-[(4-fluorophenyl)methoxy]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



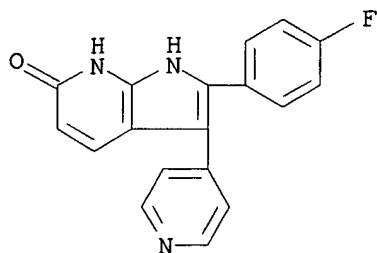
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CN 1H-Pyrrolo[2,3-b]pyridine, 2-(4-fluorophenyl)-6-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

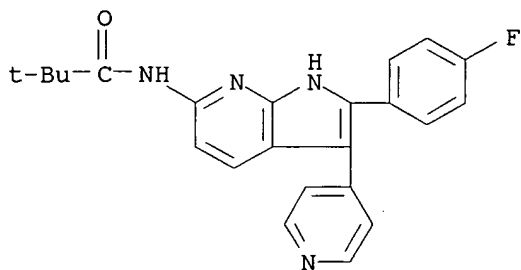


RN 215307-25-8 CAPLUS

CN 6H-Pyrrolo[2,3-b]pyridin-6-one, 2-(4-fluorophenyl)-1,7-dihydro-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 215307-26-9 CAPLUS  
 CN Propanamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:636331 CAPLUS

DOCUMENT NUMBER: 130:20195

TITLE: 6-Amino-2-(4-fluorophenyl)-4-methoxy-3-(4-pyridyl)-1H-pyrrolo[2,3-b]pyridine (RWJ 68354): A Potent and Selective p38 Kinase Inhibitor

AUTHOR(S): Henry, James R.; Rupert, Kenneth C.; Dodd, John H.; Turchi, Ignatius J.; Wadsworth, Scott A.; Cavender, Druie E.; Fahmy, Bohumila; Olini, Gilbert C.; Davis, Janet E.; Pellegrino-Gensey, J. Lee; Schafer, Peter H.; Siekierka, John J.

CORPORATE SOURCE: The R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(22), 4196-4198

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:20195

AB The authors showed RWJ 68354 (I) to be a potent inhibitor of cellular p38 kinase activity (9 nM), LPS-stimulated tumor necrosis factor- $\alpha$  (TNF- $\alpha$ )/interleukin-1 $\beta$  (IL-1 $\beta$ ) production from human peripheral blood mononuclear cells (6.3 nM/26 nM) and LPS-induced TNF- $\alpha$  production in mice (ED50 < 10 mg/kg) and in rats (ED50 < 25 mg/kg). I was shown to directly inhibit natural activated p38 and partially activated p38 kinase. Structure-activity relations of I with some analogs is described. Thus, I is a promising candidate for further preclin. evaluation.

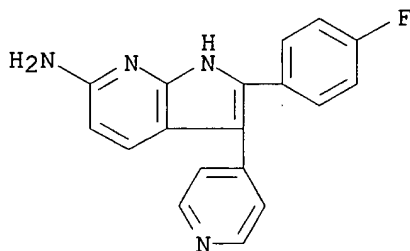
IT 208104-11-4P 215306-39-1P 215307-08-7P  
 215307-19-0P 215307-20-3P 215307-22-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(6-amino(4-fluorophenyl)methoxy 4-pyridyl-1H-pyrrolo[b]pyridine (RWJ 68354) as potent and selective p38 kinase inhibitor which releases tumor necrosis factor- $\alpha$  and interleukin-1 $\beta$  and structure-activity relations)

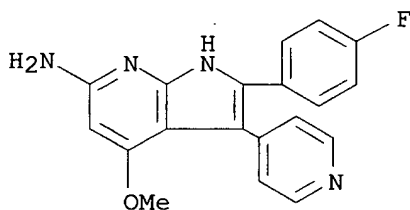
RN 208104-11-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



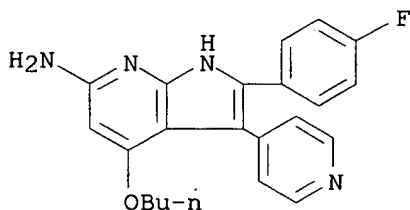
RN 215306-39-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-methoxy-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



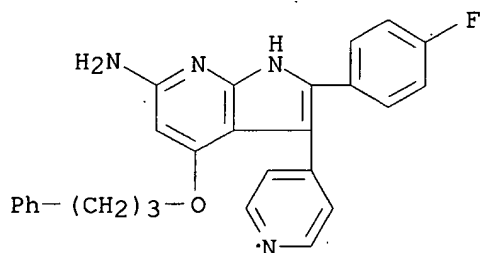
RN 215307-08-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 4-butoxy-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



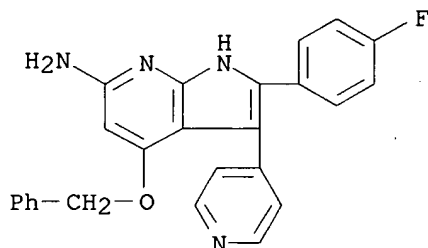
RN 215307-19-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-(3-phenylpropoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



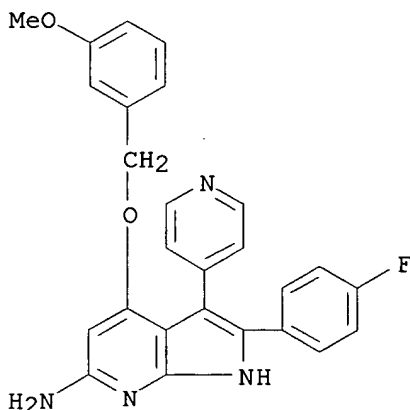
RN 215307-20-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-(phenylmethoxy)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 215307-22-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-4-[(3-methoxyphenyl)methoxy]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:352830 CAPLUS

DOCUMENT NUMBER: 129:27933

TITLE: Aryl and heteroaryl substituted fused pyrrole antiinflammatory agents

INVENTOR(S): Zablocki, Jeffery A.; Tarlton, Eugene, Jr.; Rizzi, James P.; Mantlo, Nathan B.

PATENT ASSIGNEE(S): Amgen Inc., USA; Zablocki, Jeffery A.; Tarlton, Eugene, Jr.; Rizzi, James P.; Mantlo, Nathan B.

SOURCE: PCT Int. Appl., 258 pp.



DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

CODEN: PIXXD2

Patent

English

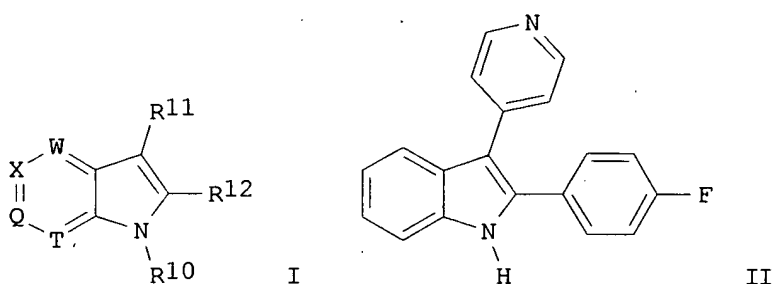
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| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| WO 9822457  | A1   | 19980528 | WO 1997-US21344 | 19971118    |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |             |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |             |
| CA 2271767  | A1   | 19980528 | CA 1997-2271767 | 19971118    |
| AU 9852659  | A    | 19980610 | AU 1998-52659   | 19971118    |
| AU 734841   | B2   | 20010621 |                 |             |
| EP 948495   | A1   | 19991013 | EP 1997-947617  | 19971118    |
| EP 948495   | B1   | 20040414 |                 |             |
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| CN 1246856  | A    | 20000308 | CN 1997-181372  | 19971118    |
| JP 2001506980   | T    | 20010529 | JP 1998-523914  | 19971118    |
| AT 264318   | T    | 20040415 | AT 1997-947617  | 19971118    |
| PT 948495   | T    | 20040831 | PT 1997-947617  | 19971118    |
| ES 2215242  | T3   | 20041001 | ES 1997-947617  | 19971118    |
| MX 9904598  | A    | 20000228 | MX 1999-4598    | 19990518    |
| KR 2000057137   | A    | 20000915 | KR 1999-704405  | 19990519    |
| US 6180643  | B1   | 20010130 | US 1999-269600  | 19990608    |
| US 6440973  | B1   | 20020827 | US 2000-644102  | 20000823    |
| US 2003096819   | A1   | 20030522 | US 2002-175182  | 20020618    |
| US 6605634  | B2   | 20030812 |                 |             |
| PRIORITY APPLN. INFO.:  |      |          | US 1996-31207P  | P 19961119  |
|   |      |          | WO 1997-US21344 | W 19971118  |
|   |      |          | US 1999-269600  | A3 19990608 |
|   |      |          | US 2000-644102  | A3 20000823 |

OTHER SOURCE(S):

MARPAT 129:27933

GI



AB The invention comprises a new class of novel aryl- and heteroaryl-substituted fused pyrrole compds. I [W, X, Q, T = N, CH, CR1-4; R1-4 = -Z-Y with provisos; Z = bond, alk(ane/ene/yne)diyl, heterocyclediyl, (hetero)arylene; Y = H (when Z ≠ bond), halo, cyano, NO<sub>2</sub>, various acyl, (un)substituted OH, SH, or NH<sub>2</sub>; R10 = H, (un)substituted alk(en/yn)yl, various acyl or sulfonyl groups; R11, R12 = (un)substituted (hetero)aryl]. The compds. are useful for the prophylaxis

and treatment of diseases or conditions mediated by TNF- $\alpha$ , IL-1 $\beta$ , IL-6 and/or IL-8, and other maladies, such as pain and diabetes. In particular, the compds. are useful for prophylaxis and treatment of inflammatory diseases or conditions. The invention also comprises pharmaceutical compns., methods of prophylaxis and treatment, use of compds. and compns., and intermediates and preparatory processes. For instance, amidation of 4-(2-aminobenzoyl)pyridine with 4-fluorobenzoyl chloride, and cyclization of the resultant keto amide using low-valent Ti from K/graphite/TiCl<sub>3</sub>, gave title compound II. This compound inhibited cyclooxygenase in vitro with an IC<sub>50</sub> of  $\leq 5 \mu\text{M}$ .

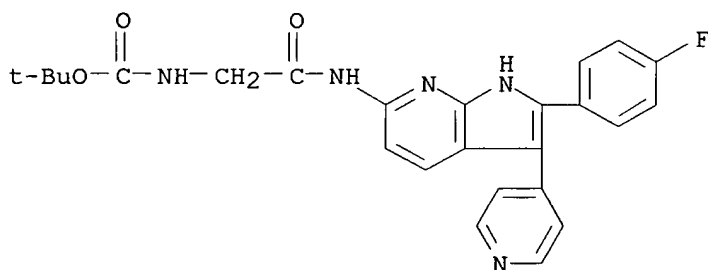
IT 208104-44-3P 208104-78-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aryl- and heteroaryl-substituted, fused pyrrole antiinflammatory agents)

RN 208104-44-3 CAPLUS

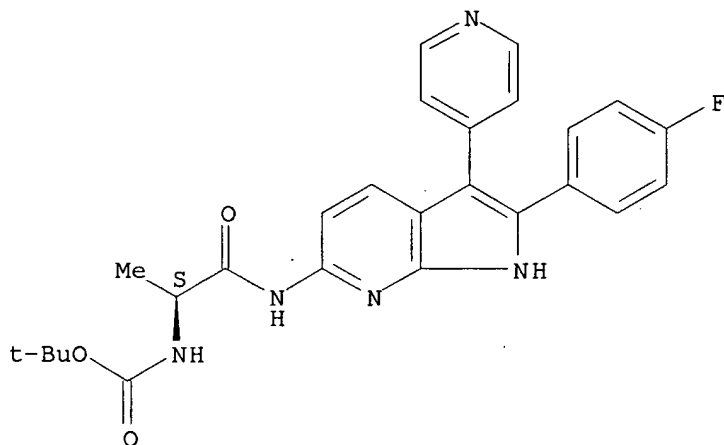
CN Carbamic acid, [2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 208104-78-3 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 208104-11-4P 208104-12-5P 208104-13-6P  
208104-15-8P 208104-16-9P 208104-17-0P  
208104-18-1P 208104-19-2P 208104-20-5P

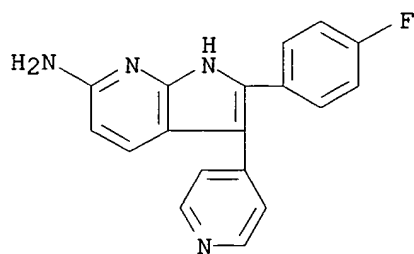
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl- and heteroaryl-substituted, fused pyrrole antiinflammatory agents)

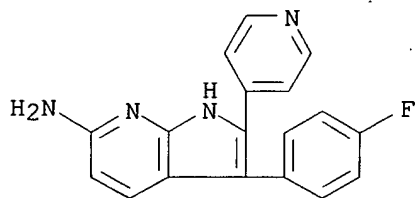
RN 208104-11-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-3-(4-pyridinyl)-  
 (9CI) (CA INDEX NAME)



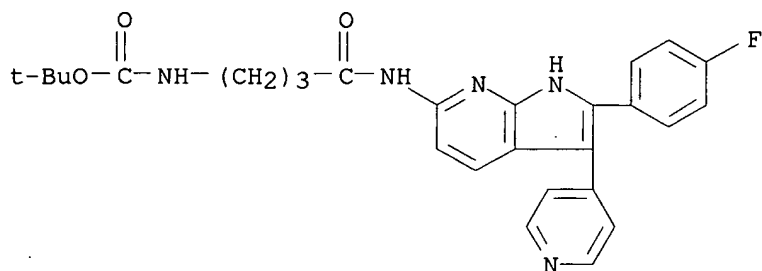
RN 208104-12-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 3-(4-fluorophenyl)-2-(4-pyridinyl)-  
 (9CI) (CA INDEX NAME)



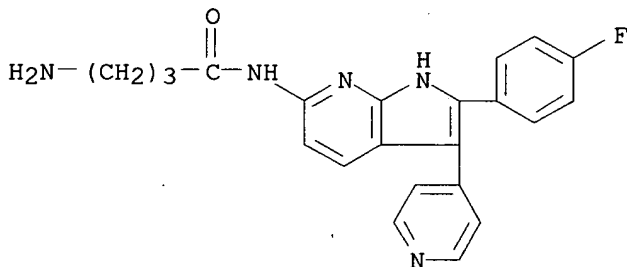
RN 208104-13-6 CAPLUS

CN Carbamic acid, [4-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 208104-15-8 CAPLUS

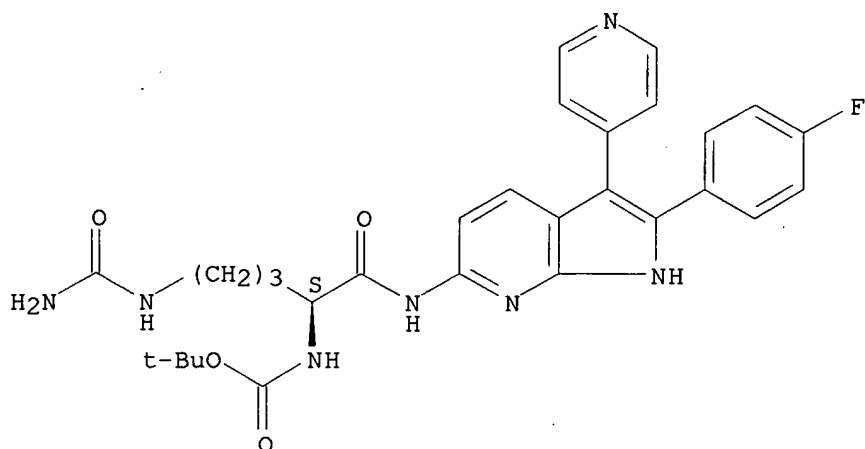
CN Butanamide, 4-amino-N-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-4-oxobutyl]- (9CI) (CA INDEX NAME)



RN 208104-16-9 CAPLUS

CN Carbamic acid, [(1S)-4-[(aminocarbonyl)amino]-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

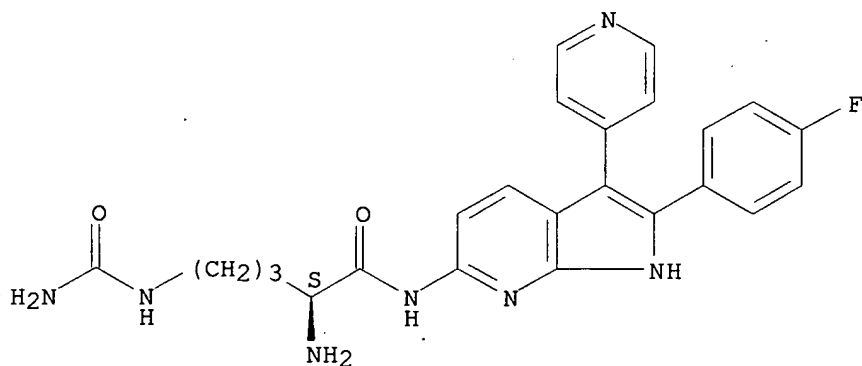
Absolute stereochemistry.



RN 208104-17-0 CAPLUS

CN Pentanamide, 2-amino-5-[(aminocarbonyl)amino]-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (2S)- (9CI) (CA INDEX NAME)

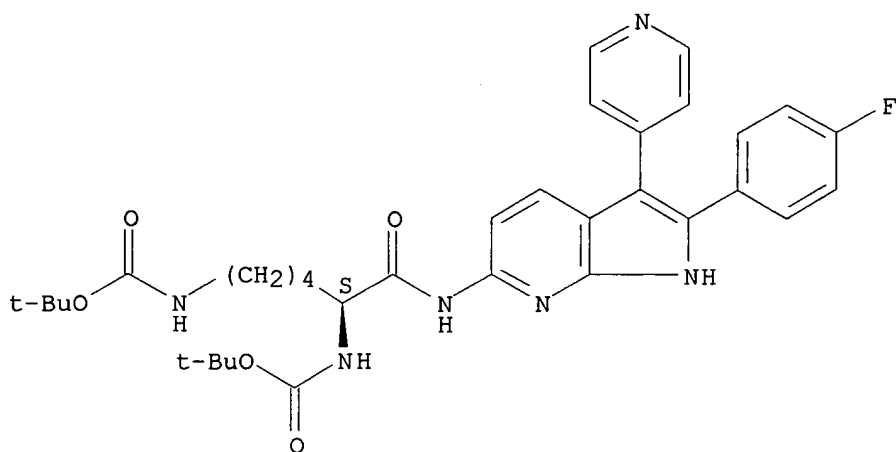
Absolute stereochemistry.



RN 208104-18-1 CAPLUS

CN Carbamic acid, [(1S)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-1,5-pentanediy]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

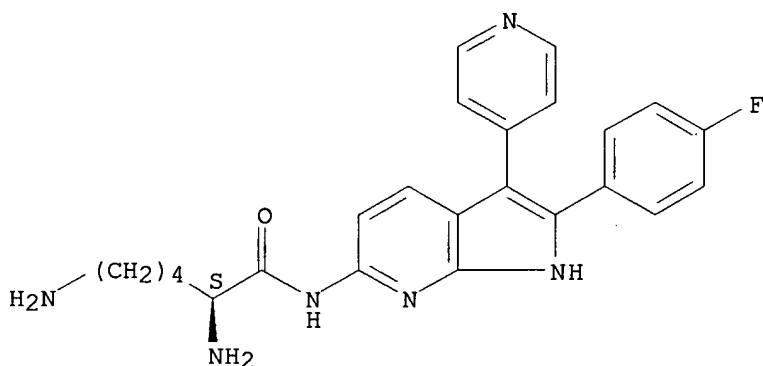
Absolute stereochemistry.



RN 208104-19-2 CAPLUS

CN Hexanamide, 2,6-diamino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (2S)- (9CI) (CA INDEX NAME)

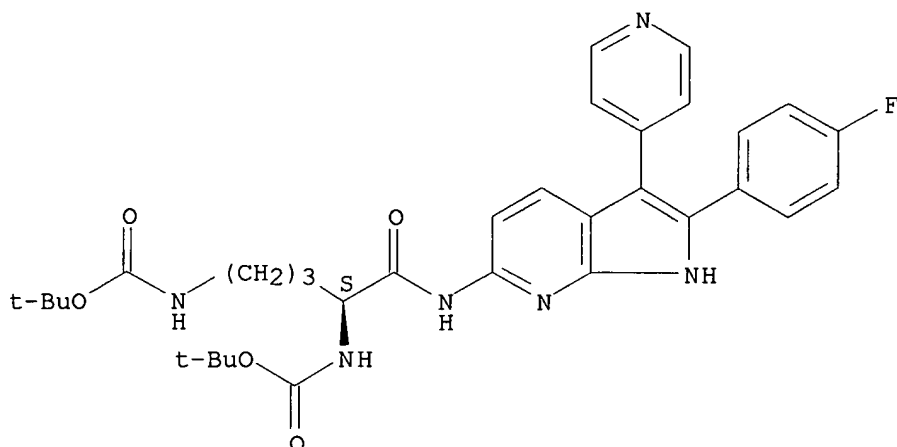
Absolute stereochemistry.



RN 208104-20-5 CAPLUS

CN Carbamic acid, [(1S)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-1,4-butanediyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

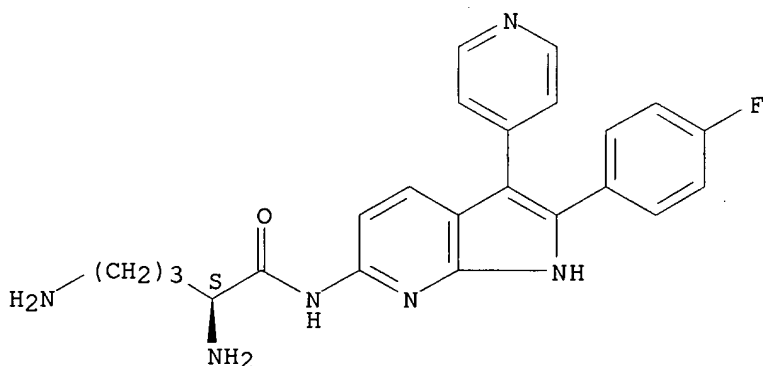
Absolute stereochemistry.



RN 208104-21-6 CAPLUS

CN Pentanamide, 2,5-diamino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (2S)- (9CI) (CA INDEX NAME)

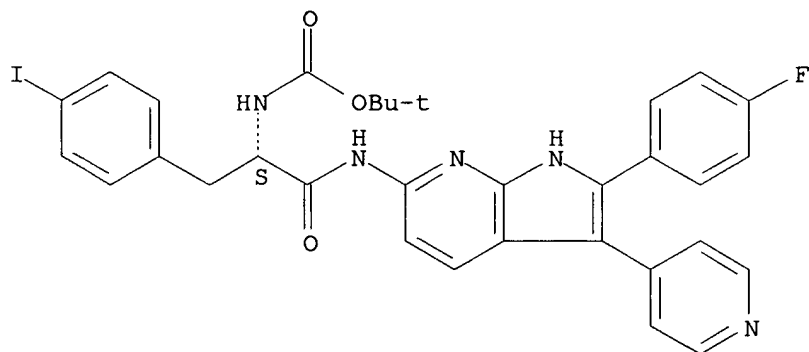
Absolute stereochemistry.



RN 208104-22-7 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-1-[(4-iodophenyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

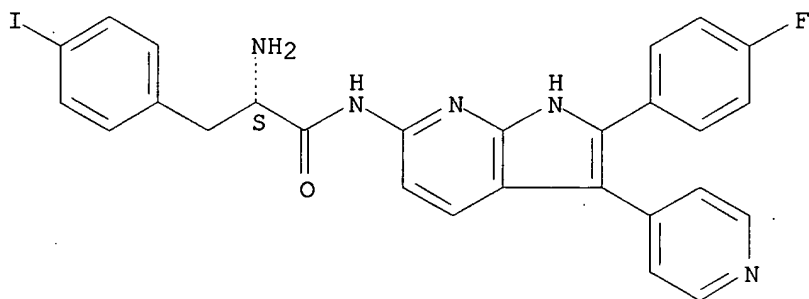


RN 208104-23-8 CAPLUS

CN Benzenepropanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-

pyrrolo[2,3-b]pyridin-6-yl]-4-iodo-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

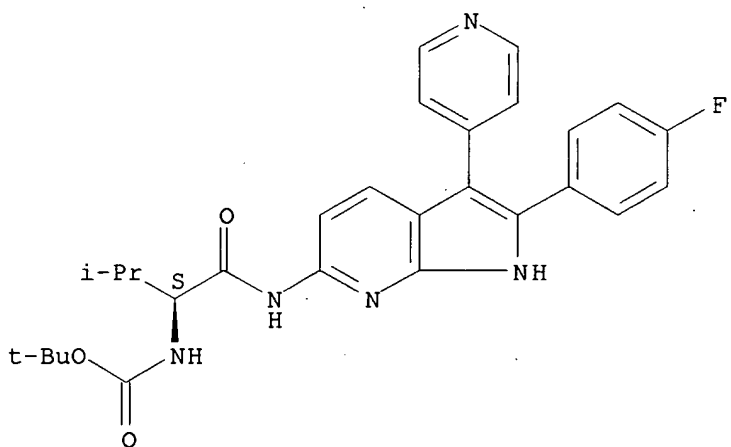
Absolute stereochemistry.



RN 208104-24-9 CAPLUS

CN Carbamic acid, [(1S)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-2-methylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

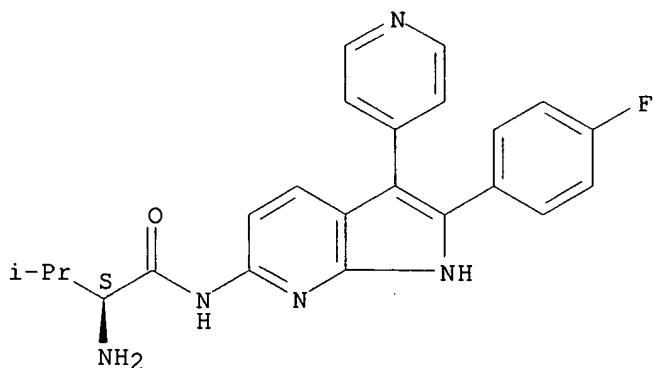
Absolute stereochemistry.



RN 208104-25-0 CAPLUS

CN Butanamide, 2-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

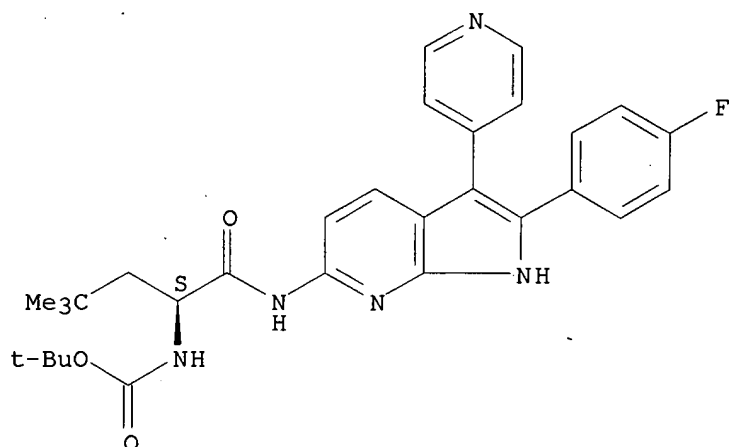


RN 208104-26-1 CAPLUS



CN Carbamic acid, [(1S)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-3,3-dimethylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

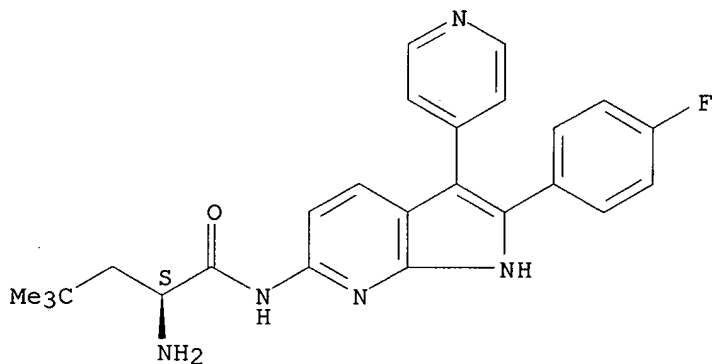
Absolute stereochemistry.



RN 208104-27-2 CAPLUS

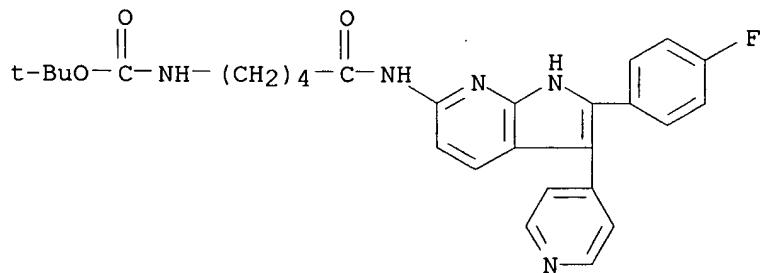
CN Pentanamide, 2-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-4,4-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

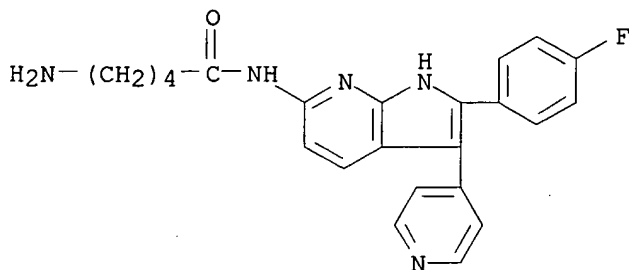


RN 208104-28-3 CAPLUS

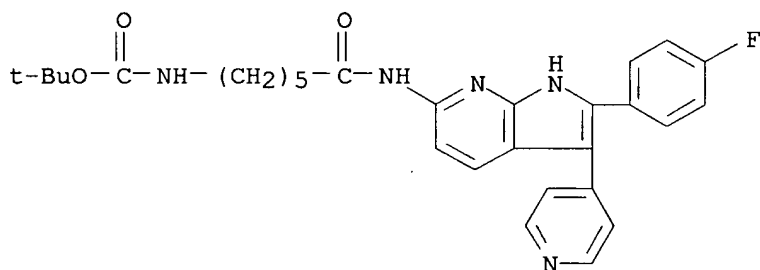
CN Carbamic acid, [5-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-5-oxopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



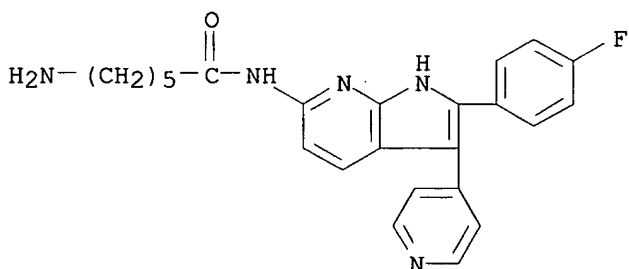
RN 208104-29-4 CAPLUS  
 CN Pentanamide, 5-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



RN 208104-30-7 CAPLUS  
 CN Carbamic acid, [6-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-6-oxohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

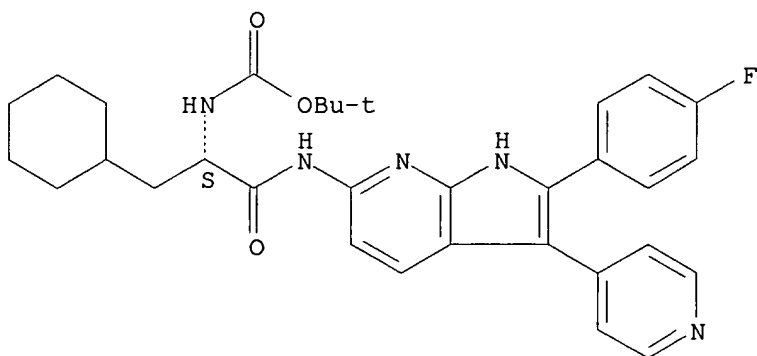


RN 208104-31-8 CAPLUS  
 CN Hexanamide, 6-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



RN 208104-32-9 CAPLUS  
 CN Carbamic acid, [(1S)-1-(cyclohexylmethyl)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

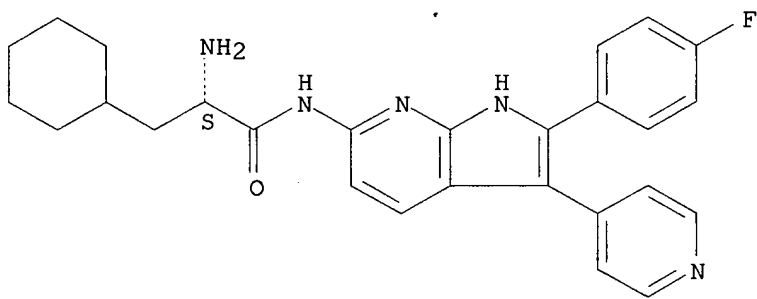
Absolute stereochemistry.



RN 208104-33-0 CAPLUS

CN Cyclohexanepropanamide, α-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (αS)- (9CI) (CA INDEX NAME)

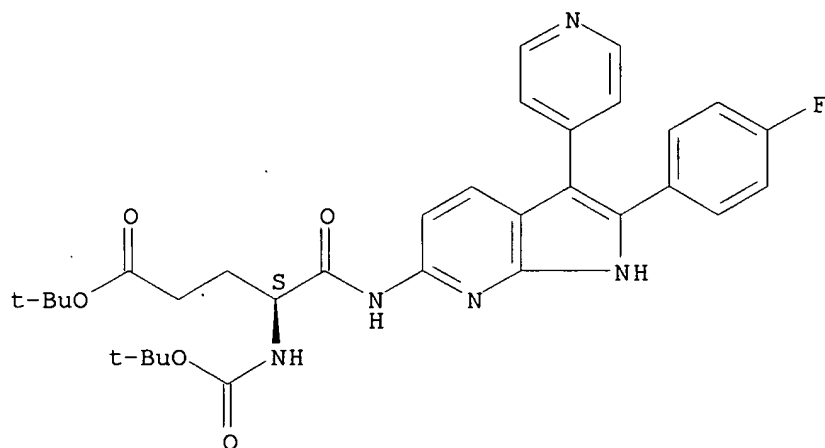
Absolute stereochemistry.



RN 208104-34-1 CAPLUS

CN Pentanoic acid, 4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

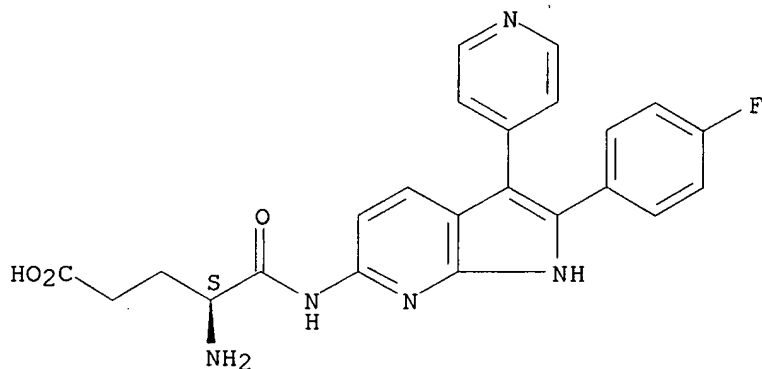
Absolute stereochemistry.



RN 208104-35-2 CAPLUS

CN Pentanoic acid, 4-amino-5-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

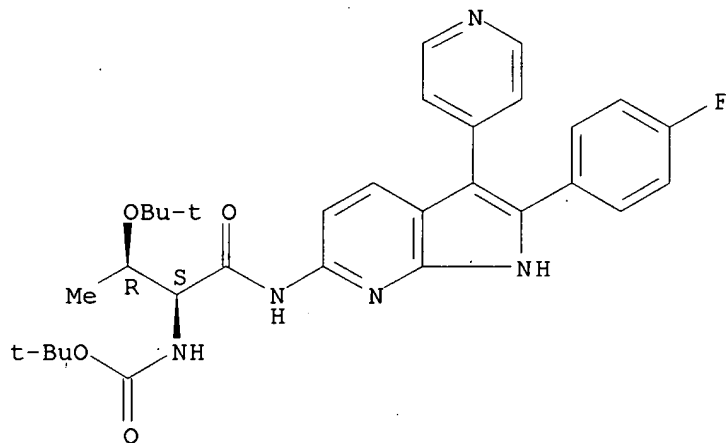
Absolute stereochemistry.



RN 208104-36-3 CAPLUS

CN Carbamic acid, [(1S,2R)-2-(1,1-dimethylethoxy)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

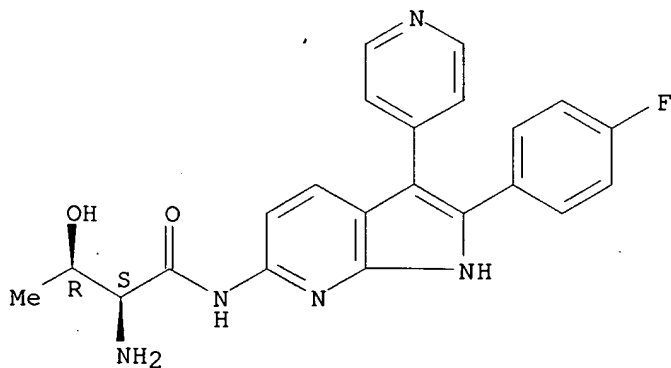
Absolute stereochemistry.



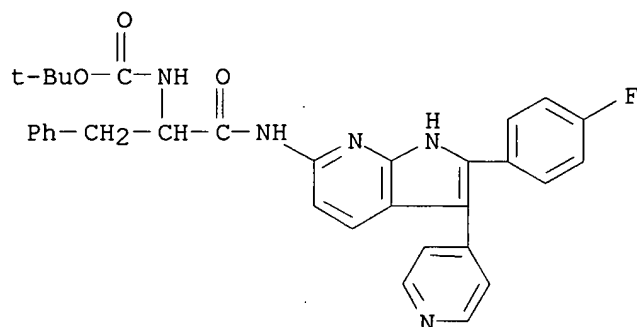
RN 208104-37-4 CAPLUS

CN Butanamide, 2-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-3-hydroxy-, (2S,3R)- (9CI) (CA INDEX NAME)

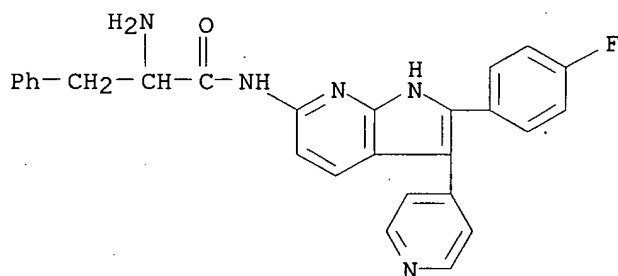
Absolute stereochemistry.



RN 208104-38-5 CAPLUS  
 CN Carbamic acid, [2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

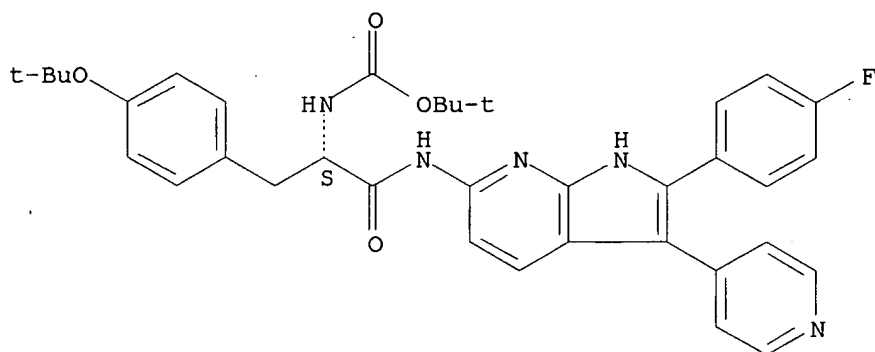


RN 208104-39-6 CAPLUS  
 CN Benzenepropanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



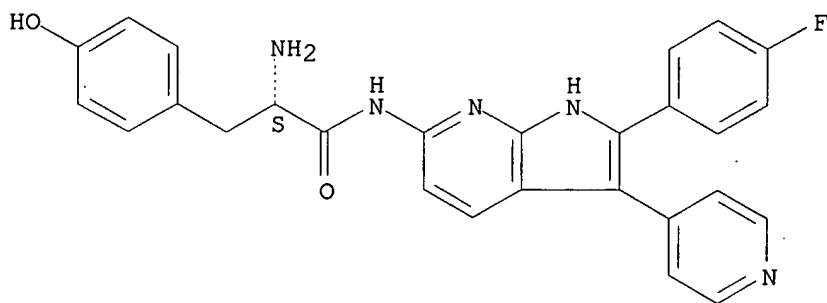
RN 208104-40-9 CAPLUS  
 CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



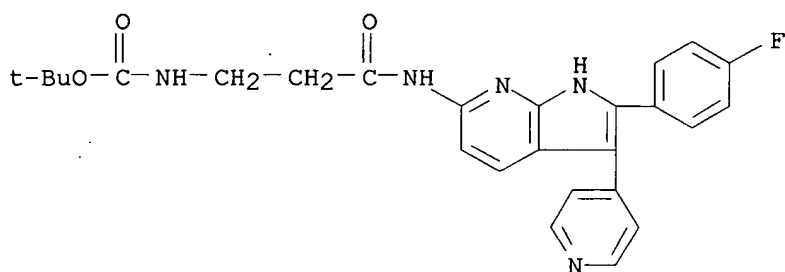
RN 208104-41-0 CAPLUS  
 CN Benzenepropanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-4-hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



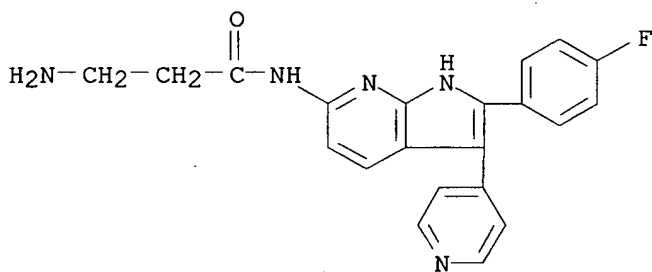
RN 208104-42-1 CAPLUS

CN Carbamic acid, [3-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



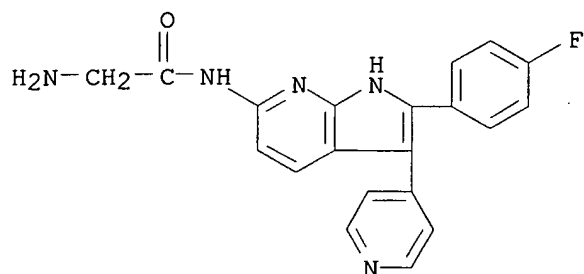
RN 208104-43-2 CAPLUS

CN Propanamide, 3-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



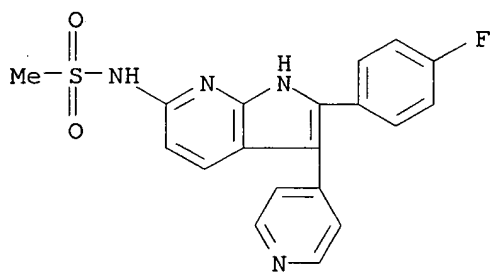
RN 208104-45-4 CAPLUS

CN Acetamide, 2-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



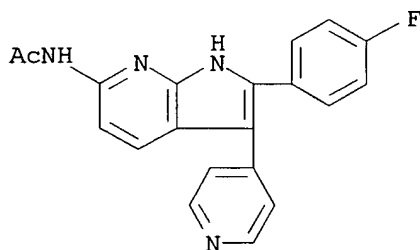
RN 208104-46-5 CAPLUS

CN Methanesulfonamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



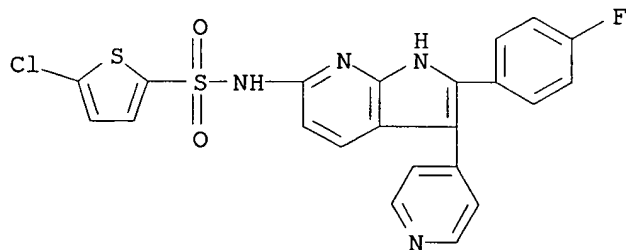
RN 208104-47-6 CAPLUS

CN Acetamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



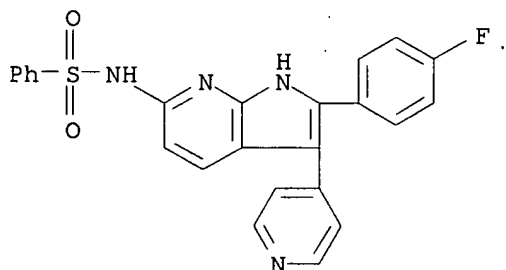
RN 208104-48-7 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



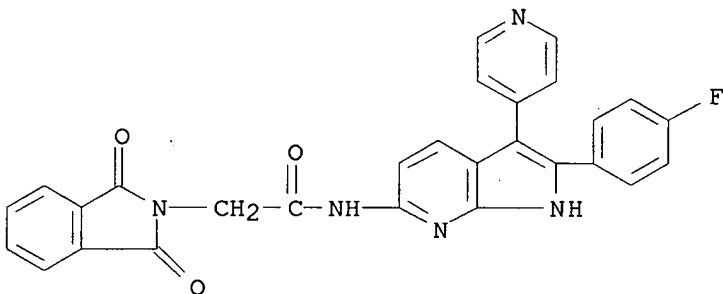
RN 208104-49-8 CAPLUS

CN Benzenesulfonamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



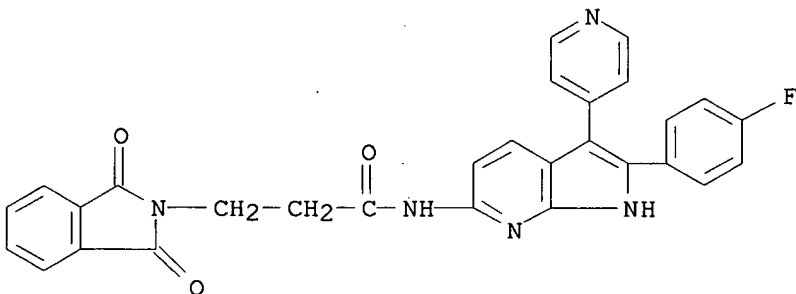
RN 208104-50-1 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 208104-51-2 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

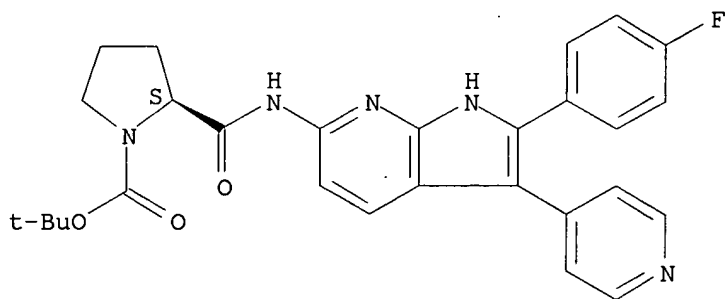


RN 208104-53-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

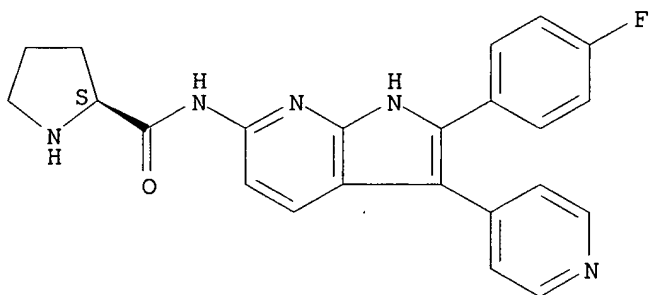




RN 208104-54-5 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (2S)- (9CI) (CA INDEX NAME)

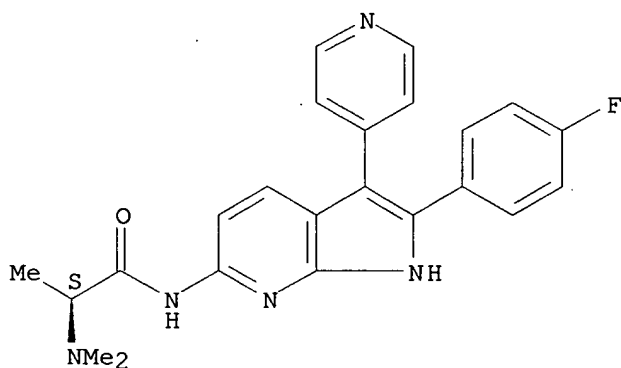
Absolute stereochemistry.



RN 208104-55-6 CAPLUS

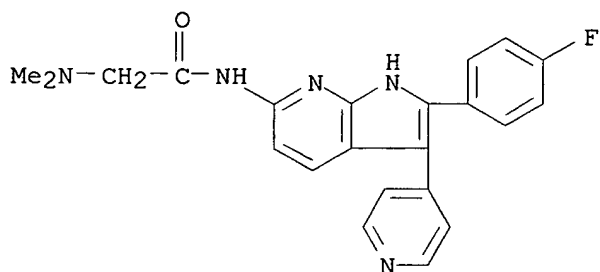
CN Propanamide, 2-(dimethylamino)-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



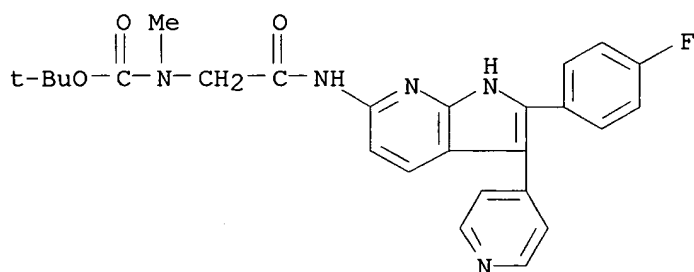
RN 208104-56-7 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



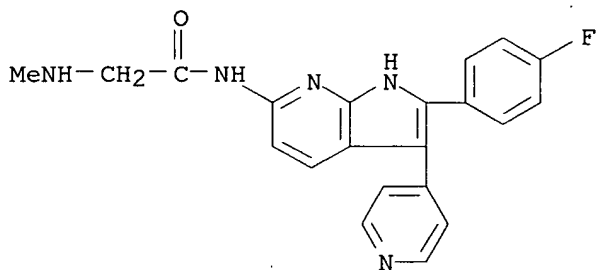
RN 208104-57-8 CAPLUS

CN Carbamic acid, [2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxoethyl]methyl-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



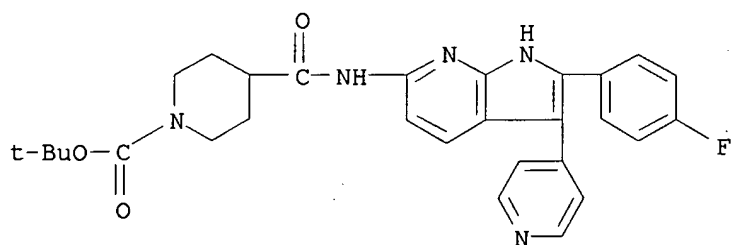
RN 208104-58-9 CAPLUS

CN Acetamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-2-(methylamino)- (9CI) (CA INDEX NAME)



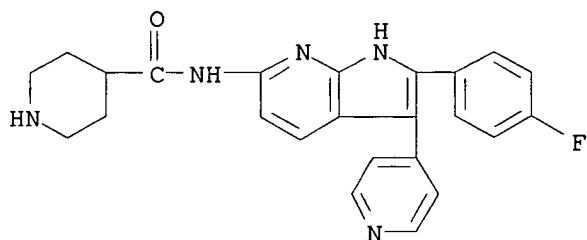
RN 208104-59-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



RN 208104-60-3 CAPLUS

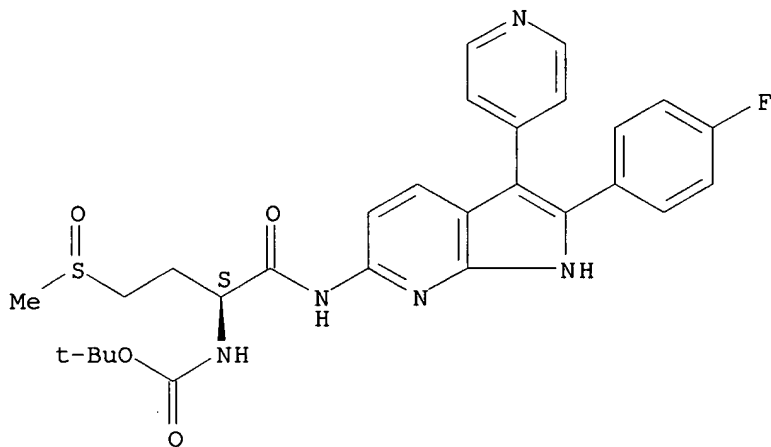
CN 4-Piperidinecarboxamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



RN 208104-61-4 CAPLUS

CN Carbamic acid, [(1S)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-3-(methylsulfinyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

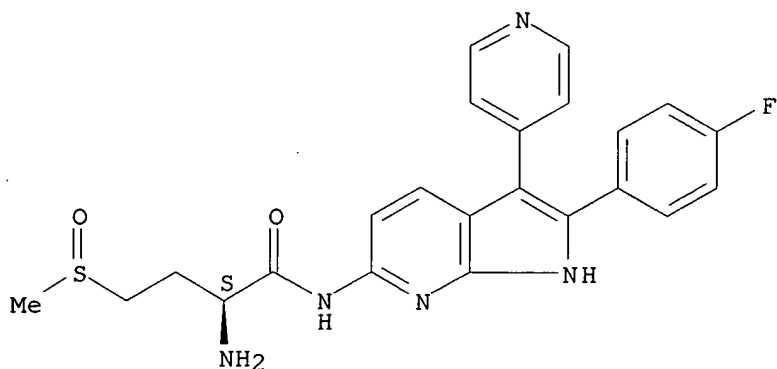
Absolute stereochemistry.



RN 208104-62-5 CAPLUS

CN Butanamide, 2-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-4-(methylsulfinyl)-, (2S)- (9CI) (CA INDEX NAME)

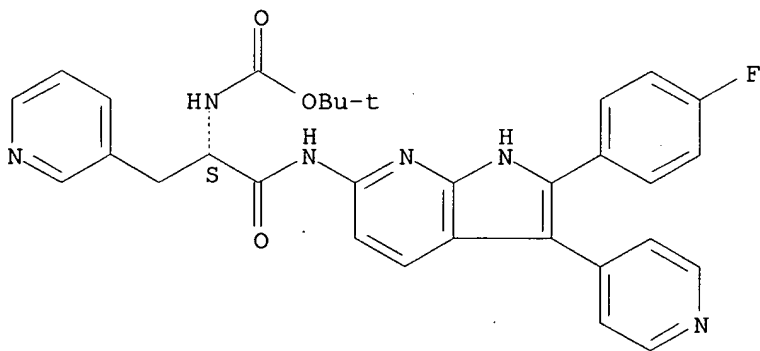
Absolute stereochemistry.



RN 208104-63-6 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

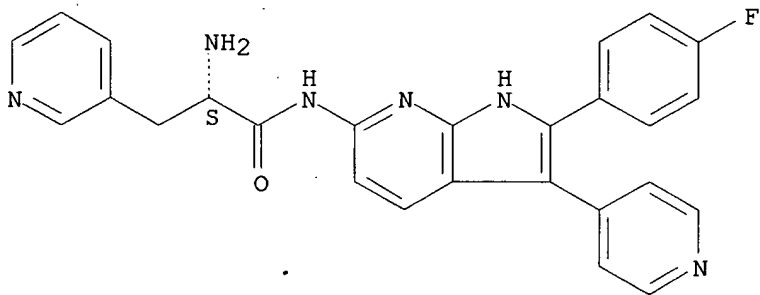
Absolute stereochemistry.



RN 208104-64-7 CAPLUS

CN 3-Pyridinepropanamide, α-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (αS)- (9CI) (CA INDEX NAME)

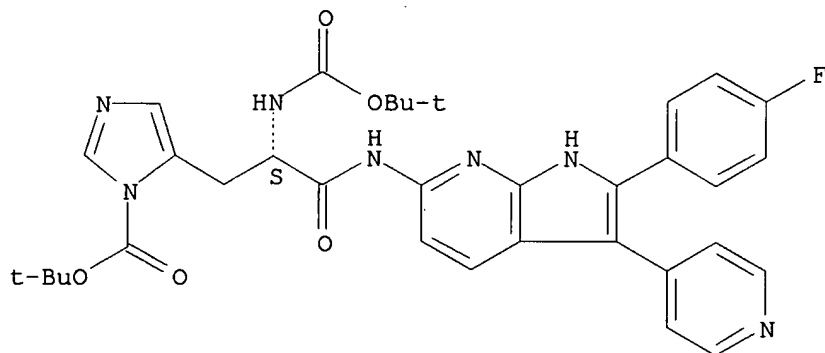
Absolute stereochemistry.



RN 208104-65-8 CAPLUS

CN 1H-Imidazole-1-carboxylic acid, 5-[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

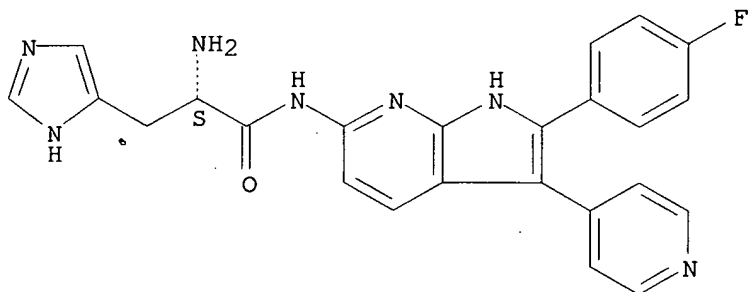
Absolute stereochemistry.



RN 208104-66-9 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

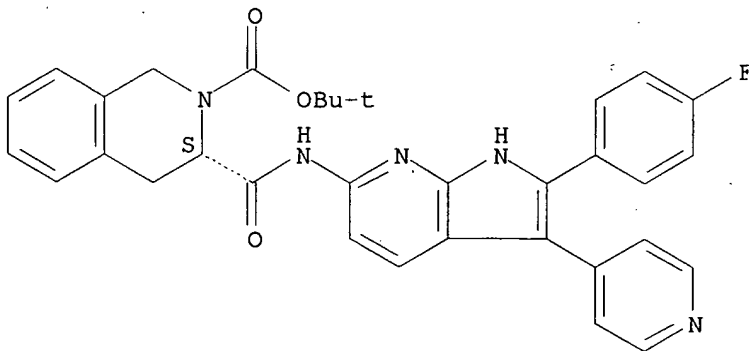
Absolute stereochemistry.



RN 208104-67-0 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

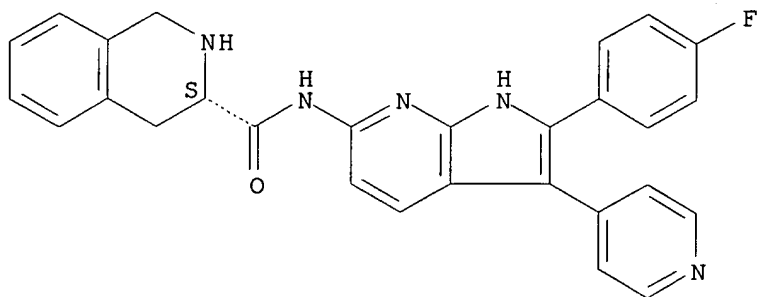
Absolute stereochemistry.



RN 208104-68-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

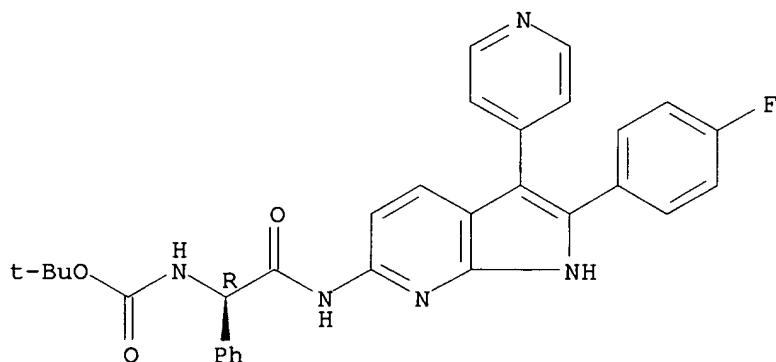
Absolute stereochemistry.



RN 208104-69-2 CAPLUS

CN Carbamic acid, [(1R)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

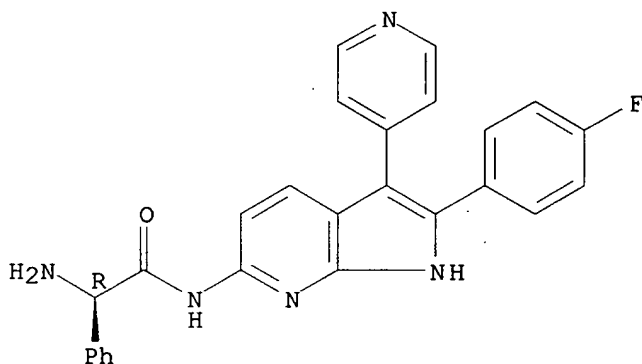
Absolute stereochemistry.



RN 208104-70-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

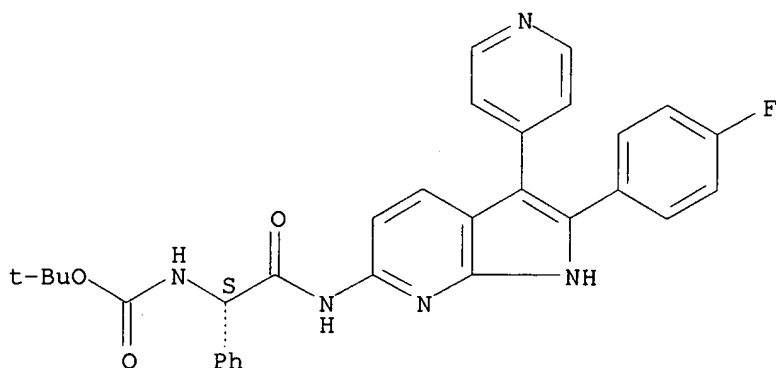
Absolute stereochemistry.



RN 208104-71-6 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

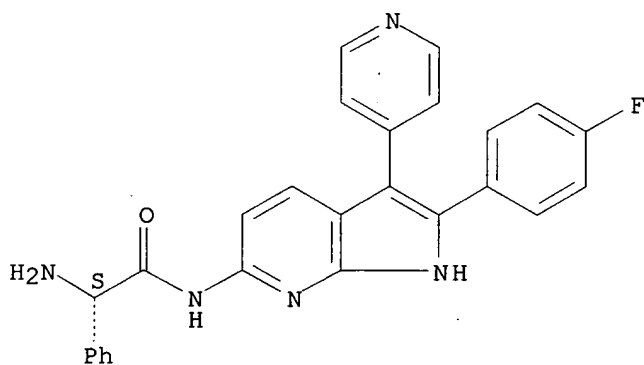
Absolute stereochemistry.



RN 208104-73-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

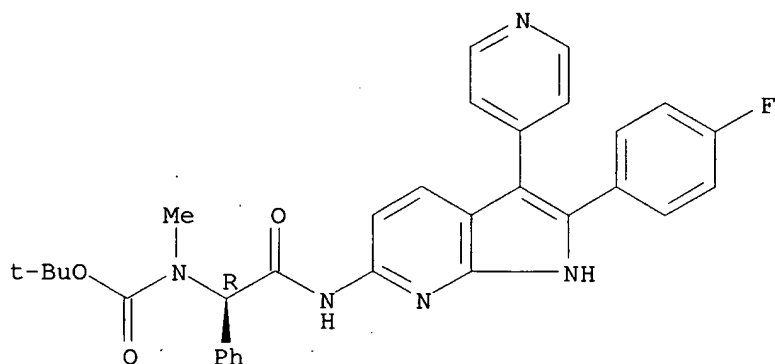
Absolute stereochemistry.



RN 208104-75-0 CAPLUS

CN Carbamic acid, [(1R)-2-[[2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxo-1-phenylethyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

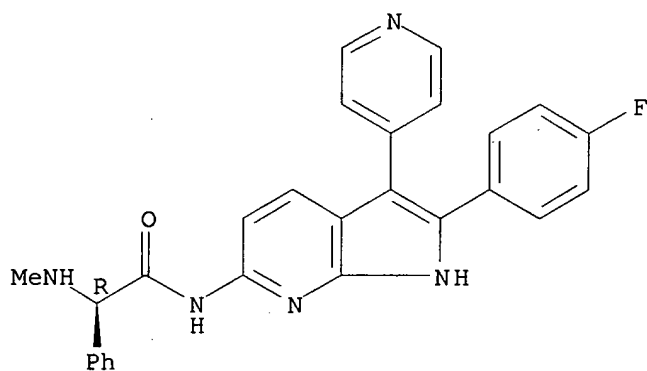
Absolute stereochemistry.



RN 208104-77-2 CAPLUS

CN Benzeneacetamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]- $\alpha$ -(methylamino)-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

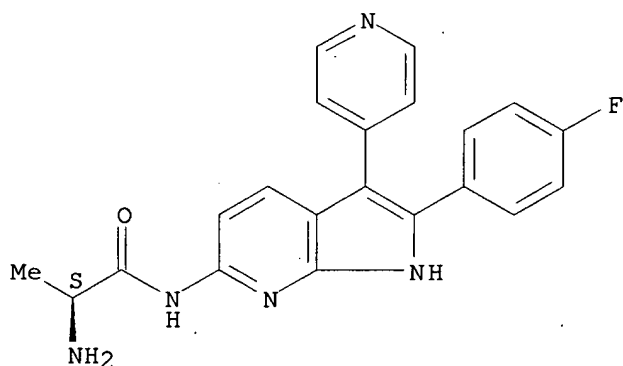
Absolute stereochemistry.



RN 208104-79-4 CAPLUS

CN Propanamide, 2-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (2S)- (9CI) (CA INDEX NAME)

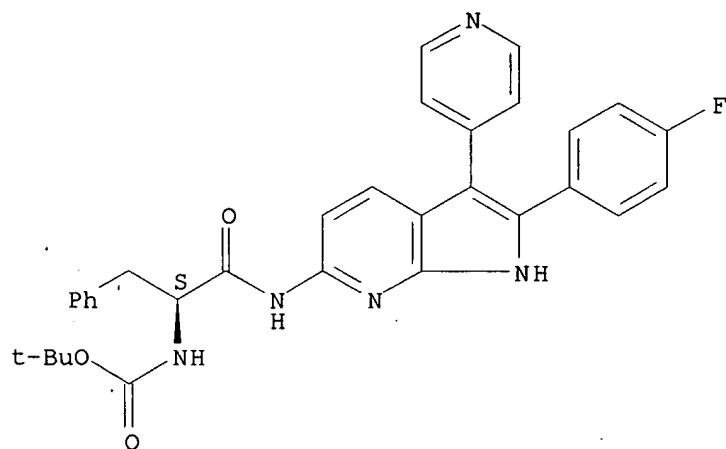
Absolute stereochemistry.



RN 208104-80-7 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

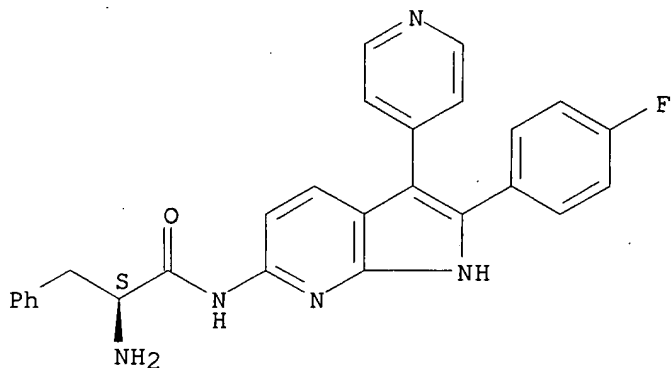


RN 208104-81-8 CAPLUS



CN Benzenepropanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

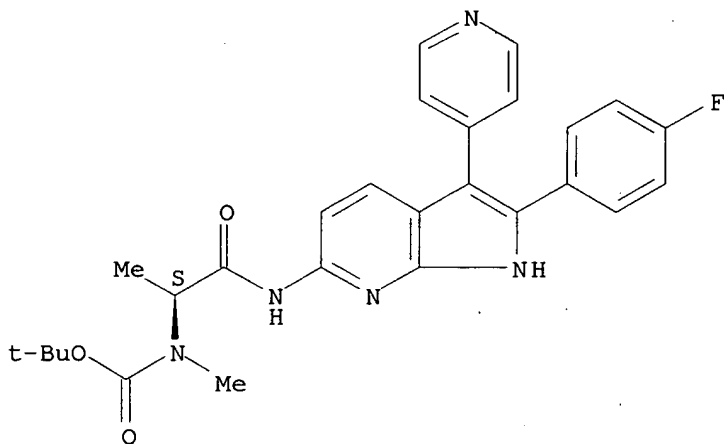
Absolute stereochemistry.



RN 208104-82-9 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-1-methyl-2-oxoethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

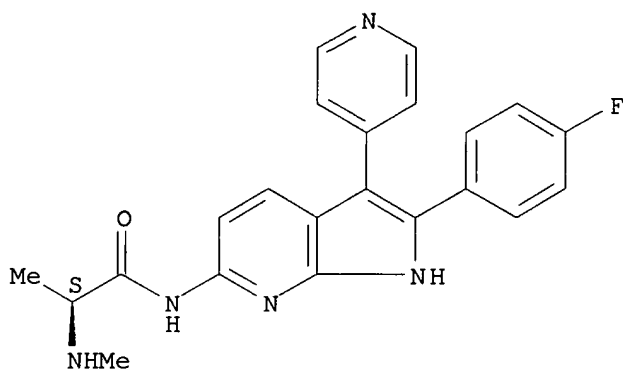
Absolute stereochemistry.



RN 208104-83-0 CAPLUS

CN Propanamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-2-(methylamino)-, (2S)- (9CI) (CA INDEX NAME)

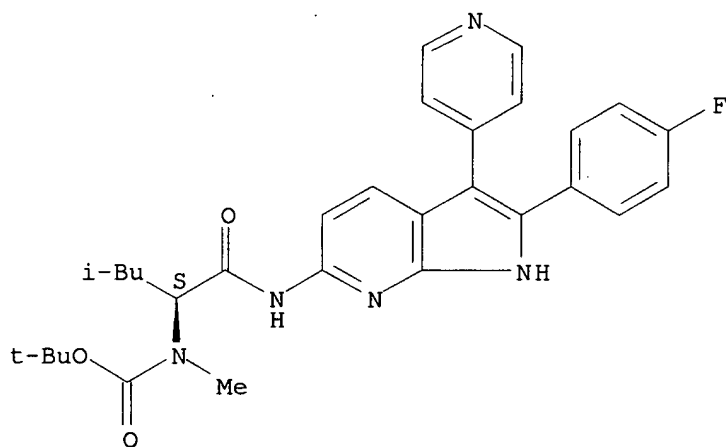
Absolute stereochemistry.



RN 208104-84-1 CAPLUS

CN Carbamic acid, [(1S)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-3-methylbutyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

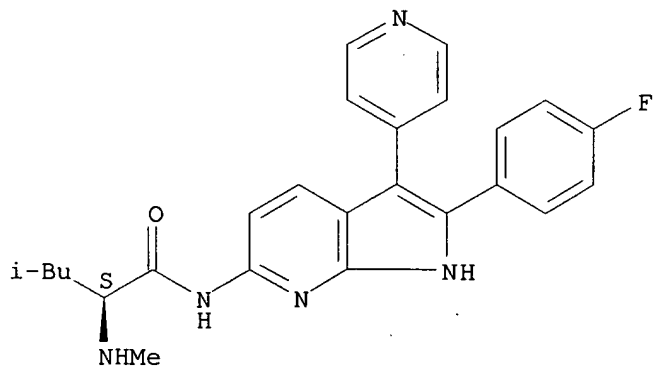
Absolute stereochemistry.



RN 208104-85-2 CAPLUS

CN Pentanamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-4-methyl-2-(methylamino)-, (2S)- (9CI) (CA INDEX NAME)

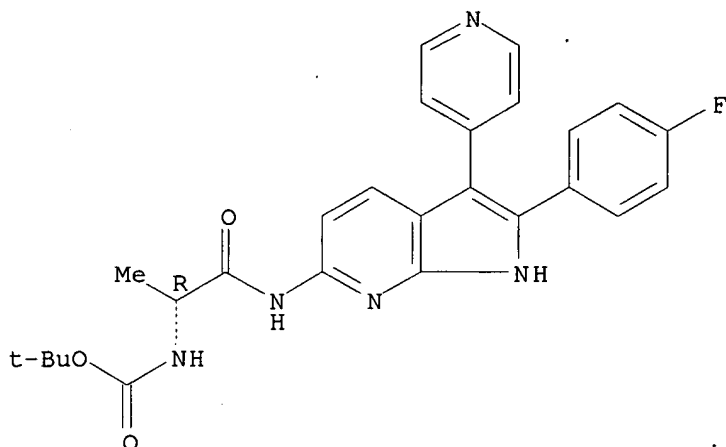
Absolute stereochemistry.



RN 208104-86-3 CAPLUS

CN Carbamic acid, [(1R)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

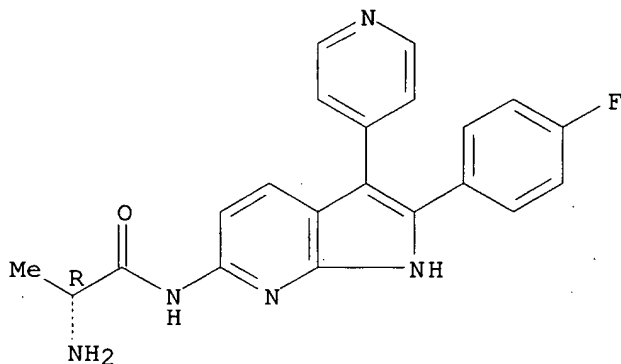
Absolute stereochemistry.



RN 208104-87-4 CAPLUS

CN Propanamide, 2-amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, (2R)- (9CI) (CA INDEX NAME)

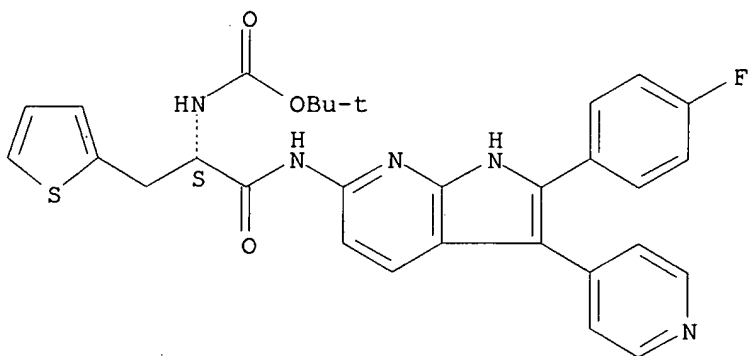
Absolute stereochemistry.



RN 208104-88-5 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxo-1-(2-thienylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

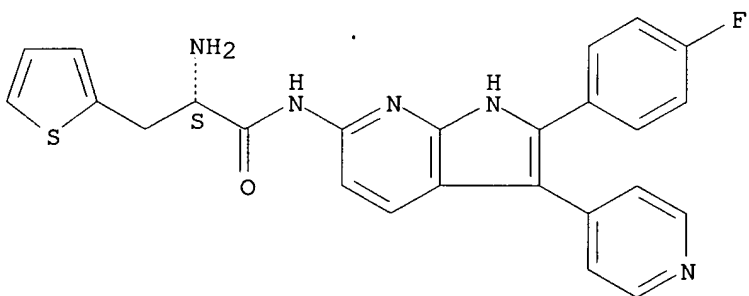
Absolute stereochemistry.



RN 208104-89-6 CAPLUS

CN 2-Thiophenepropanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

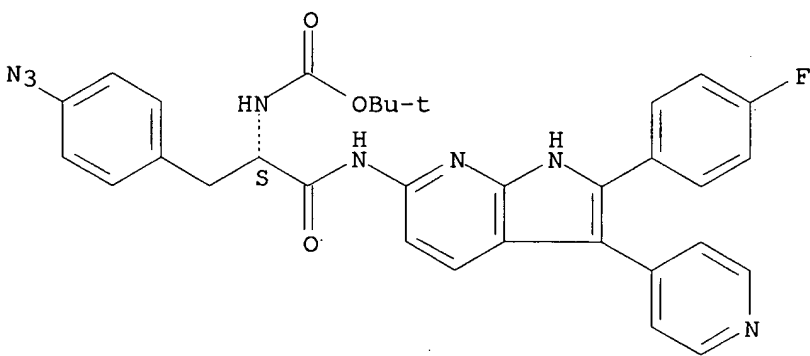
Absolute stereochemistry.



RN 208104-90-9 CAPLUS

CN Carbamic acid, [(1S)-1-[(4-azidophenyl)methyl]-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

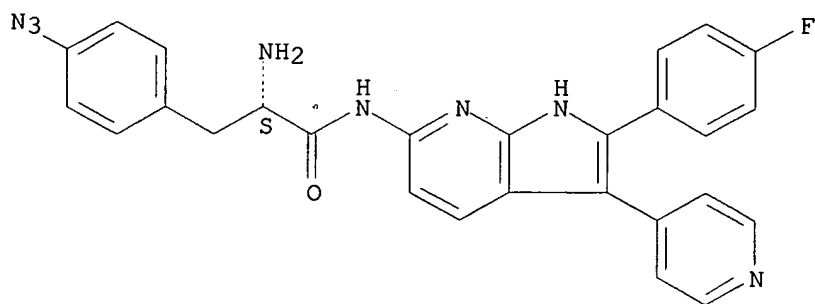
Absolute stereochemistry.



RN 208104-91-0 CAPLUS

CN Benzenepropanamide,  $\alpha$ -amino-4-azido-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

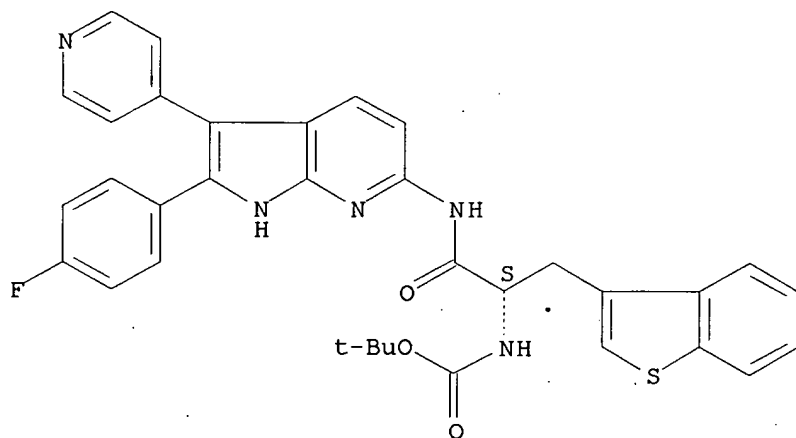
Absolute stereochemistry.



RN 208104-92-1 CAPLUS

CN Carbamic acid, [(1S)-1-(benzo[b]thien-3-ylmethyl)-2-[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

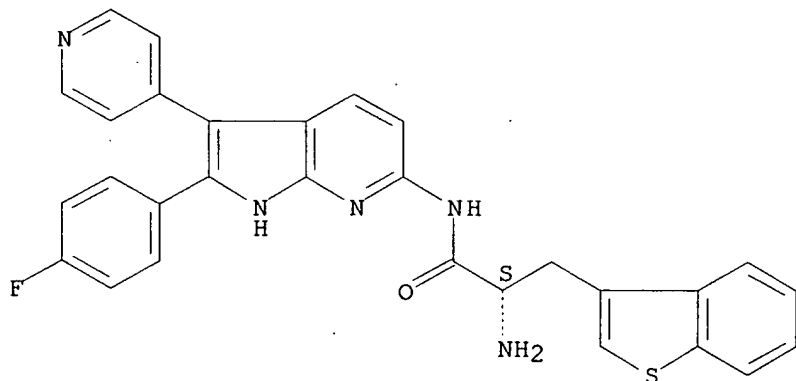
Absolute stereochemistry.



RN 208104-93-2 CAPLUS

CN Benzo[b]thiophene-3-propanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

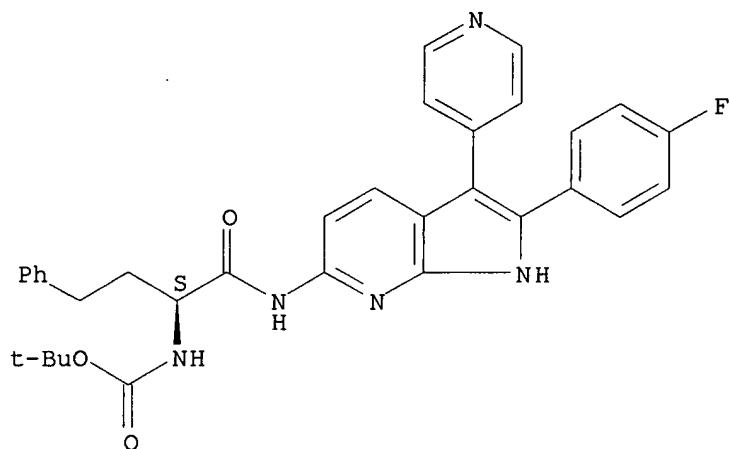


RN 208104-94-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-

pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-3-phenylpropyl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

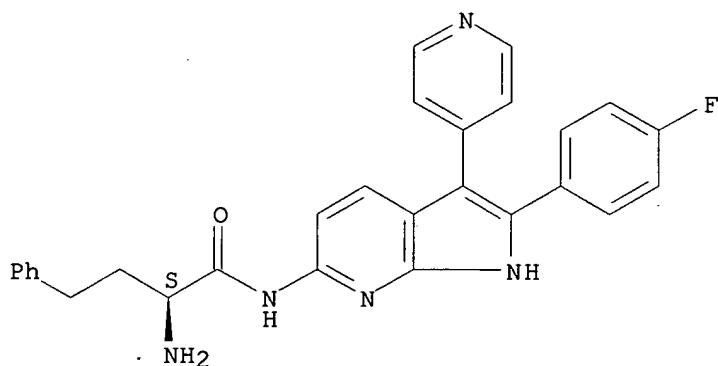
Absolute stereochemistry.



RN 208104-95-4 CAPLUS

CN Benzenebutanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

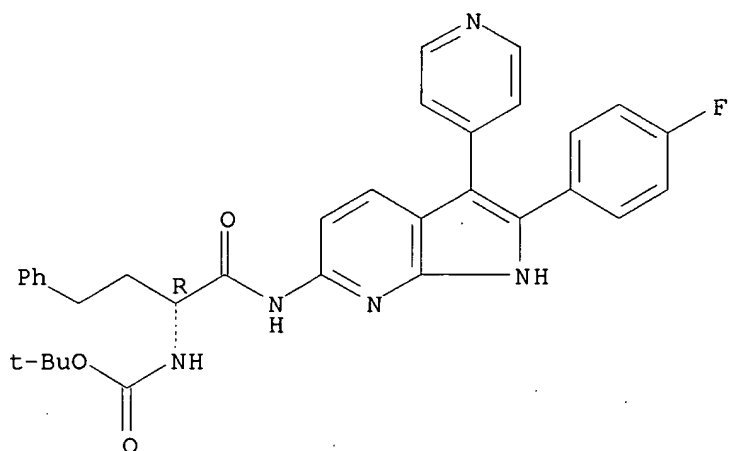
Absolute stereochemistry.



RN 208104-96-5 CAPLUS

CN Carbamic acid, [(1R)-1-[[[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]carbonyl]-3-phenylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

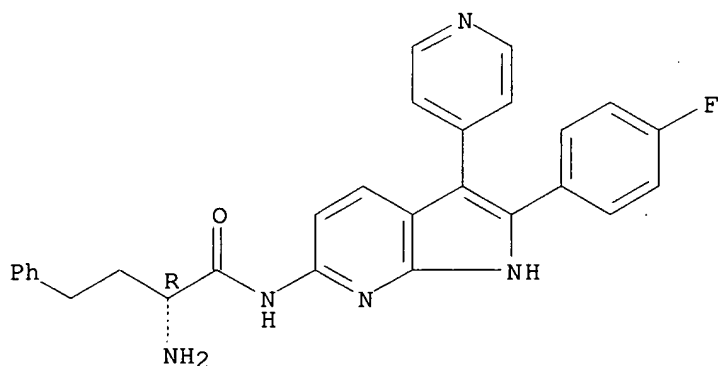
Absolute stereochemistry.



RN 208104-97-6 CAPLUS

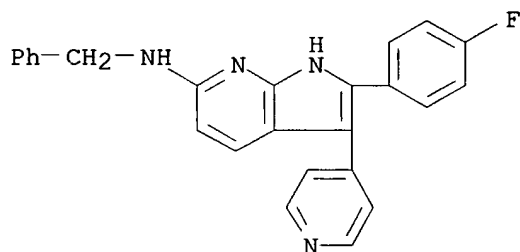
CN Benzenebutanamide,  $\alpha$ -amino-N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



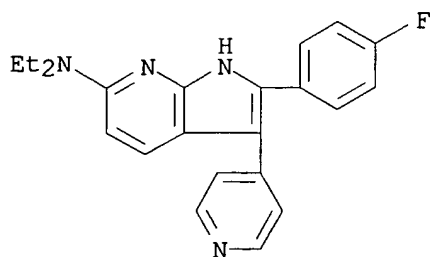
RN 208104-99-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N-(phenylmethyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



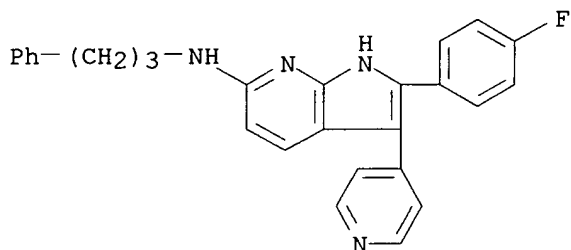
RN 208105-00-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N,N-diethyl-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



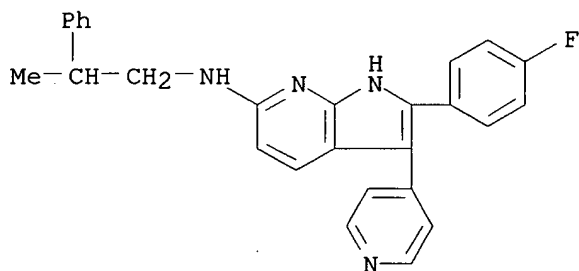
RN 208105-01-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N-(3-phenylpropyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



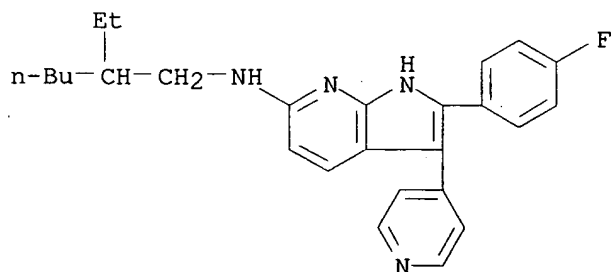
RN 208105-02-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N-(2-phenylpropyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 208105-03-7 CAPLUS

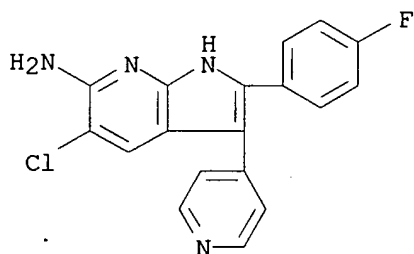
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-(2-ethylhexyl)-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 208105-04-8 CAPLUS

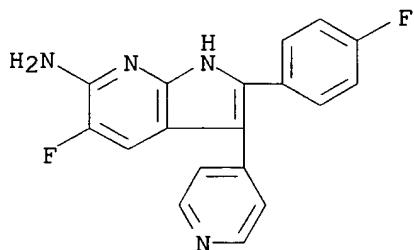


CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 5-chloro-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



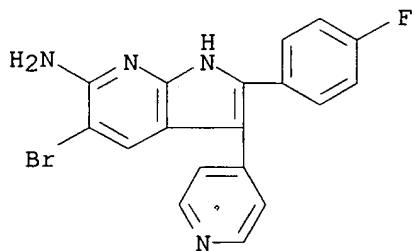
RN 208105-05-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 5-fluoro-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



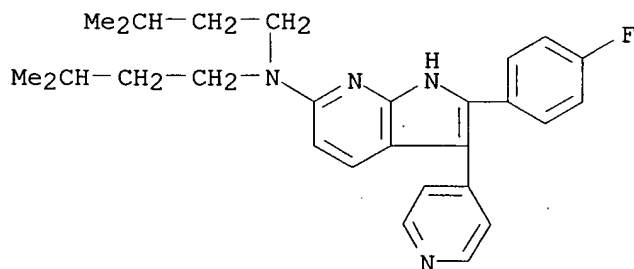
RN 208105-06-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 5-bromo-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

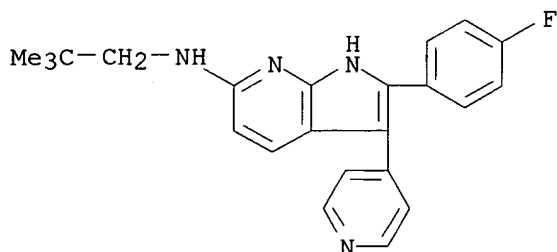


RN 208105-07-1 CAPLUS

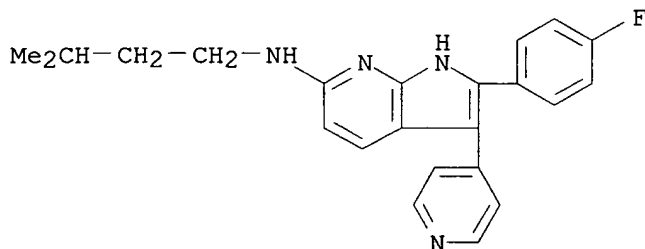
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N,N-bis(3-methylbutyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



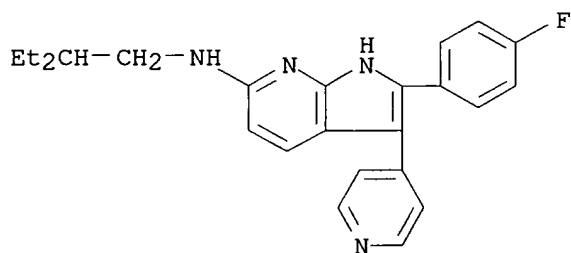
RN 208105-08-2 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-(2,2-dimethylpropyl)-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



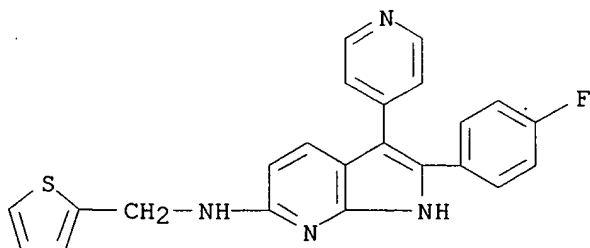
RN 208105-09-3 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N-(3-methylbutyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 208105-10-6 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-(2-ethylbutyl)-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

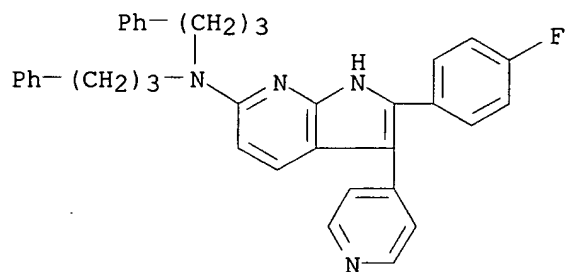


RN 208105-11-7 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-3-(4-pyridinyl)-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



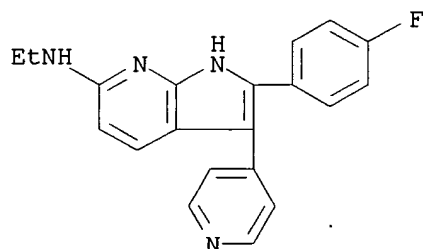
RN 208105-12-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2-(4-fluorophenyl)-N,N-bis(3-phenylpropyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



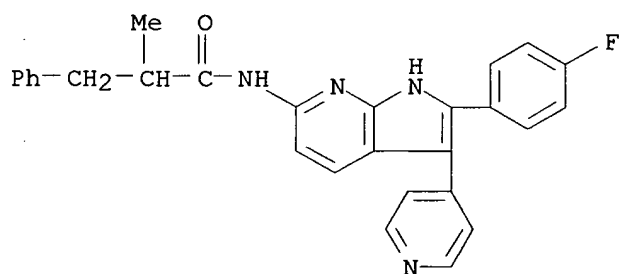
RN 208105-13-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-ethyl-2-(4-fluorophenyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



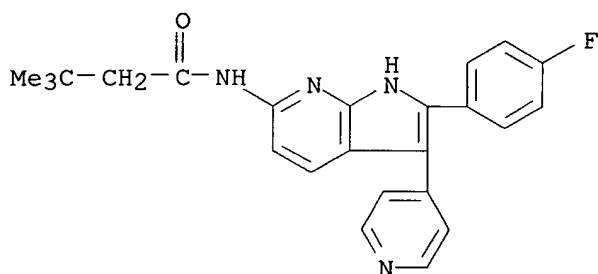
RN 208105-14-0 CAPLUS

CN Benzenepropanamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-α-methyl- (9CI) (CA INDEX NAME)



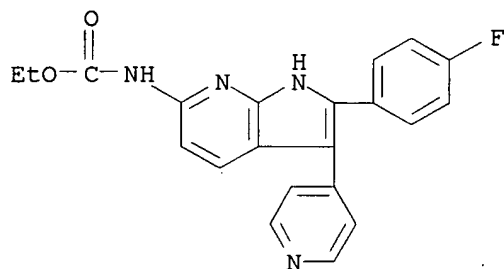
RN 208105-16-2 CAPLUS

CN Butanamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



RN 208105-17-3 CAPLUS

CN Carbamic acid, [2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:522337 CAPLUS

DOCUMENT NUMBER: 99:122337

TITLE: Synthesis of a new carbonic anhydrase inhibitor

AUTHOR(S): Saify, Zafar S.

CORPORATE SOURCE: Fac. Pharm., Univ. Karachi, Karachi, 32, Pak.

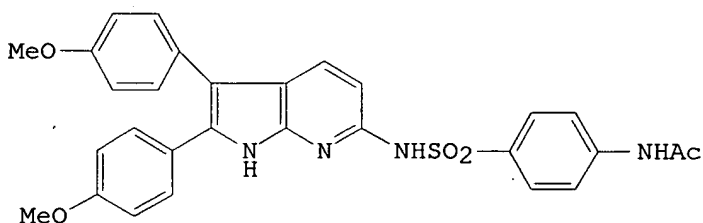
SOURCE: Journal of Pharmacy (University of Karachi) (1982), 1(1), 83-8

CODEN: JPUKDX; ISSN: 0257-3865

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The sulfonamide I was prepared in 33% yield by treating the aminopyrrolopyridine with 4-AcNHC6H4SO2Cl. I has carbonic anhydrase inhibiting activity in vitro and analgesic activity at 100 mg/kg orally in mice.

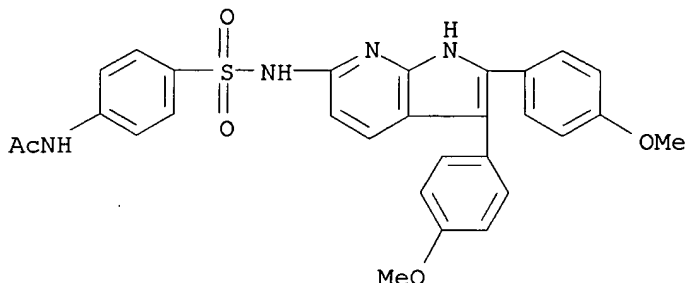
IT 87022-57-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and pharmacol. activity of)

RN 87022-57-9 CAPLUS

CN Acetamide, N-[4-[[[2,3-bis(4-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-6-yl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

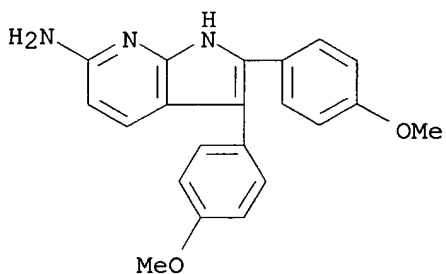


IT 23612-74-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with acetamidobenzenesulfonylchloride)

RN 23612-74-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1980:532395 CAPLUS

DOCUMENT NUMBER: 93:132395

TITLE: Ring opening or rearrangement versus N-oxidation in the action of peracids upon pyrrolo[2,3-b]pyridines, pyrrolo[2,3-b]pyrazines, and triazolo[1,5-a]- and triazolo[4,3-a]pyrazine. Some chemical and spectroscopic properties of the triazolopyrazines and their N-oxides

AUTHOR(S): Hardy, Christopher R.; Parrick, John

CORPORATE SOURCE: Sch. Chem., Brunel Univ., Uxbridge, UB3 3PH, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1980), (2), 506-11

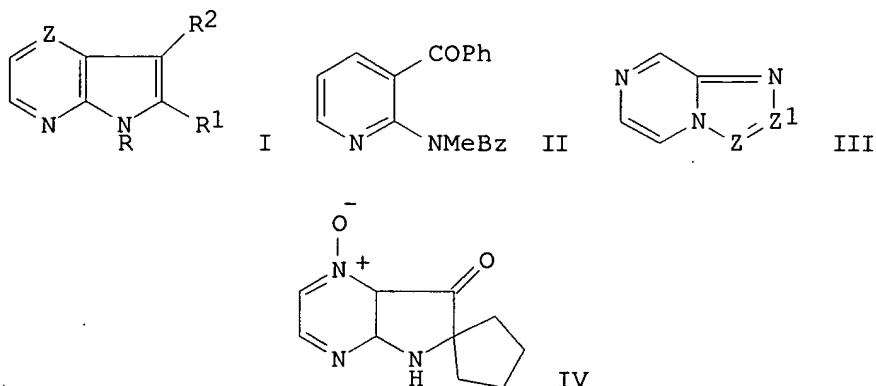
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 93:132395

GI



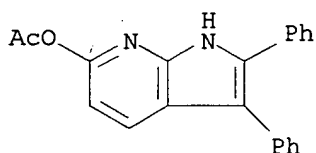
AB Peracid oxidation of the title compds. occurred by a variety of reaction pathways. E.g., the pyrrolopyridine and -pyrazines I (Z = CH, R = Me, R1 = R2 = Ph; Z = N, R = H, R1 = Ph, R2 = Me, resp.) ring-opened to give II and PhCONHCONH2, resp. In contrast, oxidation of the triazoles III (Z = N, Z1 = C; Z = C, Z1 = N, resp.) gave the resp. 7-oxides. The pyrrolopyrazine I [Z = N, R = Ac, R1R2 = (CH2)4] underwent rearrangement and N-oxidation to give the spiro compound IV. Some chemical and spectral properties of the triazolopyrazines and their N-oxides are reported.

IT 23616-69-5 74803-15-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(methylation of)

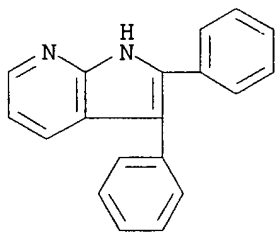
RN 23616-69-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 2,3-diphenyl-, acetate (ester) (8CI, 9CI)  
(CA INDEX NAME)



RN 74803-15-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-diphenyl- (6CI, 9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1977:439361 CAPLUS

DOCUMENT NUMBER: 87:39361

TITLE: Synthesis and reactions of the 1H-imidazo[1,2-a]pyrrolo[3,2-e]pyridine system

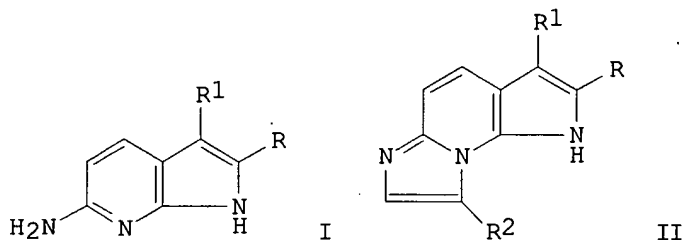
AUTHOR(S): Bancroft, Keith C. C.; Ward, Terence J.; Brown, Kevan

CORPORATE SOURCE: Sch. Chem., Leicester Polytech., Leicester, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions  
1: Organic and Bio-Organic Chemistry (1972-1999)

(1977), (5), 465-7  
CODEN: JCPRB4; ISSN: 0300-922X  
Journal  
English  
CASREACT 87:39361

DOCUMENT TYPE:  
LANGUAGE:  
OTHER SOURCE(S):  
GI



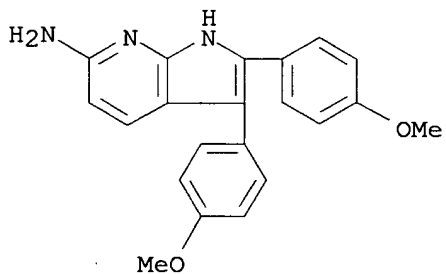
AB 6-Amino-1H-pyrrolo[2,3-b]pyridines with  $\alpha$ -halocarbonyl compds. gave 1H-imidazo[1,2-a]pyrrolo[3,2-e]pyridines. E.g., I (R = Me, R<sup>1</sup> = Me, H) with BrCH<sub>2</sub>CHO under reflux in aqueous EtOH in the presence of NaHCO<sub>3</sub> gave 88 and 52% II (R = Me, R<sup>1</sup> = Me, H, R<sub>2</sub> = H, resp.). The imidazopyrrolopyridines underwent bromination, acetylation, and Mannich reactions at the 3-position; when the 3-position was blocked 8-substitution occurred. E.g., bromination of II (R = Me, R<sup>1</sup> = Me, H, R<sub>2</sub> = H) in CHCl<sub>3</sub> gave 20 and 48% II (R = R<sup>1</sup> = Me, R<sub>2</sub> = Br; R = Me, R<sup>1</sup> = Br, R<sub>2</sub> = H, resp.).

IT 23612-74-0 55463-74-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclocondensation reaction of, with halocarbonyl compds.)

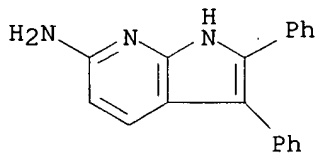
RN 23612-74-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 55463-74-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-diphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1975:4147 CAPLUS  
DOCUMENT NUMBER: 82:4147

TITLE: Application of the Bischler reaction to the preparation of pyrrolopyridines and the novel dipyrrolopyridine system

AUTHOR(S): Bancroft, Keith C. C.; Ward, Terence J.; Brown, Kevan

CORPORATE SOURCE: Sch. Chem., City Leicester Polytech., Leicester, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (15), 1852-8  
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

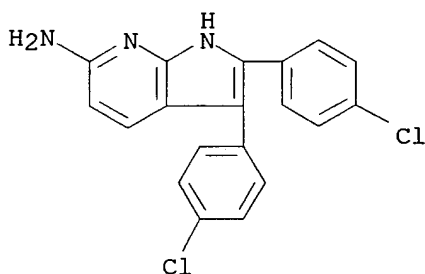
GI For diagram(s), see printed CA Issue.

AB The Bischler reaction of  $\alpha$ -hydroxy ketones and 2,6-diaminopyridine gave 6-amino-1H-pyrrolo[2,3-b]pyridines and the 1,7-dihydrodipyrrolo[2,3-b:3',2'-e]pyridine system with various alkyl and aryl substituents. 2,6-Diphenyl-1,7-dihydrodipyrrolo[2,3-b:3',2'-e]-pyridine (I) underwent 3,5-disubstitution by electrophiles.

IT 55463-67-7P 55463-76-8P  
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

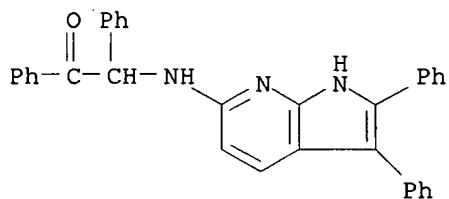
RN 55463-67-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-bis(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 55463-76-8 CAPLUS

CN Ethanone, 2-[(2,3-diphenyl-1H-pyrrolo[2,3-b]pyridin-6-yl)amino]-1,2-diphenyl- (9CI) (CA INDEX NAME)

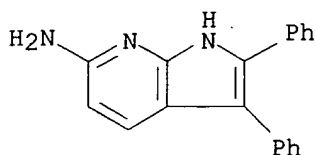


IT 55463-74-6  
RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with benzoine)

RN 55463-74-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-diphenyl- (9CI) (CA INDEX NAME)





L4 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1969:449810 CAPLUS

DOCUMENT NUMBER: 71:49810

TITLE: Syntheses and properties of 1H-pyrrolo[2,3-b]pyridines

AUTHOR(S): Herbert, R.; Wibberley, D. G.

CORPORATE SOURCE: Sch. Pharm., Sunderland Polytech., Sunderland, UK

SOURCE: Journal of the Chemical Society [Section] C: Organic (1969), (11), 1505-14

CODEN: JSOOAX; ISSN: 0022-4952

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 71:49810

GI For diagram(s), see printed CA Issue.

AB Five different routes for the preparation of 1H-pyrrolo[2,3-b]pyridines (I) were investigated. A number of 2-, 3-, and 4-alkyl and -aryl substituted derivs. were prepared by two of these methods which involved modifications of Madelung- and Fischer-syntheses of indoles. I undergo nitration, nitrosation, bromination, iodination, and reaction with Mannich bases predominantly at the 3-position although one example of nitration at the 2-position was also found. Bis[3-(1H-pyrrolo[2,3-b]pyridyl)]methanes are formed by reaction with aldehydes, and treatment of 2-phenyl-1H-pyrrolo[2,3-b]pyridine with nitrosobenzene yields 2-phenyl-3-phenylimino-3H-pyrrolo[2,3-b]pyridine. A further example of a derivative of this isomeric 3H-system is 3-diazo-2-phenyl-3H-pyrrolo[2,3-b]pyridine which is formed from the corresponding amine by basification of the diazonium salt. 1-Substituted Grignard derivs. yield 3-iodo-compds. on treatment with H<sub>2</sub>O<sub>2</sub> but only 1-acyl derivs. with acyl chlorides. Treatment of 2-phenyl-1H-pyrrolo[2,3-b]pyridine with CHCl<sub>3</sub> and alkali caused ring-expansion to a 1,8-naphthyridine. A number of unexpected products were isolated both in the syntheses of the 1H-pyrrolo[2,3-b]pyridines and in their reactions with electrophiles. Ir, N.M.R., and mass spectra were used to establish all structures.

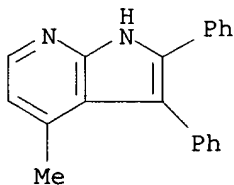
IT 23612-72-8P 23612-74-0P 23616-69-5P

23616-70-8P 23616-71-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

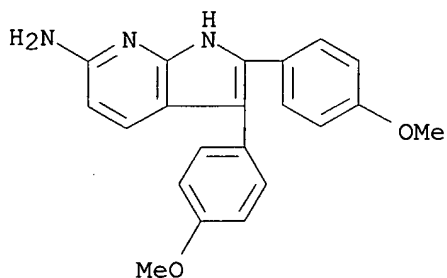
RN 23612-72-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-methyl-2,3-diphenyl- (8CI) (CA INDEX NAME)

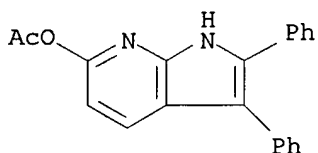


RN 23612-74-0 CAPLUS

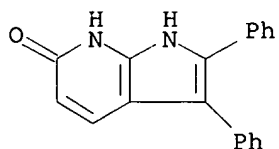
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



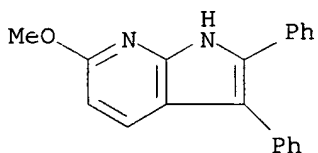
RN 23616-69-5 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 2,3-diphenyl-, acetate (ester) (8CI, 9CI)  
 (CA INDEX NAME)



RN 23616-70-8 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 2,3-diphenyl- (8CI) (CA INDEX NAME)



RN 23616-71-9 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 6-methoxy-2,3-diphenyl- (8CI) (CA INDEX NAME)



L4 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1959:94804 CAPLUS  
 DOCUMENT NUMBER: 53:94804  
 ORIGINAL REFERENCE NO.: 53:17126h-i,17127a-i,17128a  
 TITLE: 7-Azaindole. V. Investigations of alternative  
 syntheses of the ring system  
 AUTHOR(S): Okuda, Shigenobu; Robison, Michael M.  
 CORPORATE SOURCE: Amherst Coll., Amherst, MA  
 SOURCE: Journal of the American Chemical Society (1959), 81,  
 740-3  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 OTHER SOURCE(S): CASREACT 53:94804  
 AB cf: C.A. 51, 13860g. 5,6,7,8-Tetrahydro-9H-pyrido[2,3-b]indole (I) and

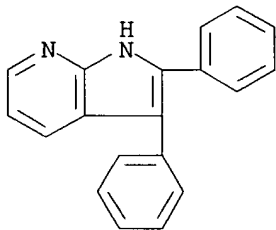
2,3-diphenyl-7-azaindole (II) were prepared by Fischer cyclizations of the corresponding pyridylhydrazones with polyphosphoric acid (III), though the method failed for a number of simpler pyridylhydrazones.

2-Aminopyridine-3-acetic acid (IV), obtained in low yield by a sequence from 3-(cyanomethyl)pyridine N-oxide (V), was also converted to 7-azaioxindole (VI). Cyclohexanone (VII) (4.90 g.) added to 5.45 g. 2-pyridylhydrazine (VIIa), the resulting white powder triturated with H<sub>2</sub>O, and recrystd. from cyclohexane and aqueous EtOH yielded the 2-pyridylhydrazone (VIII) of VII, m. 92-2.5° with sintering at about 85° (all m.ps. are corrected). VIII (5.68 g.) and 18 g. III heated gradually (to about 160°) to initiate the vigorous reaction, the mixture cooled, dissolved in 100 cc. H<sub>2</sub>O, washed with Et<sub>2</sub>O, neutralized with NH<sub>4</sub>OH, the product sublimed at 110°/0.2 mm. and recrystd. from aqueous EtOH yielded 2.75 g. I, m. 155-6°. I (0.001 mol), 0.1 g. 5% Pd-C, and 5 cc. Dowtherm refluxed 2 h., cooled, added to 5 cc. C<sub>6</sub>H<sub>6</sub>, filtered, extracted with warm dilute HCl, and the extract basified with NH<sub>4</sub>OH precipitated 0.14 g. 9H-pyrido[2,3-b]indole, m. 210.5-11° (C<sub>6</sub>H<sub>6</sub>). PhCH(OH)Bz (IX) (1.96 g.) in 1 cc. glacial AcOH and 1.09 g. VIIa kept 2.5 h. at room temperature and the solid deposit triturated with dilute NH<sub>4</sub>OH and EtOH gave 1.4 g. 2-pyridylhydrazone (X) of IX, b<sub>0.3</sub> 160°, m. 110°. X (1.8 g.) and 8 g. III heated 1 h. at 110-20°, cooled, stirred with 20 cc. warm H<sub>2</sub>O, and extracted with C<sub>6</sub>H<sub>6</sub>, the aqueous layer and solid basified with NH<sub>4</sub>OH, the organic layer extracted with Et<sub>2</sub>O, and the extract worked up yielded 0.21 g. II, m. 292.5-3.5° (BuOH) (sublimed at 230°/0.1 mm.).

Desyl chloride (2.31 g.) in 10 cc. 95% EtOH refluxed with 0.94 g. 2-aminopyridine, the EtOH distilled, the mixture heated 1 h. at 130-40° and 5 min. to 190°, cooled, treated with H<sub>2</sub>O and NH<sub>4</sub>OH, and diluted with Me<sub>2</sub>CO precipitated 1.14 g. 2,3-diphenylimidazo[1,2-a]pyridine, plates, m. 151-1.5° (MeCN). NaCN (30 g.) in 45 cc. hot H<sub>2</sub>O treated with stirring with 250 cc. 95% EtOH and then dropwise with stirring during 40 min. with 20 g. 3-(chloromethyl)pyridine-HCl in 50 cc. 95% EtOH and 20 cc. H<sub>2</sub>O, refluxed 1 h. with stirring, evaporated in vacuo, the residue extracted with Et<sub>2</sub>O, and the extract worked up yielded 76.5-82.5% 3-(cyanomethyl)pyridine (XI), b<sub>7</sub> 126°, n<sub>20D</sub> 1.5279. XI (11.0 g.), 55 cc. glacial AcOH, and 15 cc. 30% H<sub>2</sub>O<sub>2</sub> heated 12 h. on the steam bath, cooled to room temperature, treated again with 10 cc. H<sub>2</sub>O<sub>2</sub>, heated 8 h., diluted with 70 cc. H<sub>2</sub>O, evaporated in vacuo, treated with H<sub>2</sub>O and evaporated again repeatedly, extracted with CHCl<sub>3</sub>, and the extract worked up gave 10.8 g. V, m. 135.5-6.5° (CHCl<sub>3</sub>-C<sub>6</sub>H<sub>6</sub>). V (10.0 g.) added to 100 cc. POCl<sub>3</sub>, warmed slowly with vigorous shaking, refluxed 2 h., evaporated in vacuo, the brown sirupy residue poured onto 160 g. ice, filtered, and the residue recrystd. from Et<sub>2</sub>O-petr. ether gave 2.55 g. 2-Cl derivative (XII) of XI, m. 85-6° (Et<sub>2</sub>O-petr. ether). The strongly acidic, aqueous filtrate extracted with CHCl<sub>3</sub>, the extract worked up, and the residue chromatographed on 80 g. Al<sub>2</sub>O<sub>3</sub> yielded 1.44 g. XII and 0.91 g. 2-chloro-5-(cyanomethyl)pyridine (XIII), m. 48-50°; the intermediate fractions combined with the recrystn. mother liquors from the XII and rechromatographed on 50 g. Al<sub>2</sub>O<sub>3</sub> yielded an addnl. 0.52 g. XII, 0.65 g. XIII, and 0.7 g. XII-XIII mixture; the crude XIII recrystd. from Et<sub>2</sub>O-petr. ether gave pure XIII, m. 51-2°. The aqueous layer from the CHCl<sub>3</sub> extns. adjusted to pH 4 with NH<sub>4</sub>OH and extracted with CHCl<sub>3</sub>, basified with NH<sub>4</sub>OH and again extracted, and the extract worked up gave only intractable tars; the aqueous layer evaporated to dryness in vacuo, the residue extracted with boiling Me<sub>2</sub>CO, and the extract evaporated gave 0.78 g. 3-hydroxy-5-(cyanomethyl)pyridine (XIV), m. 180-1° (C<sub>6</sub>H<sub>6</sub>-Me<sub>2</sub>CO), orange-red with FeCl<sub>3</sub>. XII (0.5 g.) and 20 cc. concentrated HCl refluxed 5.5 h. and evaporated

to dryness in vacuo, the residue triturated with H<sub>2</sub>O, dissolved in dilute aqueous NaHCO<sub>3</sub>, filtered, and repptd. with acid gave 0.43 g. 2-chloro-3-pyridineacetic acid (XV), m. 203-4° (C<sub>6</sub>H<sub>6</sub>). XII (265 mg.) and 614 mg. KMnO<sub>4</sub> in 20 cc. H<sub>2</sub>O heated 20 min. on the steam bath, filtered, saturated with CO<sub>2</sub>, evaporated in vacuo, the residue dissolved in H<sub>2</sub>O, filtered, repptd. with acid, and recrystd. from H<sub>2</sub>O yielded 232 mg. 2-chloronicotinic acid, m. 192-3° (decomposition). XIII oxidized similarly yielded 50% 6-chloronicotinic acid, m. 198-9°. XIV (0.35 g.) and 10 cc. concentrated HCl refluxed 5 h., evaporated in vacuo, the residue dissolved in 10 cc. H<sub>2</sub>O, treated with saturated aqueous Cu(OAc)<sub>2</sub>, the precipitate filtered off, washed with a small amount cold H<sub>2</sub>O, suspended in 40 cc. MeOH, treated with H<sub>2</sub>S, filtered, and evaporated, and the residue recrystd. from MeOH-EtAc gave 0.31 g. 3-hydroxy-5-pyridineacetic acid (XVI), m. 197° (decomposition). XVI (0.2 g.) heated 15 min. under N at 230° and the residue sublimed at 135/0.05 mm. gave 0.11 g. 3-hydroxy-5-methylpyridine, m. 138.5° (C<sub>6</sub>H<sub>6</sub>); picrate m. 189-90°. XII (0.5 g.), 0.1 g. CuSO<sub>4</sub>·5H<sub>2</sub>O, and 15 cc. concentrated NH<sub>4</sub>OH heated 42 h. in a sealed tube at 135 ± 10°, filtered, evaporated in vacuo, and the residue treated with 5 cc. cold H<sub>2</sub>O gave 105 mg. IV, m. 219-21.5° (decomposition), crystallizing with 0.5 mol H<sub>2</sub>O. The aqueous filtrate from the crude IV treated with H<sub>2</sub>S, filtered, evaporated in vacuo, the residue extracted with hot absolute EtOH, the extract evaporated, the residue dissolved in 5 cc. absolute EtOH, the solution diluted with 30 cc. Me<sub>2</sub>CO, filtered, concentrated to 1/3 the original volume, and the pale orange deposit (0.11 g.) recrystd. from absolute EtOH-C<sub>6</sub>H<sub>6</sub> and H<sub>2</sub>O gave 23 mg. 2-hydroxy-3-pyridineacetic acid (XVII), m. 240-1° (decomposition). XV (0.16 g.) in 10 cc. 5% aqueous NaOH heated 4.5 h. in an autoclave at 200°, filtered, acidified with concentrated HCl, evaporated, the residue extracted with boiling MeOH, and the extract worked up gave 95 mg. XVII, m. 240-1° (decomposition), red color with FeCl<sub>3</sub>. IV (0.1 g.) heated 10 min. under N at 225° and the residue sublimed at 170°/10 mm. yielded 56 mg. VI, m. 175°.

IT 74803-15-9P, 1H-Pyrrolo[2,3-b]pyridine, 2,3-diphenyl-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 74803-15-9 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-diphenyl- (6CI, 9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1947:25604 CAPLUS  
 DOCUMENT NUMBER: 41:25604  
 ORIGINAL REFERENCE NO.: 41:5126f-i,5127a-i,5128a-f  
 TITLE: Derivatives of 2,6-diaminopyridine  
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 CORPORATE SOURCE: Squibb Inst. for Med. Research, New Brunswick, NJ  
 SOURCE: Journal of the American Chemical Society (1947), 69,

1151-8

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

OTHER SOURCE(S):

CASREACT 41:25604

AB Since 2,6-diaminopyridine (I) showed an appreciable antiparasitic activity when tested against Plasmodium lophurae in ducklings, various derivs. of I have been prepared to determine if further substitution in the mol. would increase the antiparasitic activity of the parent compound I (66 g.) in 300 cc. dioxane, treated dropwise with 23.5 g. AcCl in 50 cc. dioxane (0.5 h.) at 25-30° and stirred 2 addnl. hrs., gives 40% of the 2-Ac derivative (II), m. 156-7°; 2-butyryl derivative m. 152-3°, 25%; 2-salicyloyl derivative (prepared from o-AcOC6H4COCl and purified by precipitation from dilute HCl with dilute NaOH) m. 178-9°, 44%; 2-[phenyl(acetoxy)acetyl] derivative (as HCl salt with 1 mol. H2O) m. 151-3°, 23%.  
N,N'-Bis(6-amino-2-pyridyl)adipamide m. 228-9°, 72%;  
N,N'-bis(6-amino-2-pyridyl)sebacamide m. 152-5°, 55%.  
1,3-Bis(6-amino-2-pyridyl)urea does not melt, 71%. (CH2CO)2O (30 g.) in 200 cc. dioxane, treated slowly with 33 g. I in 200 cc. dioxane and heated 3 h. on the steam bath, gives 57% N-(6-amino-2-pyridyl)succinamic acid, m. 174-5° (decomposition). I (46 g.) and 222 cc. AcCH2CO2Et, heated 15 min. at 160° and the product in EtOH treated with alc. HCl, give 40% 2,6-bis(acetylacetamido)pyridine-HCl, m. 195-8°; the filtrate yields 10% of the 2-acetylacetamido derivative, m. 146-7°. I (22 g.) and 22.6 g. NCCH2CO2Et, heated 2 h. at 165°, give 85% 2-amino-6-cyanoacetamidopyridine, m. 152-3°. 2-Acetamido-6-carbethoxyacetamidopyridine m. 150-1.5°, 41%. I (282 g.) and 290 g. HOCH2CO2H, fused 15 h. at 120° under reduced pressure, give 35% 2,6-bis(glycolylamino)pyridine, m. 220-1°. I (33 g.) in 200 cc. absolute EtOH containing 6.9 g. Na and 43 g. Et2NCH2CO2Et, refluxed 2 h., give  
55% 2,6-bis(diethylaminoacetamido)pyridine, m. 109.5-10.5°. I (22 g.) and 27 g. AcNHCOCl, ground in a mortar and 35 cc. C5H5N added, give 19% 2,6-bis(acetamidoacetamido)pyridine, m. 260-1°. MeC(:NH)NH2.HCl (24.6 g.) in 100 cc. absolute EtOH, added to 22 g. I in 150 cc. absolute EtOH, stirred 3 h., and allowed to stand overnight at room temperature, give 38% N-(6-amino-2-pyridyl)acetamidine-HCl, m. 246-7° (decomposition). I (396 g.) in 8 l. H2O, treated dropwise with 195 g. ClCO2Et (3 h.), gives 76% 2-amino-6-carbethoxyaminopyridine (III), m. 109-12°. I (33 g.) in 500 cc. H2O, 200 cc. N HCl, and 300 g. ice, treated dropwise with 33 g. ClCO2Et, stirred 2 h., 200 cc. N HCl added, and the mixture allowed to stand overnight at 10°, gives 55% 2,6-bis(carbethoxyamino)pyridine, m. 132.5-3.5°, and 12 g. III. III (21.6 g.) in 120 cc. 3 N EtOH-NH3, heated 12 h. at 110°, gives 75% 2-amino-6-ureidopyridine, m. 175-6° (decomposition). I (48 g.) and 48 g. CO(NH2)2, heated 36 h. at 130°, give 49% 2,6-diureidopyridine, does not melt below 300° (purified by extraction with 300 cc. 3% HCl and crystallization of the residue from H2O). I (12 g.) in 1500 cc. C6H6, treated dropwise with 17.9 g. p-EtOC6H4NCO in 75 cc. C6H6, gives 86% 2-(p-ethoxyphenylureido)-6-aminopyridine, m. 168-9°; 2-(2-nitro-4-methoxyphenylureido)-6-aminopyridine m. 208-10°, 73%; reduction over Pt oxide gives 50% of the corresponding 2-(2-amino-4-methylphenylureido) derivative, m. 182-4°. I (154 g.) and 200 g. of the HCl salt of I, heated 12 h. at 190°, give 60% bis(6-amino-2-pyridyl)amine, m. 172-3° (the HCl salt does not melt). 2,6-Dibromopyridine (IV) (38 g.) and 160 cc. 25% aqueous MeNH2, heated 8 h. at 190°, give 59% 2,6-bis(methylamino)pyridine, m. 70-1°; this results in 20% yield from 27.6 g. 2-amino-6-bromopyridine (V) and 110 cc. 25% aqueous MeNH2 on heating 30 h. at 190°. V (80 g.) and 200 cc. EtNH2, heated 36 h. at 170-80°, give 81% 2-amino-6-(diethylamino)pyridine (VI), b4.5 122-3°, m. 34-5° (HCl salt, m. 143-4°). IV (45 g.) and 27.8 g. Et2NH in 100 cc. absolute EtOH, heated 8 h. at 170-80°, give 85%

2-bromo-6-(diethylamino)pyridine (VII), b<sub>4</sub> 97-9°; VII does not react with NH<sub>4</sub>OH (d. 0.9) at 170-80° (8 h.); 11 g. VII and 35 cc. 5 N EtOH-NH<sub>3</sub>, heated 25 h. at 170°, also did not react; 18.8 g. VII in 100 cc. NH<sub>4</sub>OH (d. 0.9) containing 1 g. CuSO<sub>4</sub>·5H<sub>2</sub>O, heated 30 h. at 140-5°, gives 44% VI. IV (35.6 g.) and 100 cc. Et<sub>2</sub>NH containing 4 cc. 25% CuSO<sub>4</sub>·5H<sub>2</sub>O, heated 30 h. at 160°, give 76% 2,6-bis(diethylamino)pyridine, b<sub>3</sub> 120-2° (HCl salt, m. 120-2°). 2-Amino-6-(3-diethylaminopropylamino)pyridine-HCl m. 65-75°, 53%; 2-acetamido-6-(4-diethylamino-1-methylbutylamino)pyridine m. 106-8° (51%). 2-Acetamido-6-(3-keto-1-methylbutylideneamino)-pyridine, 2,6-AcNHC<sub>5</sub>H<sub>3</sub>N(N:CMech<sub>2</sub>Ac), m. 146-7.5°, 40%. 2-Acetamido-6-(2,5-dimethyl-1-pyrrolyl)pyridine m. 147.5-8.5°, 54%. 2-Methoxy-6,9-dichloroacridine (11.2 g.) in 50 g. PhOH, warmed on the steam bath, treated with 11 g. I, and heated 3 h., gives 61% 2-methoxy-6-chloro-9-(6-amino-2-pyridylamino)acridine, yellow, m. 232-3°. II (30.2 g.), added in small portions to 100 cc. HNO<sub>3</sub> (d. 1.5) at -5° to -2° and stirred an addnl. 30 min., gives 65% of the Ac derivative, decompose violently at 193°, of 2-nitramino-6-aminopyridine (VIII), darkens at 240-50° (hydrolysis by refluxing 1 h. with N NaOH); reduction of 15.4 g. VIII in 300 cc. 10% NaOH at 0-2° with 31 g. Zn gives 69% 2-hydrazino-6-aminopyridine, pale yellow, m. 93-4°; warmed 2 h. on the steam bath with AcCH<sub>2</sub>CO<sub>2</sub>Et (N atmospheric), there results

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1- (6-amino-2-pyridyl)-3-methyl-5-pyrazolone, m. 188-9.5°. 3-Methylpyridine (80 g.), 160 g. PhNMe<sub>2</sub>, and 144 g. NaNH<sub>2</sub>, heated 10 h. at 130-50° and 6 h. at 170-200°, give 4% 2,6-diamino-3-methylpyridine, m. 149-50°. 2,6-Dihydroxy-4-methylpyridine (9 g.) and 30 g. PBr<sub>3</sub>, heated 4.5 h. at 180°, give 36% 2,6-dibromo-4-methylpyridine, m. 74-5°; heated with NH<sub>4</sub>OH (d. 0.9) 27 h. at 195°, there results 71% 2,6-diamino-4-methylpyridine, m. 87-8°, which on sublimation m. 109-11° but reverts to the lower m.p. on standing. 2,6-Diamino-3-iodopyridine (23.5 g.) in 25 cc. AcOH and 35 cc. Ac<sub>2</sub>O, heated 1 h. on the steam bath, gives 33% of the di-Ac derivative, m. 210-11°. I (38 g.) in 550 cc. H<sub>2</sub>O, treated with 93 g. iodine and 93 g. KI in 150 cc. H<sub>2</sub>O, the mixture stirred 8 h., and allowed to stand overnight at room temperature, gives 36% 2,6-diamino-3,5-diiodopyridine-HCl, m. 160-5°; the free base m. 209-10°. 3-Methoxypyridine (IX) (15.8 g.) in 100 cc. concentrated H<sub>2</sub>SO<sub>4</sub>, treated

dropwise

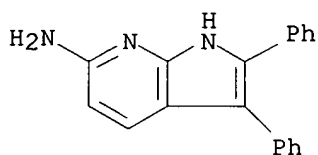
(with cooling) with 25 cc. HNO<sub>3</sub> (d. 1.6) and warmed 6 h. on the steam bath, gives 12.2 g. 3-methoxy-2,6-dinitropyridine (X), m. 114-15°. IX (57 g.), added to 130 cc. concentrated H<sub>2</sub>SO<sub>4</sub> at 5°, the mixture treated with 70 cc. HNO<sub>3</sub> (d. 1.6), and heated 1 h. on the steam bath, yields 38 g. 2-nitro-3-methoxypyridine (XI), m. 73-5°; 5 g. XI in 15 cc. concentrated H<sub>2</sub>SO<sub>4</sub>, treated with 4 cc. HNO<sub>3</sub> (d. 1.6), gives 4.4 g. X. Catalytic reduction (Pt oxide) of 16.8 g. X in 500 cc. AcOH and 250 cc. Ac<sub>2</sub>O at room temperature (4 h.) gives 60% 3-methoxy-2,6-diacetamidopyridine, m. 173.5-4.5°. 2,3,6-Triaminopyridine-2HCl in 200 cc. H<sub>2</sub>O and 25 g. Ac<sub>2</sub> in 200 cc. H<sub>2</sub>O, boiled 4 min., yield 98% 2,3-dimethyl-6-aminopyrido[2,3]pyrazine, m. 227-8°; 6-aminopyrido[2,3]pyrazine m. 267°, 62%. 2,3,6-Triaminopyridine oxalate (80 g.) in 150 cc. (CO<sub>2</sub>Et)<sub>2</sub>, heated 90 min. at 185°, gives 68% 2,3-dihydroxy-6-aminopyrido[2,3]pyrazine, does not m. below 300°. Addition of 23.8 g. 2,6-diacetamido-3-nitropyridine to 100 g. SnCl<sub>2</sub>·2H<sub>2</sub>O in 150 cc. concentrated HCl gives 26% 2-methyl-5-amino-1-imidazo[b]pyridine-HCl; neither the base nor the salt melts. I (55 g.) and 194 g. KCNS in 1 l. 95% AcOH, treated dropwise at -5° to -10° with 26 cc. Br, give 24% 2,5-diaminopyrido[2,3-d]thiazole (XII), m. 138-9°; II likewise gives the 5-Ac derivative of XII, m. 184-5°. I (66 g.) in 2 l. AcOH, treated with 460 g. KCNS in 100 cc. H<sub>2</sub>O and then at 0-3° with 64 cc. Br, with stirring 1 h. at room temperature, yields 22% 2,6-diaminopyrido[2,3-d,6,5-d']bisthiazole,

does

not melt below 300°. I (25 g.), 29 g. I.HCl, and 42 g. benzoin,

heated 1 h. at 185°, yield 89% 2,3-diphenyl-6-amino-1-pyrrolo[2,3-b]pyridine, m. 234.5-5.5°. 2-Amino-6-(3-keto-1-methylbutylideneamino)pyridine (16 g.) in 100 cc. 85% H3PO4, warmed 1 h. on the steam bath, gives 84% 2,4-dimethyl-7-amino-1,8-naphthyridine, m. 216-18°; this results in 85% yield from 5 g. I and 5 cc. CH2Ac2 in 25 cc. 85% H3PO4 on warming 30 min. on the steam bath. 2,7-Dihydrazino-4-methyl-1,8-naphthyridine-2HCl-2H2O (23 g.) and 18 g. AcCH2CO2Et in 200 cc. 50% EtOH, heated 5 min. at 70°, give 84% 2,7-bis(3-methyl-5-keto-1-pyrazolyl)-4-methyl-1,8-naphthyridine, m. 260-2°. The most active of these compds. (II, XII, and the di-Ac derivative of I) are only 1/3 as active as quinine as antiparasitic agents for Plasmodium lophurae in ducklings.

IT 55463-74-6P, 1H-Pyrrolo[2,3-b]pyridine, 6-amino-2,3-diphenyl-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 55463-74-6 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-diphenyl- (9CI) (CA INDEX NAME)



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